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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	DEC 01	ChemPort single article sales feature unavailable
NEWS	3	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS	4	JUN 26	NUTRACEUT and PHARMAML no longer updated
NEWS	5	JUN 29	IMSCOPROFILE now reloaded monthly
NEWS	6	JUN 29	EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS	7	JUL 09	PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS	8	JUL 14	USGENE enhances coverage of patent sequence location (PSL) data
NEWS	9	JUL 27	CA/CAplus enhanced with new citing references
NEWS	10	JUL 16	GBFULL adds patent backfile data to 1855
NEWS	11	JUL 21	USGENE adds bibliographic and sequence information
NEWS	12	JUL 28	EPFULL adds first-page images and applicant-cited references
NEWS	13	JUL 28	INPADOCDB and INPAFAMDB add Russian legal status data
NEWS	14	AUG 08	Improve STN by completing a survey and be entered to win a gift card
NEWS	15	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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* *

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:15:01 ON 11 AUG 2009

=> FIL REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 16:15:14 ON 11 AUG 2009

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 10 AUG 2009 HIGHEST RN 1173881-48-5
DICTIONARY FILE UPDATES: 10 AUG 2009 HIGHEST RN 1173881-48-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

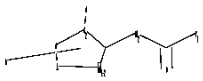
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

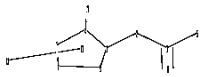
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10541429\2.str





chain nodes :
2 3 4 5 12 16
ring nodes :
1 6 7 8 9
chain bonds :
1-2 2-3 3-4 3-5 6-16
ring bonds :
1-6 1-9 6-7 7-8 8-9
exact/norm bonds :
1-2 1-6 1-9 2-3 3-4 3-5 6-7 6-16 7-8 8-9

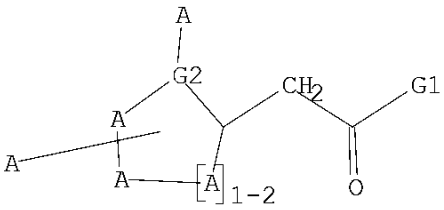
G1:OH,NH

G2:C,N

Match level :
1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom
12:CLASS 13:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1 STR



Claims 1-7

G1 OH,NH

G2 C,N

Structure attributes must be viewed using STN Express query preparation.

```
=> S L1
SAMPLE SEARCH INITIATED 16:15:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    95437 TO ITERATE

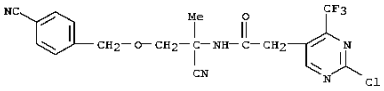
  2.1% PROCESSED     2000 ITERATIONS                              29 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1890365 TO  1927115
PROJECTED ANSWERS:           25445 TO    29907

L2            29 SEA SSS SAM L1

=> D SCAN
```

L2 29 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 5-Pyrimidineacetamide, 2-chloro-N-[1-cyano-2-[(4-cyanophenyl)methoxy]-1-methylethyl]-4-(trifluoromethyl)-
MF C19 H15 Cl F3 N5 O2

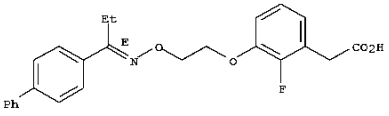


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 29 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzeneacetic acid, 3-[2-[[(E)-(1-[1,1'-biphenyl]-4-ylpropylidene)amino]oxy]ethoxy]-2-fluoro-
MF C25 H24 F N O4

Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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=> S L1 FULL
FULL SEARCH INITIATED 16:16:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  1904275 TO ITERATE

100.0% PROCESSED  1904275 ITERATIONS                          29872 ANSWERS
SEARCH TIME: 00.00.07

L3        29872 SEA SSS FUL L1
```

```
=> FIL CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     186.36     186.58

FILE 'CAPLUS' ENTERED AT 16:16:14 ON 11 AUG 2009
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FILE COVERS 1907 - 11 Aug 2009  VOL 151 ISS 7
FILE LAST UPDATED: 10 Aug 2009  (20090810/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Jun 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family
of databases have been updated to include new citing references
information.  This enhancement may impact record import into
database management software.  For additional information, refer
to NEWS 9.
```

```
=> S L3
L4        8569 L3
```

```
=> S L4 AND PSORIASIS
         19431 PSORIASIS
L5         206 L4 AND PSORIASIS

=> S L4 AND (ULCERATIVE COLITIS)
         11141 ULCERATIVE
         16019 COLITIS
         10121 ULCERATIVE COLITIS
                 (ULCERATIVE(W)COLITIS)
L6          99 L4 AND (ULCERATIVE COLITIS)

=> S L4 AND MELANOMA
         42769 MELANOMA
L7          82 L4 AND MELANOMA

=> S L4 AND COPD
          4921 COPD
L8          12 L4 AND COPD

=> S L4 AND (CHRONIC OBSTRUCTIVE)
        266331 CHRONIC
         17645 OBSTRUCTIVE
         10950 CHRONIC OBSTRUCTIVE
                 (CHRONIC(W)OBSTRUCTIVE)
L9         113 L4 AND (CHRONIC OBSTRUCTIVE)

=> L8 OR L9
L8 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> S L8 OR L9
L10        113 L8 OR L9

=> S L4 AND (BULLOUS PEMPHIGOID)
          1945 BULLOUS
          1623 PEMPHIGOID
          1241 BULLOUS PEMPHIGOID
                 (BULLOUS(W)PEMPHIGOID)
L11          4 L4 AND (BULLOUS PEMPHIGOID)

=> S L4 AND (BULLOUS)
          1945 BULLOUS
L12         16 L4 AND (BULLOUS)

=> S L4 AND (ARTHRITIS)
         58994 ARTHRITIS
L13        280 L4 AND (ARTHRITIS)

=> S L4 AND FIBROSIS
         49622 FIBROSIS
L14         96 L4 AND FIBROSIS
```

```
=> S L4 AND FIBROSISGLOMERULONEPHRITIS
             0 FIBROSISGLOMERULONEPHRITIS
L15          0 L4 AND FIBROSISGLOMERULONEPHRITIS

=> S L4 AND GLOMERULONEPHRITIS
         10684 GLOMERULONEPHRITIS
L16         67 L4 AND GLOMERULONEPHRITIS

=> S L4 AND REPERFUSION
         40197 REPERFUSION
L17         83 L4 AND REPERFUSION

=> S L4 AND ISCHEMIA
         91138 ISCHEMIA
L18        155 L4 AND ISCHEMIA

=> D HIS

     (FILE 'HOME' ENTERED AT 16:15:01 ON 11 AUG 2009)

     FILE 'REGISTRY' ENTERED AT 16:15:14 ON 11 AUG 2009
L1              STRUCTURE UPLOADED
L2           29 S L1
L3        29872 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 16:16:14 ON 11 AUG 2009
L4         8569 S L3
L5          206 S L4 AND PSORIASIS
L6           99 S L4 AND (ULCERATIVE COLITIS)
L7           82 S L4 AND MELANOMA
L8           12 S L4 AND COPD
L9          113 S L4 AND (CHRONIC OBSTRUCTIVE)
L10         113 S L8 OR L9
L11           4 S L4 AND (BULLOUS PEMPHIGOID)
L12          16 S L4 AND (BULLOUS)
L13         280 S L4 AND (ARTHRITIS)
L14          96 S L4 AND FIBROSIS
L15           0 S L4 AND FIBROSISGLOMERULONEPHRITIS
L16          67 S L4 AND GLOMERULONEPHRITIS
L17          83 S L4 AND REPERFUSION
L18         155 S L4 AND ISCHEMIA

=> S L5 OR L6 OR L7 OR L10 OR L11 OR L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18
L19        543 L5 OR L6 OR L7 OR L10 OR L11 OR L12 OR L13 OR L14 OR L15 OR L16
               OR L17 OR L18

=> SAVE L19/A
ENTER L#, L# RANGE, ALL, OR (END):L19
L19/A IS NOT A VALID SAVED NAME
Enter the name you wish to use for the saved query,
answer set, or L-number list.  The name must:
  1. Begin with a letter,
  2. Have 1-12 characters,
  3. Contain only letters (A-Z) and numbers (0-9),
```

```
  4. End with /Q for a query (search profile,
     structure, or screen set), /A for an answer
     set, or /L for an L-number list.
  5. Not already be in use as a saved name,
  6. Not be END, SAV, SAVE, SAVED
  7. Not have the form of an L-number (Lnnn).
ENTER NAME OR (END):APP10541429/A
ANSWER SET L19 HAS BEEN SAVED AS 'APP10541429/A'

=> D IBIB ABS HITSTR L19 500-543
```

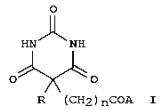
L19 ANSWER 500 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:27824 CAPLUS
DOCUMENT NUMBER: 130:95560
TITLE: Preparation of barbituric acid derivatives with antimetastatic and antitumor activity
INVENTOR(S): Oliva, Ambrogio; De Cillis, Gianpiero; Grams, Frank; Livi, Valeria; Zimmermann, Gerd; Menta, Ernesto; Krell, Hans-Willi
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858925	A1	19981230	WO 1998-EP3677	19980618
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 1998MA01321	A	20050304	IN 1998-MA1321	19980617
CA 2294259	A1	19981230	CA 1998-2294259	19980618
AU 9885391	A	19990104	AU 1998-85391	19980618
AU 746853	B2	20020502		
EP 989982	A1	20000405	EP 1998-936361	19980618
EP 989982	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
TR 9903148	T2	20000421	TR 1999-3148	19980618
BR 9810450	A	20000905	BR 1998-10450	19980618
JP 2002504916	T	20020212	JP 1999-503748	19980618
AT 302200	T	20050915	AT 1998-936361	19980618
ES 2247707	T3	20060301	ES 1998-936361	19980618
CN 1295227	C	20070117	CN 1998-808355	19980618
ZA 9805352	A	19991220	ZA 1998-5352	19980619
MX 9911992	A	20000630	MX 1999-11992	19991217
US 6335332	B1	20020101	US 2000-445461	20000403

PRIORITY APPLN. INFO.: EP 1997-110200 A 19970621
WO 1998-EP3677 W 19980618

OTHER SOURCE(S): MARPAT 130:95560
GI

L19 ANSWER 500 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

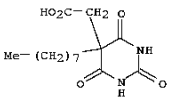


AB The title compds. [I; R = WV; A = R1, NR2(CH2)mNR9TR10, etc.; R1 = OH, C1-4 alkoxy, NH2, mono- or di(C1-4 alkyl)amino, (un)substituted phenoxy, benzyloxy, etc.; R9, R10 = H, (un)substituted C1-4 alkyl, Ph, etc.; R9R10NCO may form a 5- or 6-membered lactam ring; T = CO, SO2; V = (un)substituted (un)saturated mono- or bicyclic group optionally containing 1-3 N, O, S; W = bond, C1-8 alkyl, C2-8 alkenyl; n = 1-3] as enantiomers, racemates, diastereoisomers, tautomers or their mixts., and their pharmaceutically acceptable salts, inhibitors of the metzincins useful for the title purpose, were prepared For example, cyclocondensation of urea with di-Et 2-octylmalonate (preparation by alkylation of di-Et malonate with 1-bromooctane given) gave 5-octylbarbituric acid which was alkylated with BrCH2CO2Et in DMF in the presence of Na2CO3 to give 5-octyl-5-(ethoxycarbonylmethyl)barbituric acid. The latter in vitro inhibited human neutrophil collagenase (MMP-8) with IC50 107 nM and gelatinase 92 kD (MMP-9) with IC50 19.6 nM which gave selectivity (MMP-9/MMP-8) ratio of 0.18-0.2, vs. 0.93 for batimastat as a reference Approx. 6 I were prepared and approx. 21 I were claimed.

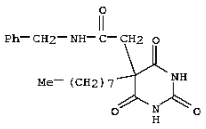
IT 219310-88-0P 219310-90-4P 219310-91-5P
219310-94-8P 219310-98-2P 219310-99-3P
219311-00-9P 219311-01-0P 219311-02-1P
219311-04-3P 219311-06-5P 219311-07-6P
219311-08-7P 219311-09-8P 219311-10-1P
219311-11-2P 219311-12-3P 219311-13-4P
219311-14-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of barbituric acid derivs. with antimetastatic and antitumor activity)

RN 219310-88-0 CAPLUS
CN 5-Pyrimidineacetic acid, hexahydro-5-octyl-2,4,6-trioxo- (CA INDEX NAME)

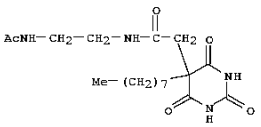
L19 ANSWER 500 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



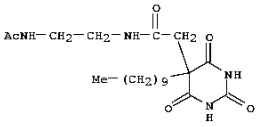
RN 219310-90-4 CAPLUS
CN 5-Pyrimidineacetamide, hexahydro-5-octyl-2,4,6-trioxo-N-(phenylmethyl)- (CA INDEX NAME)



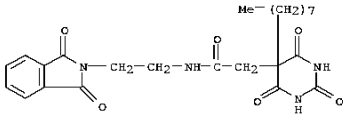
RN 219310-91-5 CAPLUS
CN 5-Pyrimidineacetamide, N-[2-(acetylamino)ethyl]hexahydro-5-octyl-2,4,6-trioxo- (CA INDEX NAME)



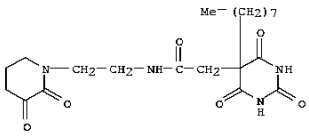
RN 219310-94-8 CAPLUS
CN 5-Pyrimidineacetamide, N-[2-(acetylamino)ethyl]-5-decylhexahydro-2,4,6-trioxo- (CA INDEX NAME)



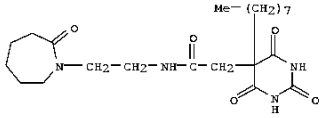
L19 ANSWER 500 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 219310-98-2 CAPLUS
CN 5-Pyrimidineacetamide, N-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]hexahydro-5-octyl-2,4,6-trioxo- (CA INDEX NAME)



RN 219310-99-3 CAPLUS
CN 5-Pyrimidineacetamide, N-[2-(2,3-dioxo-1-piperidinyl)ethyl]hexahydro-5-octyl-2,4,6-trioxo- (CA INDEX NAME)

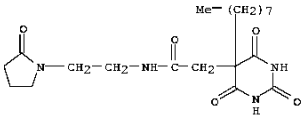


RN 219311-00-9 CAPLUS
CN 5-Pyrimidineacetamide, N-[2-(hexahydro-2-oxo-1H-azepin-1-yl)ethyl]hexahydro-5-octyl-2,4,6-trioxo- (CA INDEX NAME)

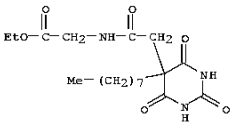


RN 219311-01-0 CAPLUS
CN 5-Pyrimidineacetamide, hexahydro-5-octyl-2,4,6-trioxo-N-[2-(2-oxo-1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

L19 ANSWER 500 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

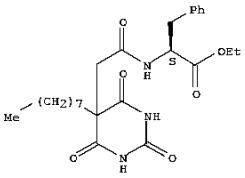


RN 219311-02-1 CAPLUS
CN Glycine, N-[(hexahydro-5-octyl-2,4,6-trioxo-5-pyrimidinyl)acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219311-04-3 CAPLUS
CN L-Phenylalanine, N-[(hexahydro-5-octyl-2,4,6-trioxo-5-pyrimidinyl)acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

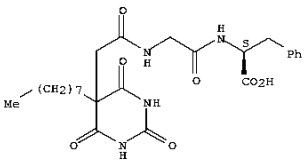
Absolute stereochemistry.



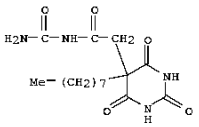
RN 219311-06-5 CAPLUS
CN L-Tryptophan, N-[(hexahydro-5-octyl-2,4,6-trioxo-5-pyrimidinyl)acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

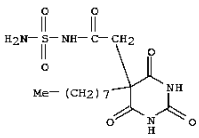
L19 ANSWER 500 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 219311-10-1 CAPLUS
CN 5-Pyrimidineacetamide, N-(aminocarbonyl)hexahydro-5-octyl-2,4,6-trioxo- (CA INDEX NAME)

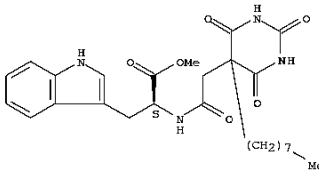


RN 219311-11-2 CAPLUS
CN 5-Pyrimidineacetamide, N-(aminosulfonyl)hexahydro-5-octyl-2,4,6-trioxo- (CA INDEX NAME)

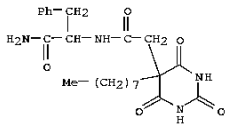


RN 219311-12-3 CAPLUS
CN 5-Pyrimidineacetamide, hexahydro-5-octyl-2,4,6-trioxo-N-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

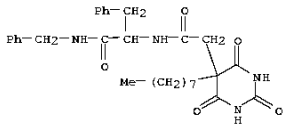
L19 ANSWER 500 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 219311-07-6 CAPLUS
CN 5-Pyrimidineacetamide, N-[2-amino-2-oxo-1-(phenylmethyl)ethyl]hexahydro-5-octyl-2,4,6-trioxo- (CA INDEX NAME)



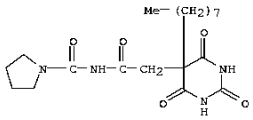
RN 219311-08-7 CAPLUS
CN 5-Pyrimidineacetamide, hexahydro-5-octyl-2,4,6-trioxo-N-[2-oxo-1-(phenylmethyl)-2-[(phenylmethyl)amino]ethyl]- (CA INDEX NAME)



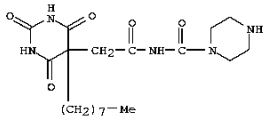
RN 219311-09-8 CAPLUS
CN L-Phenylalanine, N-[(hexahydro-5-octyl-2,4,6-trioxo-5-pyrimidinyl)acetyl]glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

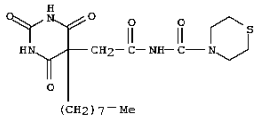
L19 ANSWER 500 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 219311-13-4 CAPLUS
CN 5-Pyrimidineacetamide, hexahydro-5-octyl-2,4,6-trioxo-N-(1-piperazinylcarbonyl)- (CA INDEX NAME)



RN 219311-14-5 CAPLUS
CN 4-Thiomorpholinecarboxamide, N-[2-(hexahydro-5-octyl-2,4,6-trioxo-5-pyrimidinyl)acetyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 501 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:7958 CAPLUS
DOCUMENT NUMBER: 130:66268
TITLE: Compounds active at a novel site on receptor-operated calcium channels useful for treatment of neurological disorders and diseases
INVENTOR(S): Mueller, Alan L.; Moe, Scott T.
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9856752	A1	19981217	WO 1998-US11608	19980611
W: JP				
AU 770292	B2	20040219	AU 2000-71810	20001124
AU 2004202114	A1	20040610	AU 2004-202114	20040518
PRIORITY APPLN. INFO.:			US 1997-873011 A	19970611
			AU 1997-13525 A3	19961211
			AU 2000-71810 A3	20001124

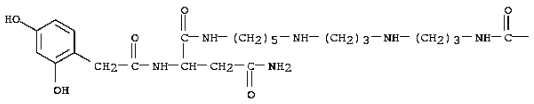
OTHER SOURCE(S): MARPAT 130:66268
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

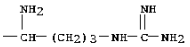
AB The compds. [I, II, III; R1 and R3 are independently selected from (un)substituted Ph, benzyl, phenoxy, H, alkyl, OH, etc.; R2 and R5 are independently selected from H, alkyl, hydroxyalkyl; R2-R5 together are imino; R1-R2 together are (CH2)n, (CH2)n-N(R6)-(CH2)n; n = 0-6, at least one n greater than 0; R6 is H, alkyl, 2-hydroxyethyl, and alkylphenyl; R4 is selected from (un)substituted thiofuryl, pyridyl, Ph, benzyl, phenoxy, phenylthio, H, alkyl, chcloalkyl; X, X1 is independently selected from (un)substituted Ph, benzyl, phenoxy, F, Cl, Br, Oh, etc.; m = 0-5; Y is N(R6)2, H when R1-R2 together are (CH2)n-N(R6)-(CH2)n], pharmaceutical compns., and pharmaceutical acceptable salts, complexes, and carriers are prepared as antagonists of NMDA receptor-mediated responses for treating a neurol. disease or disorder such as stroke, head trauma, spinal cord injury, spinal cord ischemia, ischemia- or hypoxia-induced nerve cell damage, epilepsy, anxiety, neuropsychiatric or cognitive deficits due to ischemia or hypoxia such as those that frequently occur as a consequence of cardiac surgery under cardiopulmonary bypass, or neurodegenerative diseases such as Alzheimer's Disease, Huntington's Disease, Parkinson's Disease, or amyotrophic lateral

L19 ANSWER 501 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
sclerosis (ALS).
IT 144576-90-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. active at novel site on receptor-operated calcium channels useful for treatment of neurol. disorders and diseases)
RN 144576-90-9 CAPLUS
CN Butanediamide, N1-(16,21-diamino-21-imino-15-oxo-6,10,14,20-tetraazaheneicos-1-yl)-2-[[(2,4-dihydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

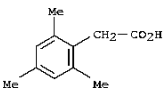
L19 ANSWER 502 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:788746 CAPLUS
DOCUMENT NUMBER: 130:52406
TITLE: Substituted biphenyl isoxazole sulfonamides useful as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.; Spergel, Steven H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 107 pp., Cont.-in-part of U.S. Ser. No. 754,715, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5846990	A	19981208	US 1997-799616	19970213
TW 517057	B	20030111	TW 1997-86101898	19970218
ZA 9701423	A	19980819	ZA 1997-1423	19970219
CA 2240043	A1	19970821	CA 1997-2240043	19970220
WO 9729748	A1	19970821	WO 1997-US3956	19970220
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9722098	A	19970902	AU 1997-22098	19970220
AU 720458	B2	20000601		
EP 921800	A1	19990616	EP 1997-915055	19970220
EP 921800	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500619	T	20020108	JP 1997-529620	19970220
AT 264324	T	20040415	AT 1997-915055	19970220
ES 2219762	T3	20041201	ES 1997-915055	19970220
PRIORITY APPLN. INFO.:			US 1995-493331 B2	19950724
			US 1996-603975 B1	19960220
			US 1996-754715 B2	19961121
			US 1997-799616 A	19970213
			WO 1997-US3956 W	19970220

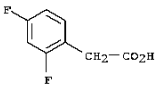
OTHER SOURCE(S): MARPAT 130:52406
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

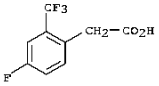
AB Title compds. I inhibit the activity of endothelin (no data), and are useful as antihypertensives, etc. The symbols in I are defined as follows [one of X and Y = N, other = O; J = O, S, N, (un)substituted NH; K, L = N or C, provided that at least one is C; p = 0-2; R1-R4 (bound to ring C atoms) = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, halo, OH, cyano, NO2, CHO, etc.; or R3R4 = (un)substituted alkylene or alkenylene; R5-R8 = groups similar to R1-R4, plus heterocyclyl, heterocycliloxy, and others]. Over 280 synthetic examples are given. For instance, the MEM-protected, isoxazole-contg. bromide II [R = Br] was lithiated, treated with B(OPr-iso)3, and hydrolyzed to give 82% II [R = B(OH)2]. The latter was coupled with 2-(4-bromophenyl)oxazole using Pd(PPh3)4 catalyst (70%), followed by acidic deprotection of the MEM group (52%), to give title compd. III.
IT 4408-60-0 81228-09-3 195447-80-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of substituted biphenyl isoxazole sulfonamides as endothelin antagonists)
RN 4408-60-0 CAPLUS
CN Benzeneacetic acid, 2,4,6-trimethyl- (CA INDEX NAME)



RN 81228-09-3 CAPLUS
CN Benzeneacetic acid, 2,4-difluoro- (CA INDEX NAME)



RN 195447-80-4 CAPLUS
CN Benzeneacetic acid, 4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L19 ANSWER 502 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L19 ANSWER 503 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:747592 CAPLUS
DOCUMENT NUMBER: 130:3771
TITLE: Preparation of 3-(hetero)arylmethylidene-2-indolinone derivatives as modulators of protein kinase activity for use in treating cancer.
INVENTOR(S): Tang, Peng Cho; Sun, Li; McMahon, Gerald; Shawver, Laura Kay; Hirth, Klaus Peter
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

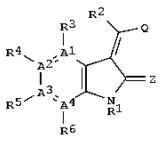
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850356	A1	19981112	WO 1998-US9017	19980507
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2289102	A1	19981112	CA 1998-2289102	19980507
AU 9876842	A	19981127	AU 1998-76842	19980507
EP 984930	A1	20000315	EP 1998-924746	19980507
EP 984930	B1	20050406		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002511852	T	20020416	JP 1998-548319	19980507
AT 292623	T	20050415	AT 1998-924746	19980507
ES 2239393	T3	20050916	ES 1998-924746	19980507
US 6051593	A	20000418	US 1998-99721	19980619
US 6313158	B1	20011106	US 1998-100854	19980619
US 6133305	A	20001017	US 1998-161046	19980925
US 20010056094	A1	20011227	US 2000-482198	20000112
US 20010007033	A1	20010705	US 2000-516948	20000301
US 20020026053	A1	20020228	US 2001-916331	20010730
US 6506763	B2	20030114		
US 20020058661	A1	20020516	US 2001-948106	20010907
US 6696463	B2	20040224		
US 20020183370	A1	20021205	US 2001-29946	20011231
US 6579897	B2	20030617		
US 20040106630	A1	20040603	US 2003-725079	20031202
US 20040106618	A1	20040603	US 2003-725267	20031202
US 7189721	B2	20070313		

PRIORITY APPLN. INFO.:
US 1997-45838P P 19970507
US 1997-46868P P 19970508
US 1997-49324P P 19970611

L19 ANSWER 503 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

	US 1997-50412P	P	19970620
	US 1997-50413P	P	19970620
	US 1997-50977P	P	19970620
	US 1997-59336P	P	19970919
	US 1997-59381P	P	19970919
	US 1997-59384P	P	19970919
	US 1997-59544P	P	19970919
	US 1997-59677P	P	19970919
	US 1997-59971P	P	19970925
	US 1997-60194P	P	19970926
	US 1998-74621	A3	19980507
	WO 1998-US9017	W	19980507
	US 1998-100854	A3	19980619
	US 1998-99721	A1	19980619
	US 1998-161046	A3	19980925
	US 2000-482198	A3	20000112
	US 2000-516948	B1	20000301
	US 2001-819698	A3	20010329

OTHER SOURCE(S): MARPAT 130:3771
GI

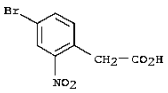


I

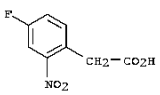
AB Title compds. [I; A1-A4 = C, N; when any of A1-A4 = N, then the corresponding R3-R6 = null; R1 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, heteroalicyclyl, trihalomethylcarbonyl, OH, CO2H, trihalomethylsulfonyl, etc.; R2 = H, alkyl, cycloalkyl, aryl, heteroaryl, heteroalicyclyl, halo; R3-R6 = H, alkyl, trihalomethyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, heteroalicyclyl, OH, SH, alkoxy, aryloxy, amino, phosphonyl, guanidinyl, NO2, halo, (iso)cyanato, etc.; R3R4 or R4R5 or R5R6 = cycloalkyl, aryl, heteroaryl, heteroalicyclyl, OCH2O, OCH2CH2O; Q = specified (substituted) (hetero)aryl; Z = O, S], were prepd. Thus, 3-(4-imidazolylmethylidenyl)-4,6-dimethyl-2-indolinone inhibited CDK2 with IC50 = <0.78 μM.

IT 6127-11-3P 39616-95-0P, 4-Fluoro-2-nitrophenylacetic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(hetero)arylmethylidene-2-indolinone deriva. as modulators of protein kinase activity for use in treating cancer)

RN 6127-11-3 CAPLUS
CN Benzeneacetic acid, 4-bromo-2-nitro- (CA INDEX NAME)



RN 39616-95-0 CAPLUS
CN Benzeneacetic acid, 4-fluoro-2-nitro- (CA INDEX NAME)



OS.CITING REF COUNT: 37 THERE ARE 37 CAPLUS RECORDS THAT CITE THIS RECORD (65 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L19 ANSWER 504 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:471465 CAPLUS
DOCUMENT NUMBER: 129:109102
ORIGINAL REFERENCE NO.: 129:22417a,22420a
TITLE: Preparation of benzodiazepinone derivatives for treatment of cardiac arrhythmias and pharmaceutical composition containing them
INVENTOR(S): Lynch, Joseph J., Jr.; Salata, Joseph J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: U.S., 68 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776930	A	19980707	US 1997-881399	19970624
PRIORITY APPLN. INFO.:			US 1997-881399	19970624

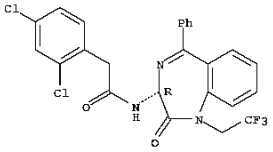
OTHER SOURCE(S): MARPAT 129:109102

AB A method of preventing, treating, terminating and protecting against cardiac arrhythmias, such as atrial, supraventricular and ventricular ectopy, tachycardia, flutter or fibrillation, including atrial, supraventricular and ventricular arrhythmias resulting from myocardial ischemic injury in a patient in need thereof, comprising administration of a selective IKs antagonist and a beta-adrenergic receptor blocking agent, administered in combined therapy either simultaneously, sep. or sequentially is presented. Addnl., a pharmaceutical preparation comprising a selective IKs antagonist and a beta-adrenergic receptor blocking agent, wherein these compds. are administered simultaneously, sep. or sequentially is presented. The combined administration of both low dose IKs blocker of this invention and low dose timolol provided significant protection against development of malignant ischemic ventricular tachyarrhythmia in dogs.

IT 177954-65-3P 177954-68-6P 177954-72-2P
177954-74-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodiazepinone derivs. for treatment of cardiac arrhythmias)

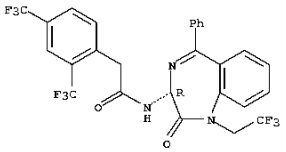
RN 177954-65-3 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[(3R)-2,3-dihydro-2-oxo-5-phenyl-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



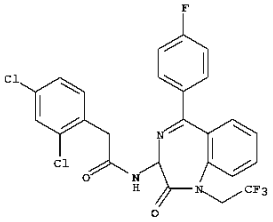
RN 177954-68-6 CAPLUS
CN Benzeneacetamide, N-[(3R)-2,3-dihydro-2-oxo-5-phenyl-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]-2,4-bis(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



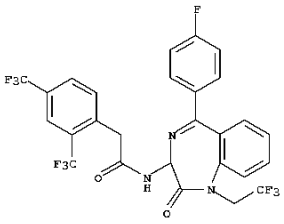
RN 177954-72-2 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[5-(4-fluorophenyl)-2,3-dihydro-2-oxo-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]-, (-)- (CA INDEX NAME)

Rotation (-).



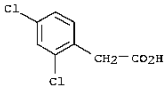
RN 177954-74-4 CAPLUS
CN Benzeneacetamide, N-[5-(4-fluorophenyl)-2,3-dihydro-2-oxo-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]-2,4-bis(trifluoromethyl)-, (-)-
(CA INDEX NAME)

Rotation (-).



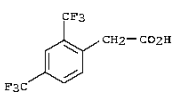
IT 19719-28-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzodiazepinone derivs. for treatment of cardiac arrhythmias)

RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)



IT 177952-39-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodiazepinone derivs. for treatment of cardiac arrhythmias)

RN 177952-39-5 CAPLUS
CN Benzeneacetic acid, 2,4-bis(trifluoromethyl)- (CA INDEX NAME)



L19 ANSWER 504 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

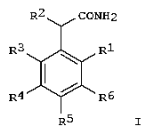
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 505 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:394317 CAPLUS
DOCUMENT NUMBER: 129:27823
ORIGINAL REFERENCE NO.: 129:5931a,5934a
TITLE: Preparation of phenylacetamides as sPLA2 inhibitors.
INVENTOR(S): Goodson, Theodore, Jr.; Harper, Richard W.; Herron, David K.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824756	A1	19980611	WO 1997-US21622	19971125
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6353128	B1	20020305	US 1997-976858	19971124
CA 2273995	A1	19980611	CA 1997-2273995	19971125
AU 9855892	A	19980629	AU 1998-55892	19971125
EP 946495	A1	19991006	EP 1997-952228	19971125
EP 946495	B1	20020724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9713987	A	20000208	BR 1997-13987	19971125
HU 9904172	A2	20000528	HU 1999-4172	19971125
JP 2001505575	T	20010424	JP 1998-525652	19971125
ES 2181052	T3	20030216	ES 1997-952228	19971125
PRIORITY APPLN. INFO.:			US 1996-32508P P	19961203
			WO 1997-US21622 W	19971125

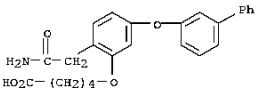
OTHER SOURCE(S): MARPAT 129:27823
GI

L19 ANSWER 505 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



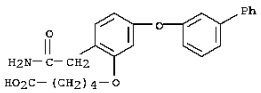
I

AB Title compds. [I; R1 = H, O(CH2)nZ; R2 = H, OH; R3, R4 = H, halo, alkyl; 1
of R5, R6 = YR7, the other = H; Y = O, CH2; R7 = (substituted) Ph; Z = CO2R, PO3R2, SO3R; R = H, alkyl; n = 1-8], were prepared Thus, PhOPh was heated with paraformaldehyde and HBr in HOAc to give 1-bromomethyl-4-phenoxybenzene. This was heated with NaCN in DMF to give 1-cyanomethyl-4-phenoxybenzene, which in Me2SO was treated with K2CO3 and H2O2 to give 4-phenoxyphenylacetamide. Tested I inhibited sPLA2 at <78 nM.
IT 208042-79-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors; preparation of phenylacetamides as sPLA2 inhibitors)
RN 208042-79-9 CAPLUS
CN Pentanoic acid, 5-[2-(2-amino-2-oxoethyl)-5-([1,1'-biphenyl]-3-yloxy)phenoxy]- (CA INDEX NAME)



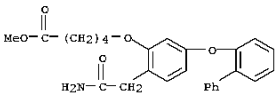
IT 208042-66-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylacetamides as sPLA2 inhibitors)
RN 208042-66-4 CAPLUS
CN Pentanoic acid, 5-[2-(2-amino-2-oxoethyl)-5-([1,1'-biphenyl]-3-yloxy)phenoxy]-, sodium salt (1:1) (CA INDEX NAME)

L19 ANSWER 505 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● Na

IT 208042-76-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylacetamides as sPLA2 inhibitors)
RN 208042-76-6 CAPLUS
CN Pentanoic acid, 5-[2-(2-amino-2-oxoethyl)-5-([1,1'-biphenyl]-2-yloxy)phenoxy]-, methyl ester (CA INDEX NAME)

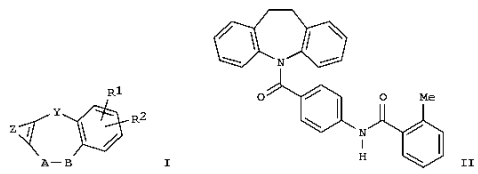


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 506 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:289524 CAPLUS
DOCUMENT NUMBER: 128:321569
ORIGINAL REFERENCE NO.: 128:63744h,63745a
TITLE: Preparation of tricyclic benzazepine vasopressin antagonists
INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 101 pp., Cont.-in-part of U.S. Ser. No. 5,512,563.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747487	A	19980505	US 1996-638067	19960425
US 5512563	A	19960430	US 1994-254823	19940613
NZ 299340	A	20000825	NZ 1994-299340	19940728
PRIORITY APPLN. INFO.:			US 1993-100003 B2	19930729
			US 1994-254823 A2	19940613
			NZ 1994-264116 A1	19940728

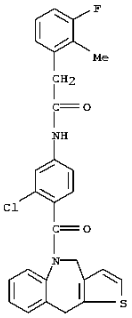
OTHER SOURCE(S): MARPAT 128:321569
GI



I II

AB The title compds. [I; Y = a bond; AB = (CH2)2N(R3); R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar (wherein Ar = (un)substituted Ph, thienyl, etc.); Z = (un)substituted fused benzo, thiazole, etc.], which exhibit antagonistic activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and antagonistic activity at oxytocin receptors, and therefore useful in treating diseases characterized by excess renal reabsorption of water such as congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, liver cirrhosis, brain edema, cerebral ischemia, or cerebral hemorrhage-stroke, were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with
10,11-dihydro-5H-dibenz[b,f]azepine in the presence of

L19 ANSWER 506 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
4-(dimethylamino)pyridine in pyridine afforded the title compd. II which showed IC50 of 2.5 μM against rat hepatic V1 receptors binding and IC50 of 0.86 μM against rat kidney medullary V2 receptors binding.
IT 1101631-37-1
RL: PRPH (Prophetic)
(Preparation of tricyclic benzazepine vasopressin antagonists)
RN 1101631-37-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 507 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:197402 CAPLUS
DOCUMENT NUMBER: 128:275085
ORIGINAL REFERENCE NO.: 128:54365a,54368a
TITLE: Combination therapy for reducing the risks associated with cardiovascular disease
INVENTOR(S): Gould, Robert J.; Nichtberger, Steven A.; Rhymer, Patricia A.; Olofsson, Lars
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Gould, Robert J.; Nichtberger, Steven A.; Rhymer, Patricia A.; Olofsson, Lars
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

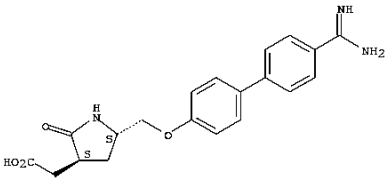
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811896	A1	19980326	WO 1997-US16388	19970915
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2265827	A1	19980326	CA 1997-2265827	19970915
AU 9743508	A	19980414	AU 1997-43508	19970915
AU 723315	B2	20000824		
EP 946178	A1	19991006	EP 1997-941644	19970915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001500875	T	20010123	JP 1998-514815	19970915
US 6251852	B1	20010626	US 1997-929595	19970915
US 6235706	B1	20010522	US 1999-147858	19990527
US 20010036913	A1	20011101	US 2001-764511	20010118
US 6403571	B2	20020611		

PRIORITY APPLN. INFO.: US 1996-26581P P 19960918
GB 1996-21970 A 19961022
WO 1997-US16388 W 19970915
US 1999-147858 A3 19990527

AB The instant invention involves a combination therapy and pharmaceutical compns. comprised of a therapeutically effective amount of a cholesterol reducing agent such as an HMG-CoA reductase inhibitor in combination with a platelet aggregation inhibitor which is useful for inhibiting platelet aggregation, for inhibiting the formation of thrombotic occlusions, and for treating, preventing and reducing the risk of occurrence of cardiovascular and cerebrovascular events and related vaso-occlusive disorders. Tablets were prepared containing simvastatin and a glycoprotein

L19 ANSWER 507 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IIb/IIIa receptor antagonist.
IT 148396-36-5, Fradafiban
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for reducing the risks associated with cardiovascular disease)
RN 148396-36-5 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[4'-(aminoiminomethyl)[1,1'-biphenyl]-4-yl]oxy]methyl]-2-oxo-, (3S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



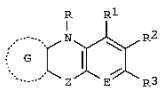
OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 508 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:126254 CAPLUS
DOCUMENT NUMBER: 128:204878
ORIGINAL REFERENCE NO.: 128:40519a,40522a
TITLE: Preparation of pyrazinobenzothiazine derivatives and analogs for the treatment of inflammation and autoimmune diseases
INVENTOR(S): Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiro; Kawahara, Tetsuya; Kamada, Atsushi; Okano, Kazuo; Yokohama, Hiromitsu; Muramoto, Kenzo; Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu; Sonoda, Jiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806720	A1	19980219	WO 1997-JP2787	19970808
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2262569	A1	19980219	CA 1997-2262569	19970808
AU 9737849	A	19980306	AU 1997-37849	19970808
ZA 9707103	A	19990208	ZA 1997-7103	19970808
EP 934941	A1	19990811	EP 1997-934750	19970808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 4028894	B2	20071226	JP 1998-509589	19970808
US 6518423	B1	20030211	US 1999-230852	19990405
US 20040092737	A1	20040513	US 2002-247310	20020920

PRIORITY APPLN. INFO.: JP 1996-210344 A 19960809
WO 1997-JP2787 W 19970808
US 1999-230852 A3 19990405

OTHER SOURCE(S): MARPAT 128:204878
GI



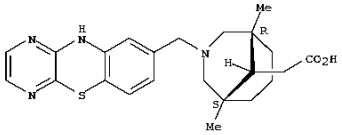
AB The title compds. I [R1 to R3 are the same or different and each represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, etc., provided that when R1 to R3 are all optionally substituted lower alkyl groups, they do not simultaneously represent Me groups; R represents hydrogen, lower alkyl, etc.; E

L19 ANSWER 508 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
represents N, C, etc.; Z represents O, S, SO, SO2, etc.; and the ring G represents an optionally substituted heteroaryl ring having at least one nitrogen atom] are prepd. I are useful in the treatment and prevention of inflammatory immunol. diseases, autoimmune diseases, rheumatism, collagen disease, asthma, nephritis, ischemic reflow disorders, psoriasis, atopic dermatitis or rejection reactions following organ transplantation. The compd. (syn)-[3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-3-azabicyclo[3.3.1]nona-9-yl]acetic acid (II) at 10 mg/kg orally gave 65% inhibition of carrageenin-induced inflammation in rats. II in vitro showed IC50 of 2.3 μM against the expression of ICAM-1.

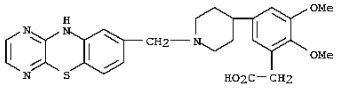
IT 203647-15-8P 203650-51-5P 203650-86-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazinobenzothiazine derivs. and analogs for treatment of inflammation and autoimmune diseases)

RN 203647-15-8 CAPLUS
CN 3-Azabicyclo[3.3.1]nonane-9-acetic acid, 1,5-dimethyl-3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-, (9-anti)- (CA INDEX NAME)

Relative stereochemistry.

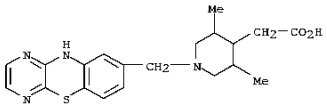


RN 203650-51-5 CAPLUS
CN Benzeneacetic acid, 2,3-dimethoxy-5-[1-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-4-piperidinyl]- (CA INDEX NAME)



RN 203650-86-6 CAPLUS
CN 4-Piperidineacetic acid, 3,5-dimethyl-1-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)- (CA INDEX NAME)

L19 ANSWER 508 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



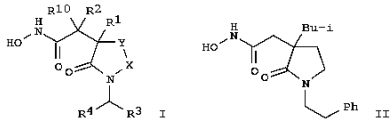
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 509 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:618069 CAPLUS
DOCUMENT NUMBER: 127:293126
ORIGINAL REFERENCE NO.: 127:57291a,57294a
TITLE: Pyrrolidinone hydroxamic acid derivatives for use in the treatment of diseases related to connective tissue degradation
INVENTOR(S): Jacobsen, E. Jon
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA; Jacobsen, E. Jon
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

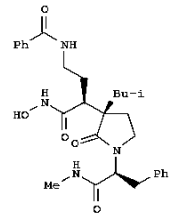
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732846	A1	19970912	WO 1997-US2568	19970303
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 448172	B	20010801	TW 1997-86102076	19970221
IN 1997DE00513	A	20050311	IN 1997-DE513	19970227
CA 2244903	A1	19970912	CA 1997-2244903	19970303
CA 2244903	C	20060516		
AU 9720525	A	19970922	AU 1997-20525	19970303
AU 707180	B2	19990701		
EP 898562	A1	19990303	EP 1997-908674	19970303
EP 898562	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1210517	A	19990310	CN 1997-192171	19970303
BR 9707947	A	19990727	BR 1997-7947	19970303
NZ 330922	A	20000128	NZ 1997-330922	19970303
JP 2000506163	T	20000523	JP 1997-531784	19970303
RU 2168497	C2	20010610	RU 1998-118372	19970303
AT 231490	T	20030215	AT 1997-908674	19970303
ES 2191823	T3	20030916	ES 1997-908674	19970303
ZA 9701902	A	19980907	ZA 1997-1902	19970305
NO 9804112	A	19981106	NO 1998-4112	19980907
NO 312956	B1	20020722		
PRIORITY APPLN. INFO.:			US 1996-13098P P	19960308
			WO 1997-US2568 W	19970303

OTHER SOURCE(S): MARPAT 127:293126
GI

L19 ANSWER 509 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



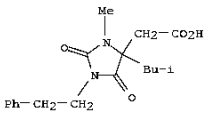
I II



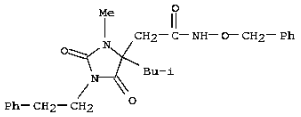
III

AB The invention provides novel hydroxamic acid derivs. I and their pharmaceutically acceptable salts [wherein X = CH2, NR5, CO; Y = CH2, NR5; provided that Y = CH2 when X = NR5; R1 = H, alkyl, (CH2)i-Ar, (CH2)iOR5, (CH2)i-Het, etc.; R2 = H, alkyl, (CH2)jOR5, NHR5, (CH2)jNR6R7, etc; R3 = H, alkyl, (CH2)j-Ar, (CH2)j-Het, (CH2)j-cycloalkyl, CONHR5; R4 = H, CONHR5, CONR6R7, other derivs. of CONH2, etc.; R5 = H, alkyl, (CH2)j-Ar, (CH2)j-Ar-Ar, (CH2)j-Ar-(CH2)j-Ar, (CH2)j-Het, (CH2)-cycloalkyl; R6, R7 = H, alkyl, (CH2)j-Ar, Q; or NR6R7 = (optionally alkyl-substituted) azetidinyl, pyrrolidinyl, piperazinyl, piperidinyl, or morpholinyl; R10 = H, OH, OR5, NHR5, (CH2)jOR5; Ar = (un)substituted Ph; Het = 5- or 6-membered N/O/S heterocycle; Q = saturated 5- or 6-membered N/O/S heterocycle; i = 1-6, j = 0-4]. I inhibit various enzymes from the matrix metalloproteinase family, including collagenase, stromelysin, and gelatinase, and are useful for the treatment of matrix metallo-endoproteinase diseases such as osteoarthritis, rheumatoid arthritis, septic arthritis, osteopenias such as osteoporosis, tumor metastasis (invasion and growth), periodontitis, gingivitis, corneal, dermal, and gastric ulceration, and other diseases related to connective tissue degradation For instance, 1-(2-phenylethyl)-2-pyrrolidinone underwent a sequence of lithiation with LDA and C-alkylation with iso-BuI (99%), a second alkylation with BrCH2COBu-tert (68%), saponification with CF3CO2H (92%), and hydroxamidation with NH2OH.HCl using EDC and HOBT (31%), to give title compound II. The title compound III inhibited matrix metalloproteinases in vitro with Ki (μM) as

L19 ANSWER 509 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
follows: stromelysin 0.0105, gelatinase 0.00106, and collagenase 0.00069.
IT 196955-58-5P 196955-61-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolidinone hydroxamic acid derivs. for
treatment of connective tissue degradation diseases)
RN 196955-58-5 CAPLUS
CN 4-Imidazolidineacetic acid, 3-methyl-4-(2-methylpropyl)-2,5-dioxo-1-(2-phenylethyl)- (CA INDEX NAME)

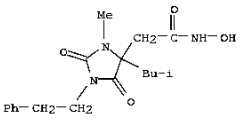


RN 196955-61-0 CAPLUS
CN 4-Imidazolidineacetamide, 3-methyl-4-(2-methylpropyl)-2,5-dioxo-1-(2-phenylethyl)-N-(phenylmethoxy)- (CA INDEX NAME)



IT 196950-25-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinone hydroxamic acid derivs. for treatment of connective tissue degradation diseases)
RN 196950-25-1 CAPLUS
CN 4-Imidazolidineacetamide, N-hydroxy-3-methyl-4-(2-methylpropyl)-2,5-dioxo-1-(2-phenylethyl)- (CA INDEX NAME)

L19 ANSWER 509 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 510 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:557640 CAPLUS
DOCUMENT NUMBER: 127:248103
ORIGINAL REFERENCE NO.: 127:48480h,48481a
TITLE: Substituted biphenyl isoxazole sulfonamides useful as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.; Spergel, Steven H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 325 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729748	A1	19970821	WO 1997-US3956	19970220
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5846990	A	19981208	US 1997-799616	19970213
TW 517057	B	20030111	TW 1997-86101898	19970218
ZA 9701423	A	19980819	ZA 1997-1423	19970219
AU 9722098	A	19970902	AU 1997-22098	19970220
AU 720458	B2	20000601		
EP 921800	A1	19990616	EP 1997-915055	19970220
EP 921800	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500619	T	20020108	JP 1997-529620	19970220
AT 264324	T	20040415	AT 1997-915055	19970220

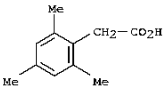
PRIORITY APPLN. INFO.: US 1996-603975 A 19960220
US 1996-754715 A 19961121
US 1997-799616 A 19970213
US 1995-493331 B2 19950724
WO 1997-US3956 W 19970220

OTHER SOURCE(S): MARPAT 127:248103
GI

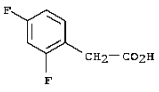
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I inhibit the activity of endothelin (no data), and are useful as antihypertensives, etc. The symbols in I are defined as follows
[one of X and Y = N, other = O; J = O, S, N, (un)substituted NH; K, L = N or C, provided that at least one is C; p = 0-2; R1-R4 (bound to ring C atoms) = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, halo, OH, cyano, NO2, CHO, etc.; or R3R4 = (un)substituted alkylene or alkenylene; R5-R8 = groups similar to R1-R4, plus heterocyclyl, heterocyclyloxy, and others]. Over 280 synthetic examples are given. For instance, the MEM-protected, isoxazole-contg. bromide II [R = Br] was lithiated, treated with B(OPr-iso)3, and hydrolyzed to give 82% II [R = B(OH)2]. The latter was coupled with 2-(4-bromophenyl)oxazole using Pd(PPh3)4 catalyst (70%), followed by acidic deprotection of the MEM group (52%), to give title compd. III.

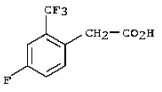
L19 ANSWER 510 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 4408-60-0 81228-09-3 195447-80-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of substituted biphenyl isoxazole sulfonamides as endothelin antagonists)
RN 4408-60-0 CAPLUS
CN Benzeneacetic acid, 2,4,6-trimethyl- (CA INDEX NAME)



RN 81228-09-3 CAPLUS
CN Benzeneacetic acid, 2,4-difluoro- (CA INDEX NAME)



RN 195447-80-4 CAPLUS
CN Benzeneacetic acid, 4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 510 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L19 ANSWER 511 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN

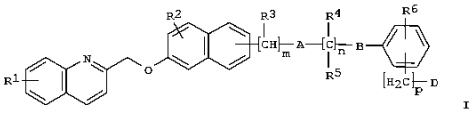
ACCESSION NUMBER: 1997:543476 CAPLUS
DOCUMENT NUMBER: 127:149087
ORIGINAL REFERENCE NO.: 127:28804h,28805a
TITLE: Preparation of 2-(naphthyloxymethyl)quinolines having leukotriene-antagonistic action
INVENTOR(S): Carganico, Germano; Mauleon Casellas, David; Pascual Avellana, Jaime; Garcia Perez, Ma. Luisa; Palomer Benet, Albert
PATENT ASSIGNEE(S): Laboratorios Menarini S.A., Spain
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724331	A1	19970710	WO 1996-EP5811	19961223
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ES 2117551	A1	19980801	ES 1995-2547	19951229
ES 2117551	B1	19990401		
AU 9713783	A	19970728	AU 1997-13783	19961223
EP 874826	A1	19981104	EP 1996-944059	19961223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

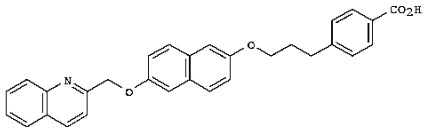
PRIORITY APPLN. INFO.: ES 1995-2547 A 19951229
WO 1996-EP5811 W 19961223

OTHER SOURCE(S): MARPAT 127:149087
GI

L19 ANSWER 511 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

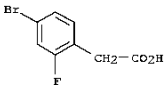


II

AB The title compds. [I; A is bound to the 6- or 7-position of the 2-naphthol; R1, R2, R6 = H, F, Cl, Br, MeO, C1-4 alkyl; R3 = H, Me; R4, R5 = H, OH, NH2, C1-4 alkyl, etc.; A = O, S, SO2, SO, etc.; B = S, O, SO2, SO, a single bond; D = 5-tetrazolyl, COOR8 (wherein R8 = H, C1-4 alkyl, phenylalkyl of less than 10 carbon atoms); m = 0-4; n, p = 0-6 (with the proviso that n + p = ≤ 6)], useful for treatment of inflammatory and antiallergic diseases such as bronchial asthma, allergic rhinitis, allergic conjunctivitis, rheumatoid arthritis, osteoarthritis, tendonitis, bursitis or psoriasis, and in the treatment of cardiovascular diseases such as cardiac ischemia, cardiac infarction, coronary spasm, cardiac anaphylaxis, cerebral edema or endotoxic shock, were prepared Thus, reaction of 7-(2-quinolinylmethyloxy)-2-naphthol with 3-(4-cyanophenyl)propyl methanesulfonate followed by treatment of the resulting 4-[3-[7-(quinolinylmethyloxy)-2-naphthyloxy]propyl]benzonitrile with 35% NaOH afforded the title compound II. The selected compds. I showed Ki of 0.1-1000 nM against [3H]-LTD4 receptor binding.

IT 114897-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-(naphthyloxymethyl)quinolines having leukotriene-antagonistic action)

RN 114897-92-6 CAPLUS
CN Benzeneacetic acid, 4-bromo-2-fluoro- (CA INDEX NAME)



L19 ANSWER 511 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

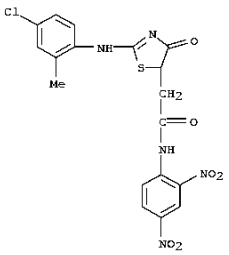
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 512 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:456107 CAPLUS
DOCUMENT NUMBER: 127:130982
ORIGINAL REFERENCE NO.: 127:25137a
TITLE: Methods of identifying drugs with selective effects against cancer cells
INVENTOR(S): Vande Woude, George F.; Koo, Han-mo; Monks, Anne
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 169,962.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5645988	A	19970708	US 1994-260515	19940615
US 696923	A0	19920101	US 1991-696923	19910508
PRIORITY APPLN. INFO.:			US 1991-696923	B2 19910508
			US 1992-880525	B1 19920508
			US 1993-169962	A2 19931220

AB The present invention involves a method of identifying drugs which selectively inhibit the growth of particular cancer cells, which method comprises: (a) contacting with the drug at least two cancer cells derived from the same type of biol. material, wherein the cancer cells differ as to the presence of a particular DNA sequence, (b) measuring the effect of the drug on the growth of the cancer cells, and (c) determining whether there is a correlation between the effect of the drug on the cancer cells and the presence or absence of the DNA sequence in the cancer cells. The present invention further involves the use of such drugs. The DNA sequence is e.g. for an oncogenic tumor suppressor gene or an activated oncogene, e.g. activated ras oncogene. Determining the status of ras genes in transformed cell lines is described, as is identification of drugs which selectively inhibit the growth of cancer cells containing activated ras genes.
IT 193014-67-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(antitumor drug identification using effect on cancer cells with presence or absence of oncogenic DNA sequence)
RN 193014-67-4 CAPLUS
CN 5-Thiazoleacetamide, 2-[(4-chloro-2-methylphenyl)amino]-N-(2,4-dinitrophenyl)-4,5-dihydro-4-oxo- (CA INDEX NAME)

L19 ANSWER 512 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



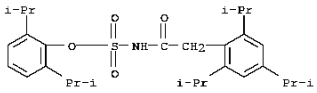
OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 513 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:397373 CAPLUS
DOCUMENT NUMBER: 127:13464
ORIGINAL REFERENCE NO.: 127:2623a,2626a
TITLE: Method and pharmaceutical compositions using ACAT inhibitors in combination with HMG-CoA-reductase inhibitors for regulating lipid concentration
INVENTOR(S): Bocan, Thomas M. A.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Bocan, Thomas M. A.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716184	A1	19970509	WO 1996-US15854	19961002
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KE, KR, LK, LR, LS, LT, LV, MG, MK, MN, MW, MX, NO, NZ, PL, RO, SD, SG, SI, SK, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IN 1996DE02115	A	20050311	IN 1996-DE2115	19960926
CA 2233558	A1	19970509	CA 1996-2233558	19961002
CA 2233558	C	20051206		
AU 9672539	A	19970522	AU 1996-72539	19961002
AU 720853	B2	20000615		
EP 858336	A1	19980819	EP 1996-934020	19961002
EP 858336	B1	20061220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1201389	A	19981209	CN 1996-198010	19961002
CN 1217656	C	20050907		
BR 9611410	A	19990105	BR 1996-11410	19961002
HU 9901865	A2	19991028	HU 1999-1865	19961002
HU 9901865	A3	20000628		
JP 11515025	T	19991221	JP 1997-517342	19961002
NZ 319906	A	20000228	NZ 1996-319906	19961002
IL 123902	A	20030112	IL 1996-123902	19961002
NZ 512484	A	20030228	NZ 1996-512484	19961002
PL 186714	B1	20040227	PL 1996-326365	19961002
SK 284142	B6	20041005	SK 1998-557	19961002
CN 1679953	A	20051012	CN 2005-10051723	19961002
RO 120816	B1	20060830	RO 1998-919	19961002
AT 348607	T	20070115	AT 1996-934020	19961002
ES 2279526	T3	20070816	ES 1996-934020	19961002
ZA 9609187	A	19970529	ZA 1996-9187	19961031
US 6124309	A	20000926	US 1998-51368	19980407
BG 64018	B1	20031031	BG 1998-102417	19980429
NO 9801961	A	19980504	NO 1998-1961	19980430
HK 1016509	A1	20060324	HK 1999-101732	19990421
US 6093719	A	20000725	US 1999-345944	19990701
US 6143755	A	20001107	US 1999-346503	19990701
PRIORITY APPLN. INFO.:			US 1995-6155P	P 19951102
			CN 1996-198010	A3 19961002

L19 ANSWER 513 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
WO 1996-US15854 W 19961002

AB The present invention concerns a combination of an ACAT inhibitor, for example, [(2,4,6,-tris(1-methylethyl)phenyl)acetyl]sulfamic acid 2,6-bis(1-methylethyl)phenyl ester, and an HMG-CoA-reductase inhibitor, for example, atorvastatin, effective for lipid regulation. The drug combination results in a greater reduction of plasma VLDL and LDL cholesterol and increase of HDL cholesterol than either drug alone, the result of which is a less atherogenic lipoprotein profile. The combination is useful in the treatment of patients with or at risk of developing ischemic syndromes.
IT 166518-60-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(ACAT inhibitors in combination with HMG-CoA-reductase inhibitors used as hypolipidemic and antiatherosclerotic drugs in ischemic syndromes)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

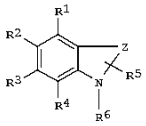
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:326877 CAPLUS
DOCUMENT NUMBER: 126:305540
ORIGINAL REFERENCE NO.: 126:59183a,59186a
TITLE: Preparation of benzene-fused heterocyclic derivatives as inhibitors of acyl-coenzyme A:cholesterol acyltransferase (ACAT) and medicinal use thereof
INVENTOR(S): Kamiya, Shoji; Shirahase, Hiroaki; Matsui, Hiroshi; Nakamura, Shohei; Wada, Katsuo
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9712860	A1	19970410	WO 1996-JP2852	19960930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
CA 2233842	A1	19970410	CA 1996-2233842	19960930
CA 2233842	C	20060411		
AU 9670977	A	19970428	AU 1996-70977	19960930
AU 708571	B2	19990805		
EP 866059	A1	19980923	EP 1996-932060	19960930
EP 866059	B1	20011205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1203587	A	19981230	CN 1996-198670	19960930
CN 1097043	C	20021225		
HU 9900617	A2	19990628	HU 1999-617	19960930
HU 9900617	A3	20011228		
BR 9610846	A	19990713	BR 1996-10846	19960930
JP 2968050	B2	19991025	JP 1996-514152	19960930
RU 2173316	C2	20010910	RU 1998-108605	19960930
IL 123939	A	20011125	IL 1996-123939	19960930
AT 210116	T	20011215	AT 1996-932060	19960930
ES 2164920	T3	20020301	ES 1996-932060	19960930
CZ 292632	B6	20031112	CZ 1998-996	19960930
PL 190034	B1	20051031	PL 1996-326000	19960930
TW 429250	B	20010411	TW 1996-85112125	19961004
NO 310818	B1	20010903	NO 1998-1485	19980401
US 6063806	A	20000516	US 1998-51202	19980403
US 38970	E1	20060207	US 1998-609224	19980403
HK 1015781	A1	20030822	HK 1999-100913	19990305
HK 1048989	A1	20051028	HK 2003-100740	19990305
US 6200988	B1	20010313	US 2000-506839	20000218
CN 1361100	A	20020731	CN 2001-142957	20011130
CN 1193018	C	20050316		

L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
PRIORITY APPLN. INFO.:

JP 1995-259082	A	19951005
JP 1996-58018	A	19960314
JP 1996-194331	A	19960724
WO 1996-JP2852	W	19960930
HK 1999-100913	A	19990305

OTHER SOURCE(S): MARPAT 126:305540
GI



I

AB Heterocyclic derivs. represented by general formula (I; one of R1, R2, and
R5 = OH, CO2H, alkoxycarbonyl, NR9R10, or alkyl or alkenyl substituted by OH, acidic group, or NR9R10 and the others = H, lower alkyl or alkoxy; wherein R9, R10 = H, lower alkyl; one of R3 and R4 = NHCOR7 and the other = H, lower alkyl or alkoxy; wherein R7 = alkyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, NHR8; wherein R8 = alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl; R6 = alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, arylalkyl; Z = a linkage group required to form a 5- to 6-membered ring together with NR6 and C atoms of the benzene ring) or pharmaceutically acceptable salts thereof are prepared The compds. or pharmaceutically acceptable salts thereof show excellent effects of inhibiting ACAT and inhibiting the peroxidn. of lipids on mammals and thus are useful as ACAT inhibitors and lipid peroxidn. inhibitors. Namely, they are useful in the
prevention and treatment of, for example, arteriosclerosis, hyperlipemia, arteriosclerotic lesions in association with diabetes, and ischemic diseases
in brain and heart. Thus,
N-(1-acetyl-5-chloromethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide was heated with AcOK in MeCN/DMF at 60° under stirring for 1 h, followed by saponification with NaOH in aqueous
EtOH under reflux, to give N-(5-hydroxymethyl-4,6-dimethylindolyl-7-yl)-2,2-dimethylpropanamide, which was alkylated by 1-iodooctane in the presence of K2CO3 in DMF to give at 50° for 2 h
N-(1-octyl-5-hydroxymethyl-4,6-dimethylindolyl-7-yl)-2,2-

L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
dimethylpropanamide (II). II in vitro inhibited by 99.2% the prodn. of cholesteryl oleate from [1-14C]oleoyl CoA in microsome fraction of rabbit small intestinal membrane and at 10 mg/kg per day for 3 days in vivo lowered by 57.1% a total serum cholesterol in rats fed with a high cholesterol diet.

IT

189198-30-9P	189198-31-0P	189198-32-1P
189198-33-2P	189198-34-3P	189198-38-7P
189198-39-8P	189198-40-1P	189198-41-2P
189198-42-3P	189198-43-4P	189198-44-5P
189198-45-6P	189198-46-7P	189198-47-8P
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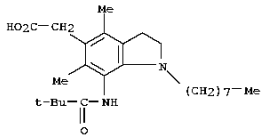
189199-75-5P	189199-76-6P	189199-77-7P
189199-78-8P		

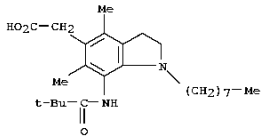
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzene-fused heterocyclic derivs. as inhibitor of acyl-CoA:cholesterol acyltransferase and lipid peroxidn. for disease therapy)

RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-31-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, hydrochloride (1:1) (CA INDEX NAME)

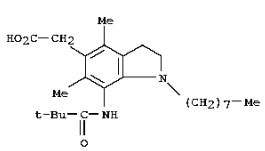


● HCl

RN 189198-32-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

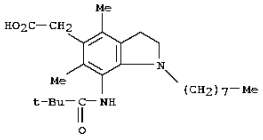
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 7664-93-9
CMF H2 O4 S

RN 189198-33-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3

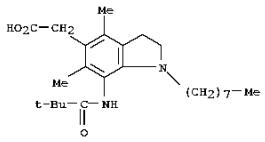


CM 2

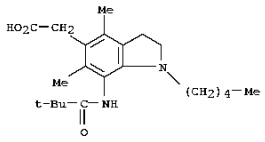
CRN 7697-37-2
CMF H N O3

RN 189198-34-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sodium salt (1:1) (CA INDEX NAME)

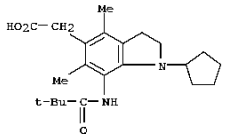
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-38-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-pentyl- (CA INDEX NAME)

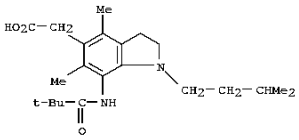


RN 189198-39-8 CAPLUS
CN 1H-Indole-5-acetic acid, 1-cyclopentyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

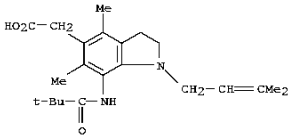


RN 189198-40-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-

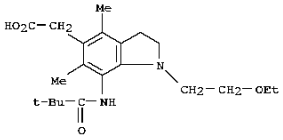
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
4,6-dimethyl-1-(3-methylbutyl)- (CA INDEX NAME)



RN 189198-41-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)

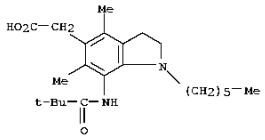


RN 189198-42-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

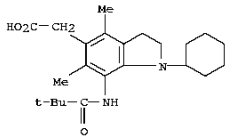


RN 189198-43-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

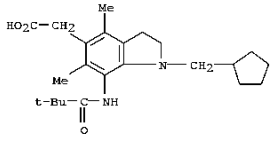
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-44-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-cyclohexyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

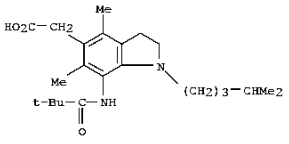


RN 189198-45-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(cyclopentylmethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

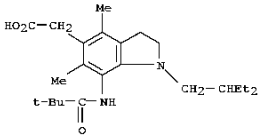


RN 189198-46-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(4-methylpentyl)- (CA INDEX NAME)

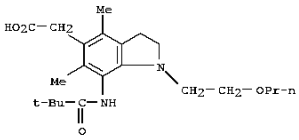
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-47-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethylbutyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

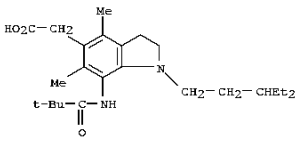


RN 189198-48-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(2-propoxyethyl)- (CA INDEX NAME)

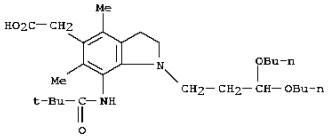


RN 189198-49-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

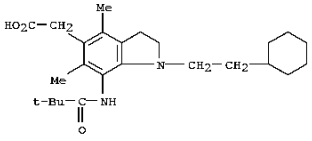
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-53-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(3,3-dibutoxypropyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

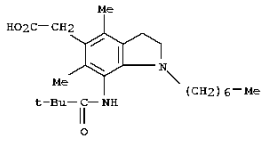


RN 189198-54-7 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(2-cyclohexylethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

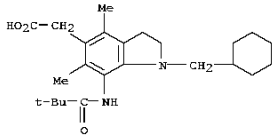


RN 189198-55-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(6-methylheptyl)- (CA INDEX NAME)

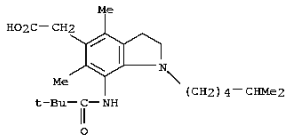
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-50-3 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(cyclohexylmethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

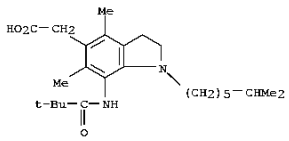


RN 189198-51-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(5-methylhexyl)- (CA INDEX NAME)

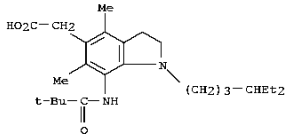


RN 189198-52-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(3-ethylpentyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

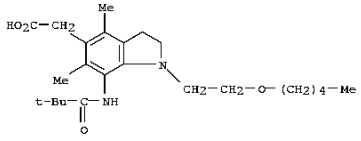
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-56-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(4-ethylhexyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

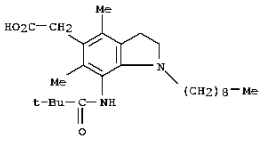


RN 189198-57-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-[2-(pentyloxy)ethyl]- (CA INDEX NAME)

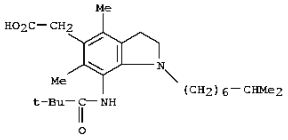


RN 189198-58-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-nonyl- (CA INDEX NAME)

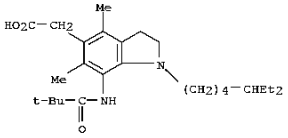
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-59-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(7-methyloctyl)- (CA INDEX NAME)

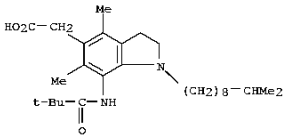


RN 189198-60-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(5-ethylheptyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

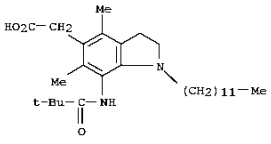


RN 189198-61-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

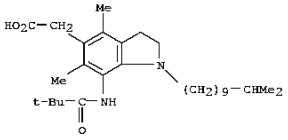
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-65-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-dodecyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

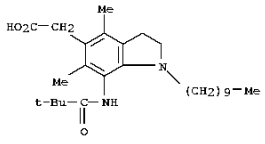


RN 189198-66-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(10-methylundecyl)- (CA INDEX NAME)

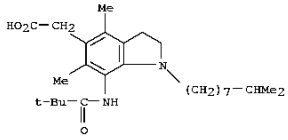


RN 189198-67-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

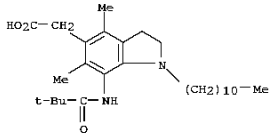
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-62-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(8-methylnonyl)- (CA INDEX NAME)

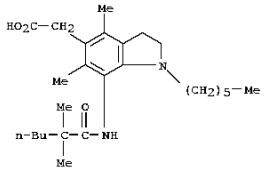


RN 189198-63-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-undecyl- (CA INDEX NAME)

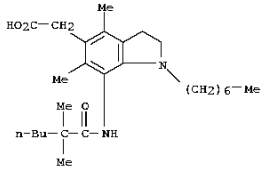


RN 189198-64-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(9-methyldecyl)- (CA INDEX NAME)

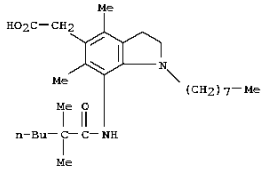
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-68-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

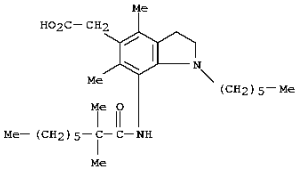


RN 189198-69-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

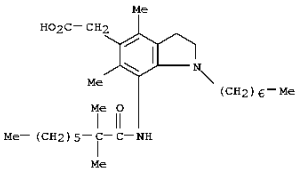


RN 189198-70-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

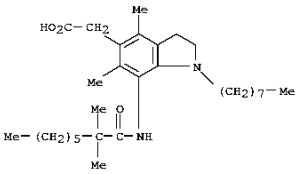
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



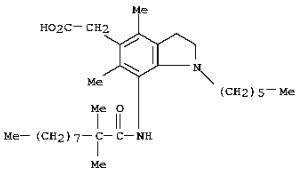
RN 189198-71-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)



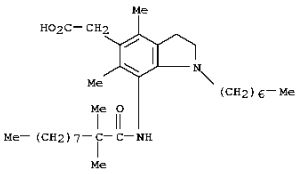
RN 189198-72-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)



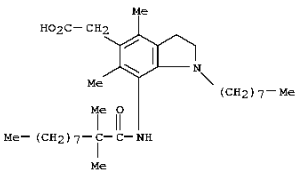
RN 189198-73-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)



RN 189198-74-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

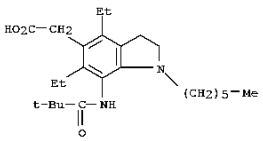


RN 189198-75-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

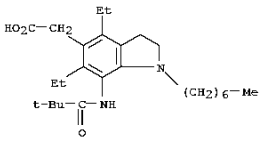


L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

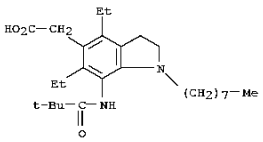
RN 189198-76-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-1-hexyl-2,3-dihydro- (CA INDEX NAME)



RN 189198-77-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-1-heptyl-2,3-dihydro- (CA INDEX NAME)

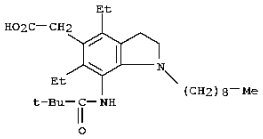


RN 189198-78-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro-1-octyl- (CA INDEX NAME)

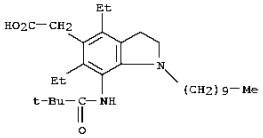


RN 189198-79-6 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro-1-nonyl- (CA INDEX NAME)

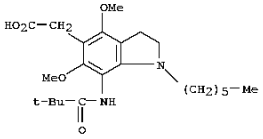
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-80-9 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro- (CA INDEX NAME)

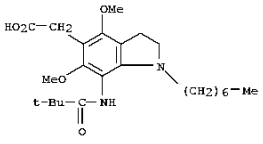


RN 189198-81-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethoxy- (CA INDEX NAME)

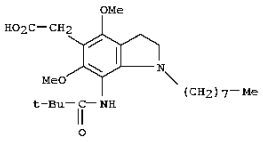


RN 189198-82-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethoxy- (CA INDEX NAME)

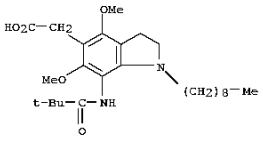
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-83-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethoxy-1-octyl- (CA INDEX NAME)

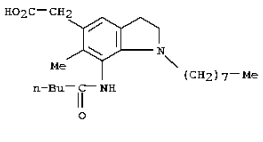


RN 189198-84-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethoxy-1-nonyl- (CA INDEX NAME)

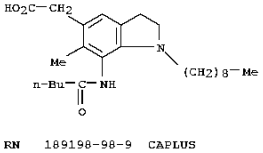


RN 189198-85-4 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethoxy- (CA INDEX NAME)

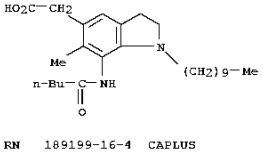
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



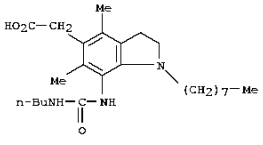
RN 189198-97-8 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-6-methyl-1-nonyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)



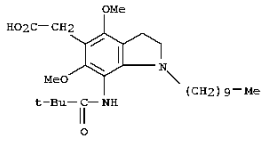
RN 189198-98-9 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-2,3-dihydro-6-methyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)



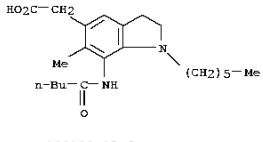
RN 189199-16-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)



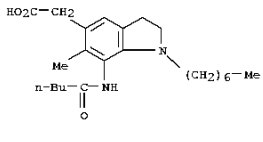
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-94-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-hexyl-2,3-dihydro-6-methyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)



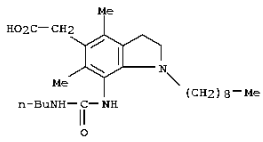
RN 189198-95-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-heptyl-2,3-dihydro-6-methyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)



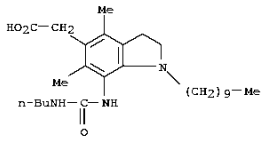
RN 189198-96-7 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-6-methyl-1-octyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

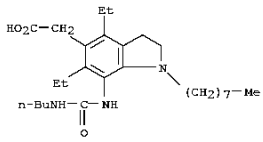
RN 189199-17-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-2,3-dihydro-4,6-dimethyl-1-nonyl- (CA INDEX NAME)



RN 189199-18-6 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-1-decyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

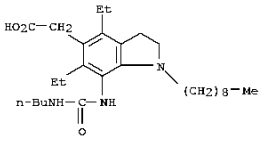


RN 189199-19-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-4,6-diethyl-2,3-dihydro-1-octyl- (CA INDEX NAME)

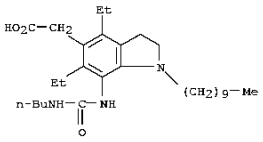


RN 189199-20-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-4,6-diethyl-2,3-dihydro-1-nonyl- (CA INDEX NAME)

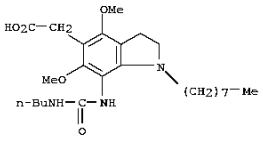
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-21-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-1-decyl-4,6-diethyl-2,3-dihydro- (CA INDEX NAME)

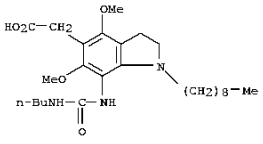


RN 189199-22-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-2,3-dihydro-4,6-dimethoxy-1-octyl- (CA INDEX NAME)

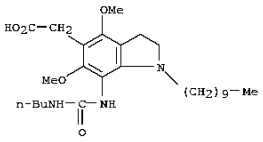


RN 189199-23-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-2,3-dihydro-4,6-dimethoxy-1-nonyl- (CA INDEX NAME)

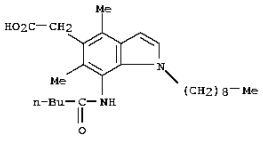
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-24-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-1-decyl-2,3-dihydro-4,6-dimethoxy- (CA INDEX NAME)

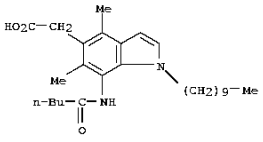


RN 189199-25-5 CAPLUS
CN 1H-Indole-5-acetic acid, 4,6-dimethyl-1-nonyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

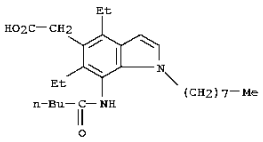


RN 189199-26-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-4,6-dimethyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

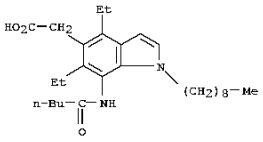
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-27-7 CAPLUS
CN 1H-Indole-5-acetic acid, 4,6-diethyl-1-octyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

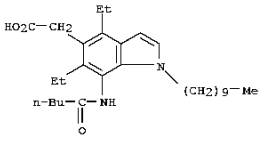


RN 189199-28-8 CAPLUS
CN 1H-Indole-5-acetic acid, 4,6-diethyl-1-nonyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

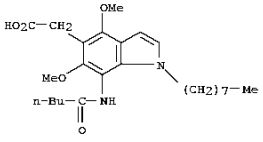


RN 189199-29-9 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-4,6-diethyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

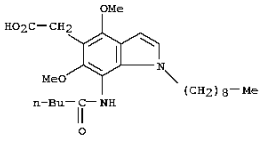
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-30-2 CAPLUS
CN 1H-Indole-5-acetic acid, 4,6-dimethoxy-1-octyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

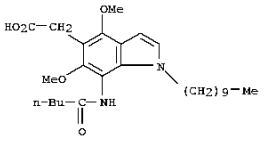


RN 189199-31-3 CAPLUS
CN 1H-Indole-5-acetic acid, 4,6-dimethoxy-1-nonyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

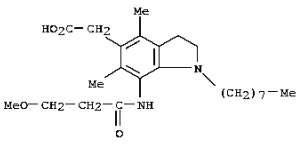


RN 189199-32-4 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-4,6-dimethoxy-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

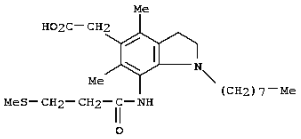
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-33-5 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-[(3-methoxy-1-oxopropyl)amino]-4,6-dimethyl-1-octyl- (CA INDEX NAME)

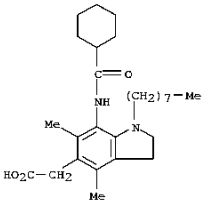


RN 189199-34-6 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-4,6-dimethyl-7-[[3-(methylthio)-1-oxopropyl]amino]-1-octyl- (CA INDEX NAME)

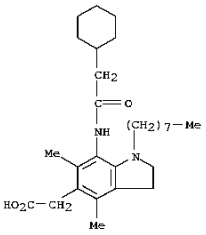


RN 189199-35-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(cyclohexylcarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

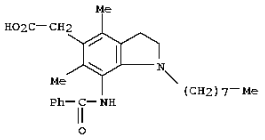


RN 189199-36-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2-cyclohexylacetyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

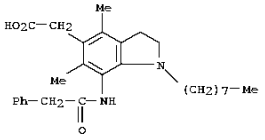


RN 189199-37-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-(benzoylamino)-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

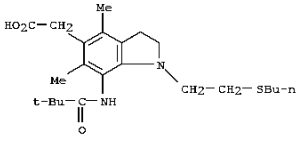
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-38-0 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-4,6-dimethyl-1-octyl-7-[(2-phenylacetyl)amino]- (CA INDEX NAME)

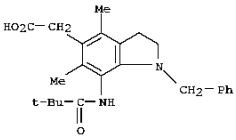


RN 189199-39-1 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[2-(butylthio)ethyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

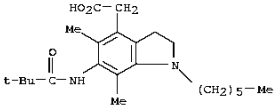


RN 189199-40-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)

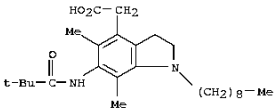
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



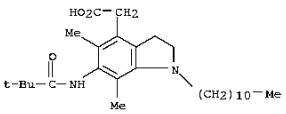
RN 189199-42-6 CAPLUS
CN 1H-Indole-4-acetic acid, 6-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-2,3-dihydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-43-7 CAPLUS
CN 1H-Indole-4-acetic acid, 6-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-5,7-dimethyl-1-nonyl- (CA INDEX NAME)

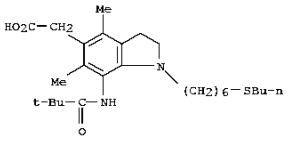


RN 189199-44-8 CAPLUS
CN 1H-Indole-4-acetic acid, 6-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-5,7-dimethyl-1-undecyl- (CA INDEX NAME)

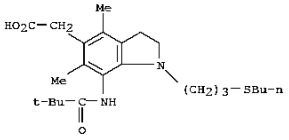


L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

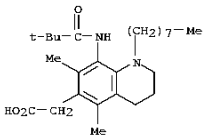
RN 189199-46-0 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[6-(butylthio)hexyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)



RN 189199-47-1 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[3-(butylthio)propyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

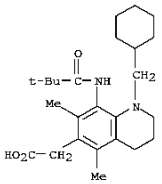


RN 189199-49-3 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)

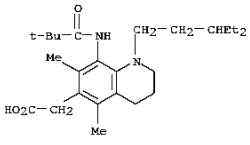


RN 189199-50-6 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

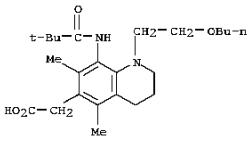
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-54-0 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-(3-ethylpentyl)-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

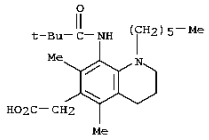


RN 189199-55-1 CAPLUS
CN 6-Quinolineacetic acid, 1-(2-butoxyethyl)-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

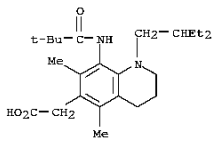


RN 189199-56-2 CAPLUS
CN 6-Quinolineacetic acid, 1-[2-(butylthio)ethyl]-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

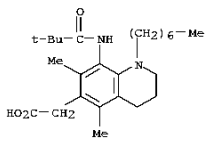
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-51-7 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethylbutyl)-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

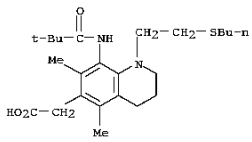


RN 189199-52-8 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-heptyl-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

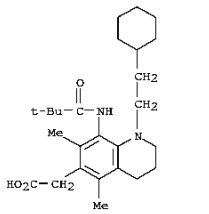


RN 189199-53-9 CAPLUS
CN 6-Quinolineacetic acid, 1-(cyclohexylmethyl)-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

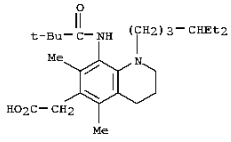
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-57-3 CAPLUS
CN 6-Quinolineacetic acid, 1-(2-cyclohexylethyl)-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

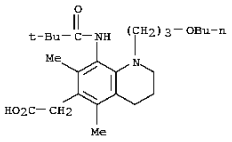


RN 189199-58-4 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-(4-ethylhexyl)-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

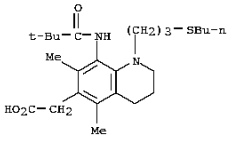


RN 189199-59-5 CAPLUS
CN 6-Quinolineacetic acid, 1-(3-butoxypropyl)-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

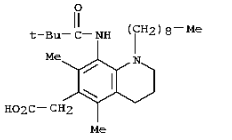
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-60-8 CAPLUS
CN 6-Quinolineacetic acid, 1-[3-(butylthio)propyl]-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

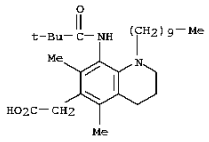


RN 189199-61-9 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-nonyl- (CA INDEX NAME)

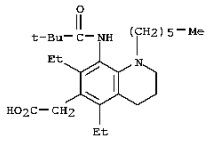


RN 189199-62-0 CAPLUS
CN 6-Quinolineacetic acid, 1-decyl-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

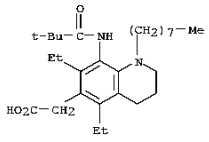
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-63-1 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-5,7-diethyl-1-hexyl-1,2,3,4-tetrahydro- (CA INDEX NAME)

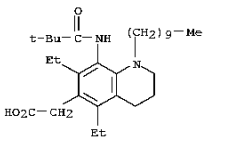


RN 189199-64-2 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-5,7-diethyl-1,2,3,4-tetrahydro-1-octyl- (CA INDEX NAME)

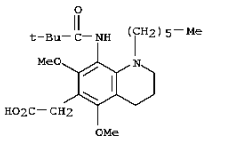


RN 189199-65-3 CAPLUS
CN 6-Quinolineacetic acid, 1-decyl-8-[(2,2-dimethyl-1-oxopropyl)amino]-5,7-diethyl-1,2,3,4-tetrahydro- (CA INDEX NAME)

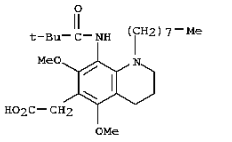
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-66-4 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-1,2,3,4-tetrahydro-5,7-dimethoxy- (CA INDEX NAME)

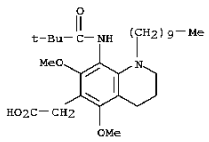


RN 189199-67-5 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethoxy-1-octyl- (CA INDEX NAME)

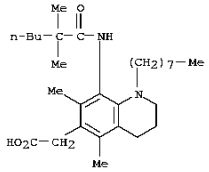


RN 189199-68-6 CAPLUS
CN 6-Quinolineacetic acid, 1-decyl-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethoxy- (CA INDEX NAME)

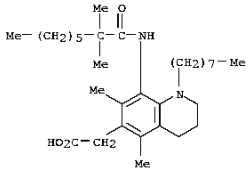
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-72-2 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxohexyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)

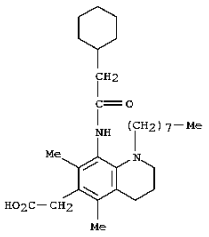


RN 189199-73-3 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxooctyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)

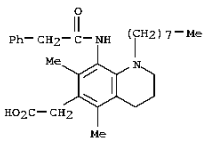


RN 189199-74-4 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2-cyclohexylacetyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)

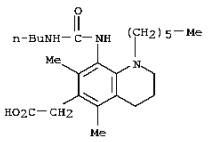
L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-75-5 CAPLUS
CN 6-Quinolineacetic acid, 1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl-8-[(2-phenylacetyl)amino]- (CA INDEX NAME)

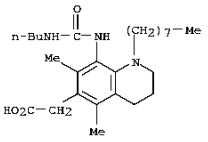


RN 189199-76-6 CAPLUS
CN 6-Quinolineacetic acid, 8-[[(butylamino)carbonyl]amino]-1-hexyl-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

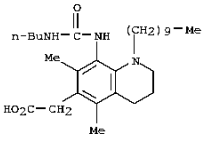


RN 189199-77-7 CAPLUS

L19 ANSWER 514 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 6-Quinolineacetic acid, 8-[[(butylamino)carbonyl]amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)



RN 189199-78-8 CAPLUS
CN 6-Quinolineacetic acid, 8-[[(butylamino)carbonyl]amino]-1-decyl-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (59 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 515 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:196180 CAPLUS
DOCUMENT NUMBER: 126:207539
ORIGINAL REFERENCE NO.: 126:40001a
TITLE: Compositions and methods using phenylacetate compounds, alone or in combination with other therapeutic agents, for treating and preventing anemia, cancer, and other pathologies and modulating lipid metabolism
INVENTOR(S): Samid, Dvorit
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: U.S., 111 pp., Cont.-in-part of U.S. Ser. No. 135,661.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5605930	A	19970225	US 1994-207521	19940307
US 6037376	A	20000314	US 1991-779744	19911021
EP 1108427	A2	20010620	EP 2000-126980	19921013
EP 1108427	A3	20040107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
EP 1108428	A2	20010620	EP 2000-126981	19921013
EP 1108428	A3	20040107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
EP 1484058	A2	20041208	EP 2004-15994	19921013
EP 1484058	A3	20050427		
EP 1484058	B1	20081231		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
EP 1484059	A2	20041208	EP 2004-15995	19921013
EP 1484059	A3	20050420		
EP 1484059	B1	20080903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
US 5635532	A	19970603	US 1993-135661	19931012
IL 111251	A	20040620	IL 1994-111251	19941011
CA 2173976	A1	19950420	CA 1994-2173976	19941012
CA 2173976	C	20080219		
WO 9510271	A2	19950420	WO 1994-US11492	19941012
WO 9510271	A3	19950622		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 702051	B2	19950504	AU 1994-79737	19941012
AU 9479737	A	19950504		
EP 725635	A1	19960814	EP 1994-930694	19941012
EP 725635	B1	20041229		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09506079	T	19970617	JP 1995-511977	19941012
JP 3628694	B2	20050316		
NZ 275673	A	20000929	NZ 1994-275673	19941012

L19 ANSWER 515 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

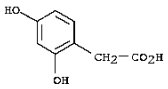
JP 2001253821	A	20010918	JP 2001-69516	19941012
JP 2003119130	A	20030423	JP 2002-302292	19941012
AT 285760	T	20050115	AT 1994-930694	19941012
EP 1523982	A2	20050420	EP 2004-30912	19941012
EP 1523982	A3	20050427		
EP 1523982	B1	20080312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT				
ES 2233931	T3	20050616	ES 1994-930694	19941012
AT 388699	T	20080315	AT 2004-30912	19941012
ES 2303624	T3	20080816	ES 2004-30912	19941012
US 5843994	A	19981201	US 1995-478264	19950607
US 5883124	A	19990316	US 1995-484615	19950607
US 5852056	A	19981222	US 1996-633833	19960410
JP 2005139208	A	20050602	JP 2005-54743	20050228
JP 2005139209	A	20050602	JP 2005-54744	20050228
HK 1077204	A1	20090206	HK 2005-109253	20051020

PRIORITY APPLN. INFO.:

US 1991-779744	A2	19911021
US 1993-135661	A2	19931012
EP 1992-922550	A3	19921013
US 1994-207521	A	19940307
EP 1994-930694	A3	19941012
JP 1995-511977	A3	19941012
JP 2001-69516	A3	19941012
WO 1994-US11492	W	19941012
EP 2000-126980	A3	20001208
EP 2000-126981	A3	20001208

OTHER SOURCE(S): MARPAT 126:207539
AB Compns. and methods are disclosed for treating anemia, cancer, AIDS, or severe β-chain hemoglobinopathies by administering a therapeutically effective amount of phenylacetate or (pharmaceutically acceptable) derivs. thereof alone or in combination or in conjunction with other therapeutic agents including retinoids, hydroxyurea, and flavonoids. Also disclosed are intravesical methods of treatment of cancers with phenylacetate. Pharmacol.-acceptable salts alone or in combination, and methods of preventing AIDS and malignant conditions and inducing cell differentiation are also aspects of this invention. A product as a combined preparation of phenylacetate and a retinoid, hydroxyurea, or flavonoid (or other mevalonate pathway inhibitor) is disclosed for simultaneous, sep., or sequential use in treating a neoplastic condition in a subject. Also disclosed are methods of modulating lipid metabolism and/or reducing serum triglycerides in a subject using phenylacetate.
IT 614-82-4, 2,4-Dihydroxyphenylacetic acid
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

L19 ANSWER 515 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(phenylacetate compds., alone or in combination, for treating and preventing anemia, cancer, and other pathologies and modulating lipid metab.)
RN 614-82-4 CAPLUS
CN Benzeneacetic acid, 2,4-dihydroxy- (CA INDEX NAME)

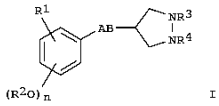


OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 516 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:124351 CAPLUS
DOCUMENT NUMBER: 126:186080
ORIGINAL REFERENCE NO.: 126:35933a,35936a
TITLE: Preparation of pyrazolidine derivatives as radical scavengers
INVENTOR(S): Nishino, Chikao; Ootake, Tatsuya; Adachi, Kentaro; Inada, Ryuhei
PATENT ASSIGNEE(S): Shiseido Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08333346	A	19961217	JP 1995-164602	19950607
JP 3162953	B2	20010508		
PRIORITY APPLN. INFO.:			JP 1995-164602	19950607

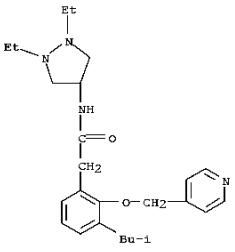
OTHER SOURCE(S): MARPAT 126:186080
GI



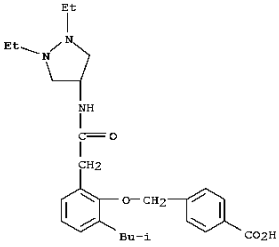
I

AB The title compds. [I; A = CH2, CO, CH2CO; B = O, NH; R1 = H, lower alkyl or alkenyl; R2 = lower alkenyl, CH2Ph, pyridylmethyl, (CH2)lOH, (CH2)mNR5R6; wherein l = 1-3; n = 1,2; R5, R5 = lower alkyl or NR5R6 = saturated heterocyclyl; R3, R4 = lower alkyl or alkenyl, CH2Ph] are prepared A radical scavenger or an inhibitor of ischemic reperfusion disorder, brain infarction, brain edema, myocardial infarction, or arrhythmia containing said compound I is claimed. (2-Benzyloxy-3-iso-butylphenyl)acetic acid was condensed with 4-amino-1,2-diethylpyrazolidine using DCC and 1-hydroxybenzotriazole in THF overnight under ice-cooling to give N-(1,2-diethyl-4-pyrazolidinyl)-2-(2-benzyloxy-3-isobutylphenyl)acetamide. This compound at 100 mg/kg i.p. in vivo inhibited 60.0% brain infarction and 48.1% brain edema in rats.
IT 186418-92-8P 186418-94-0P 186418-95-1P
186418-96-2P 186418-97-3P 186418-98-4P
186418-99-5P 186419-00-1P 186419-01-2P

L19 ANSWER 516 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
186419-02-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolidine derivs. as radical scavengers)
RN 186418-92-8 CAPLUS
CN Benzeneacetamide, N-(1,2-diethyl-4-pyrazolidinyl)-3-(2-methylpropyl)-2-(4-pyridinylmethoxy)- (CA INDEX NAME)

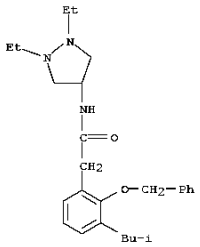


RN 186418-94-0 CAPLUS
CN Benzoic acid, 4-[[2-[2-[(1,2-diethyl-4-pyrazolidinyl)amino]-2-oxoethyl]-6-(2-methylpropyl)phenoxy]methyl]- (CA INDEX NAME)

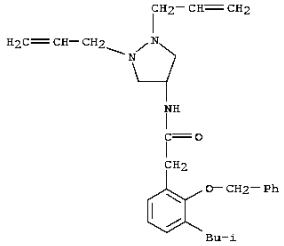


RN 186418-95-1 CAPLUS
CN Benzeneacetamide, N-(1,2-diethyl-4-pyrazolidinyl)-3-(2-methylpropyl)-2-

L19 ANSWER 516 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(phenylmethoxy)- (CA INDEX NAME)

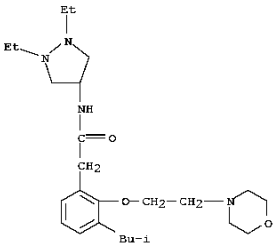


RN 186418-96-2 CAPLUS
CN Benzeneacetamide, N-(1,2-di-2-propen-1-yl-4-pyrazolidinyl)-3-(2-methylpropyl)-2-(phenylmethoxy)- (CA INDEX NAME)

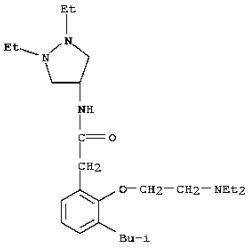


RN 186418-97-3 CAPLUS
CN Benzeneacetamide, N-(1,2-diethyl-4-pyrazolidinyl)-3-(2-methylpropyl)-2-[2-(4-morpholinyl)ethoxy]- (CA INDEX NAME)

L19 ANSWER 516 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

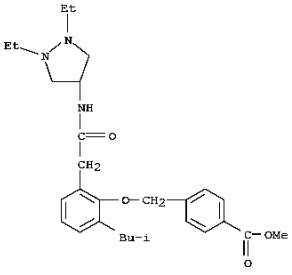


RN 186418-98-4 CAPLUS
CN Benzeneacetamide, 2-[2-(diethylamino)ethoxy]-N-(1,2-diethyl-4-pyrazolidinyl)-3-(2-methylpropyl)- (CA INDEX NAME)

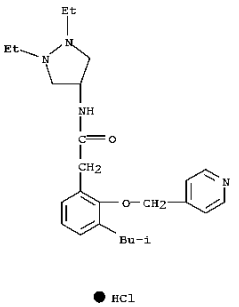


RN 186418-99-5 CAPLUS
CN Benzeneacetamide, N-(1,2-diethyl-4-pyrazolidinyl)-2-(3-hydroxypropoxy)-3-(2-methylpropyl)- (CA INDEX NAME)

L19 ANSWER 516 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



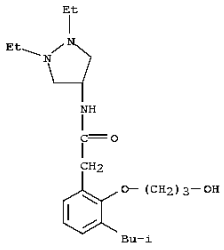
RN 186419-02-3 CAPLUS
CN Benzeneacetamide,
N-(1,2-diethyl-4-pyrazolidinyl)-3-(2-methylpropyl)-2-(4-pyridinylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



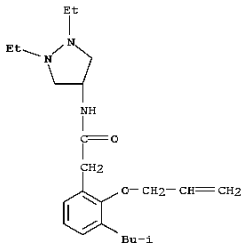
● HCl

IT 186419-07-8P 186419-31-8P,
(2-Benzyloxy-3-isobutylphenyl)acetic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolidine derivs. as radical scavengers for disease treatment)
RN 186419-07-8 CAPLUS

L19 ANSWER 516 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

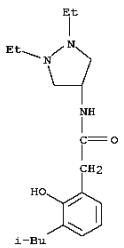


RN 186419-00-1 CAPLUS
CN Benzeneacetamide,
N-(1,2-diethyl-4-pyrazolidinyl)-3-(2-methylpropyl)-2-(2-propen-1-yloxy)- (CA INDEX NAME)

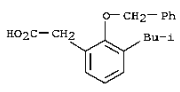


RN 186419-01-2 CAPLUS
CN Benzoic acid,
4-[[2-[2-[(1,2-diethyl-4-pyrazolidinyl)amino]-2-oxoethyl]-6-(2-methylpropyl)phenoxy]methyl]-, methyl ester (CA INDEX NAME)

L19 ANSWER 516 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzeneacetamide, N-(1,2-diethyl-4-pyrazolidinyl)-2-hydroxy-3-(2-methylpropyl)- (CA INDEX NAME)



RN 186419-31-8 CAPLUS
CN Benzeneacetic acid, 3-(2-methylpropyl)-2-(phenylmethoxy)- (CA INDEX NAME)

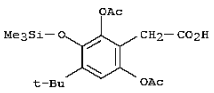


L19 ANSWER 517 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:588627 CAPLUS
DOCUMENT NUMBER: 125:212663
ORIGINAL REFERENCE NO.: 125:39527a,39530a
TITLE: p-Heteroatom-substituted phenols for treatment of cell proliferative diseases
INVENTOR(S): Gilbert, John C.; Kline, Kimberly; Krishnan, Kathiresan; Menchaca, Marla Simmons; Pinto, Marian; Sanders, Robert G.
PATENT ASSIGNEE(S): Research Development Foundation, USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622089	A1	19960725	WO 1996-US665	19960118
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5674876	A	19971007	US 1995-375633	19950120
AU 9648990	A	19960807	AU 1996-48990	19960118
ZA 9600428	A	19970721	ZA 1996-428	19960119
PRIORITY APPLN. INFO.:			US 1995-375633 A	19950120
			WO 1996-US665 W	19960118

OTHER SOURCE(S): MARPAT 125:212663
AB The present invention provides antiproliferative p-heteroatom-substituted phenol compds. and their analogs for the treatment of neoplastic and non-neoplastic diseases. 9-Acetoxy-8,10-dimethyljulolidine (I) was prepared and its inhibition of DNA synthesis was investigated. I significantly inhibited the proliferation of MCF-7 and HL-60 cells at 10 μg/mL, and MB-435 cells at 5 μg/mL.
IT 181421-91-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiproliferative effects of p-heteroatom-substituted phenols)
RN 181421-91-0 CAPLUS
CN Benzeneacetic acid, 2,6-bis(acetyloxy)-4-(1,1-dimethylethyl)-3-[(trimethylsilyl)oxy]- (CA INDEX NAME)

L19 ANSWER 517 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

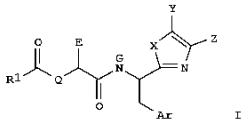


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

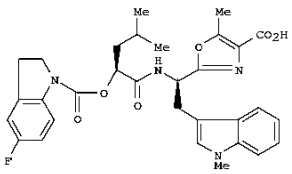
L19 ANSWER 518 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:462297 CAPLUS
DOCUMENT NUMBER: 125:143312
ORIGINAL REFERENCE NO.: 125:26849a,26852a
TITLE: Preparation of [(acylamino)(indolyl)ethyl]azolecarboxylates and related compounds as endothelin antagonists.
INVENTOR(S): Von Geldern, Thomas; Kester, Jeffrey A.; Tasker, Andrew S.; Sorensen, Brian K.; Rosenberg, Saul H.; Hutchins, Charles W.; Winn, Martin
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611927	A1	19960425	WO 1995-US13373	19951010
W: CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1994-322114 A	19941012
			US 1995-442124 A	19950530

OTHER SOURCE(S): MARPAT 125:143312
GI



I

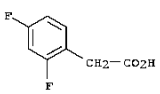


II

AB Title compds. [I; X = imino, O, S; Q = O, (substituted) methylene; R1 = alkyl, cycloalkyl, aralkyl aralkenyl, aryloxy, amino, spirocarbocyclyl, spiroheterocyclyl, etc.; E = (substituted) alkyl; G = H, alkyl; Ar = aryl, bicyclic aryl, bicyclic heteroaryl; Y = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl; Z = acyl, cyano, OH, tetrazolyl, OH, alkoxy, sulfonamido, specified heterocyclyl, etc.], were prepd. Thus, title compd. (II), prepd. by soln. phase couplings, at 1 μM inhibited [125I]ET-1 binding to endothelin A receptors by 81.2%.

L19 ANSWER 518 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

IT 81228-09-3, 2,4-Difluorophenylacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of [(acylamino)(indolyl)ethyl]azolecarboxylates and related compds. as endothelin antagonists)
RN 81228-09-3 CAPLUS
CN Benzeneacetic acid, 2,4-difluoro- (CA INDEX NAME)



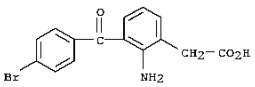
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 519 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:426075 CAPLUS
DOCUMENT NUMBER: 125:104304
ORIGINAL REFERENCE NO.: 125:19235a,19238a
TITLE: Lack of interaction between bromfenac and methotrexate
in patients with rheumatoid arthritis
AUTHOR(S): Gumbhir-Shah, Kavita; Cevallos, William H.; DeCleene, Sheryl A.; Korth-Bradley, Joan M.
CORPORATE SOURCE: Departments Clinical Pharmacokinetics and Clinical Pharmacology, Wyeth-Ayerst Research, Philadelphia, PA, 19101-8299, USA
SOURCE: Journal of Rheumatology (1996), 23(6), 984-989
CODEN: JRHUA9; ISSN: 0315-162X
PUBLISHER: Journal of Rheumatology Publishing Co. Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB No clin. significant changes in methotrexate pharmacokinetics were detected in rheumatoid arthritis patients when bromfenac was added to methotrexate therapy. Although serum concns. of the metabolite 7-hydroxymethotrexate were elevated, the changes were small and unlikely to be of clin. significance. Methotrexate did not alter the pharmacokinetics of bromfenac.

IT 91714-94-2, Bromfenac
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(lack of interaction between bromfenac and methotrexate in humans)

RN 91714-94-2 CAPLUS
CN Benzeneacetic acid, 2-amino-3-(4-bromobenzoyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

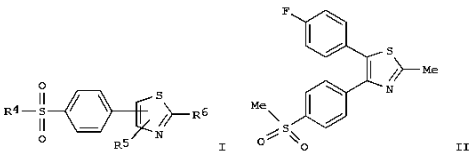
L19 ANSWER 520 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:353214 CAPLUS
DOCUMENT NUMBER: 125:33628
ORIGINAL REFERENCE NO.: 125:6569a,6572a
TITLE: Substituted thiazoles for the treatment of inflammation
INVENTOR(S): Talley, John J.; Carter, Jeffery S.; Collins, Paul W.; Kramer, Steven W.; Penning, Thomas D.; Rogier, Donald J., Jr.; Rogers, Roland S.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603392	A1	19960208	WO 1995-US9444	19950726
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2195847	A1	19960208	CA 1995-2195847	19950726
AU 9532010	A	19960222	AU 1995-32010	19950726
EP 772606	A1	19970514	EP 1995-928145	19950726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10504542	T	19980506	JP 1995-505961	19950726
EP 1125932	A2	20010822	EP 2001-112264	19950726
EP 1125932	A3	20010829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5668161	A	19970916	US 1996-679462	19960709

PRIORITY APPLN. INFO.: US 1994-281288 A 19940727
EP 1995-928145 A3 19950726
WO 1995-US9444 W 19950726

OTHER SOURCE(S): MARPAT 125:33628
GI

L19 ANSWER 520 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

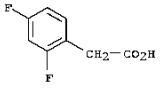


I II

AB A class of substituted thiazolyl compds. is described, useful for treatment of inflammation and related disorders (arthritis, pain, and fever). Compds. of particular interest are I [R4 = alkyl and amino; R5 = (un)substituted aryl, cycloalkyl, cycloalkenyl, and heterocyclyl; R6 = halo, (un)substituted amino, (un)substituted alkoxy, NO2, OH, substituted carbonyl, acyl, alkenyl, alkynyl, (un)substituted alkyl, (un)substituted aryl or heterocyclyl] and their pharmaceutically acceptable salts. For example, Friedel-Crafts acylation of MeSPh with 4-FC6H4CH2COCl gave 48% 4-MeSC6H4COCH2C6H4F-4, which underwent a sequence of α-bromination (69%), cyclocondensation with thioacetamide (68%), and S-oxidation with m-ClC6H4C(O)OOH (57%), to give a preferred title compound, II. In the carrageenan-induced rat paw edema test, II gave 48% inhibition at 20 mg/kg orally. Examples include 65 addnl. syntheses, edema and analgesia assays in vivo, and selective inhibition of recombinant cyclooxygenase 2 in vitro.

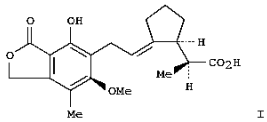
IT 81228-09-3, 2,4-Difluorophenylacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of substituted thiazoles as antiinflammatories)

RN 81228-09-3 CAPLUS
CN Benzeneacetic acid, 2,4-difluoro- (CA INDEX NAME)

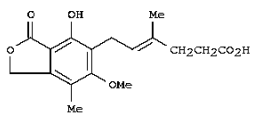


OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (37 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 521 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:136169 CAPLUS
DOCUMENT NUMBER: 124:260658
ORIGINAL REFERENCE NO.: 124:48287a,48290a
TITLE: Asymmetric Synthesis and Stereochemical Assignment of RS-97613, a Potent Immunosuppressive and Antiinflammatory Agent
AUTHOR(S): Smith, David B.; Waltos, Ann Marie; Loughhead, David G.; Weikert, Robert J.; Morgans, David J., Jr.; Rohloff, John C.; Link, John O.; Zhu, Rong-rong
CORPORATE SOURCE: Department of Medicinal Chemistry, Institute of Organic Chemistry, Palo Alto, CA, 94304, USA
SOURCE: Journal of Organic Chemistry (1996), 61(6), 2236-41
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:260658
GI



I

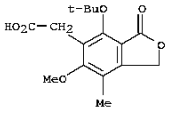


II

AB A practical asym. synthesis of RS-97613 (I), a potent inhibitor of inosine monophosphate dehydrogenase (IMPDH) is described. The synthesis begins with mycophenolic acid (II) and utilizes as key steps the coupling of cyclopentenylzinc chloride to an acid chloride, a modified CBS reduction of an achiral enone, a Johnson Claisen rearrangement, and a diastereoselective alkylation of an ester. The overall yield for the nine step sequence from II to I is 25%. Both the absolute and relative stereochem. of the compound have been unambiguously established. In vivo (mouse hemolytic plaque forming assay, rat adjuvant induced arthritis), the compound has proven to be more than 5 times as potent as mycophenolic acid (II) as an immunosuppressive and antiinflammatory agent.

IT 175233-93-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L19 ANSWER 521 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(Reactant or reagent)
(abs. configuration of RS-97613 via asym. synthesis from mycophenolic acid)
RN 175233-93-9 CAPLUS
CN 5-Isobenzofuranacetic acid, 4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3-dihydro-6-methoxy-7-methyl-3-oxo- (CA INDEX NAME)

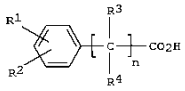


OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

L19 ANSWER 522 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:123687 CAPLUS
DOCUMENT NUMBER: 124:185543
ORIGINAL REFERENCE NO.: 124:34159a,34162a
TITLE: Aminobenzoic acid derivatives for treatment of chronic inflammatory diseases
INVENTOR(S): Shapiro, Howard K.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

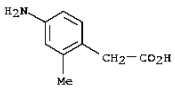
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9531194	A1	19951123	WO 1995-US6044	19950511
W: AU, CA, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2190107	A1	19951123	CA 1995-2190107	19950511
AU 9526378	A	19951205	AU 1995-26378	19950511
AU 698881	B2	19981112		
EP 759750	A1	19970305	EP 1995-921256	19950511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1994-241603 A	19940511
			WO 1995-US6044 W	19950511

OTHER SOURCE(S): MARPAT 124:185543
GI

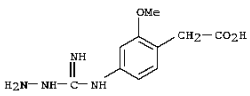


I

AB Aminobenzoic acid deriva. and analogs [I; R1 = NH2, C1-10 aminoalkyl, C(:NH)NH2, (CH2)nNHC(:NH)NH2, (CH2)mCH:NC(:NH)NH2, (CH2)nNHC(:NH)NHNH2, (CH2)mCH:NC(:NH)NHNH2, (CH2)nNHNHC(:NH)NH2, (CH2)mCH:NNHC(:NH)NH2; m = 1-10; n = 0-10; R2 = H, OH, C1-10 alkoxy, C1-10 aminoalkyl, SO3H, C1-11 alkyl; R3, R4 = H, OH, Me; p = 0, 1] and their salts, esters, and amides are useful for clin. treatment of chronic inflammatory diseases including arthritis, ileitis, and colitis, as well as trauma resulting from ischemia and subsequent reperfusion. Increased lipid peroxidn. is common to the etiol. of all these clin. disorders. Such increased lipid peroxidn. generates carbonyl substances which are cytotoxic and addnl. serve to perpetuate and disseminate the inflammatory process. I are administered orally as carbonyl trapping agents which act by chem. binding to and sequestering the aldehyde and/or ketone products of lipid peroxidn. P-Aminobenzoic acid, a suitable example of I, has a small mol. wt., is water sol., has a primary amine group which should react with carbonyl-contg. metabolites under physiol. conditions, and is tolerated by the body in relatively high dosages and for extended periods.
I may optionally be administered together with an antioxidant free radical-trapping substance and ≥1 medicament effective for treating chronic inflammatory diseases to produce an additive or synergistic effect. Thus, a topical compn. for treatment of chronic gingivitis or periodontitis contained p-aminomethylbenzoic acid 5, acetylhomocysteine thiolactone 1, and metronidazole 2 g.
IT 34841-55-9 173732-06-4 173732-08-6 173732-09-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(aminobenzoic acid deriva. for treatment of chronic inflammatory diseases)
RN 34841-55-9 CAPLUS
CN Benzeneacetic acid, 4-amino-2-methyl- (CA INDEX NAME)

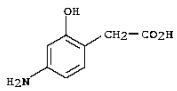


RN 173732-06-4 CAPLUS
CN Benzeneacetic acid, 4-[(hydrazinyliminomethyl)amino]-2-methoxy- (CA INDEX NAME)

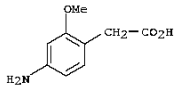


RN 173732-08-6 CAPLUS
CN Benzeneacetic acid, 4-amino-2-hydroxy- (CA INDEX NAME)

L19 ANSWER 522 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 173732-09-7 CAPLUS
CN Benzeneacetic acid, 4-amino-2-methoxy- (CA INDEX NAME)



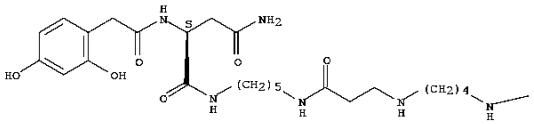
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 523 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:770934 CAPLUS
DOCUMENT NUMBER: 123:190893
ORIGINAL REFERENCE NO.: 123:33805a,33808a
TITLE: Effects of a spider toxin and its analog on glutamate-activated currents in the hippocampal CA1 neuron after ischemia
AUTHOR(S): Tsubokawa, Hiroshi; Oguro, Keiji; Masuzawa, Toshio; Nakaima, Terumi; Kawai, Nobufumi
CORPORATE SOURCE: Department of Physiology and Neurosurgery, Jichi Medical School, Osaka, 618, Japan
SOURCE: Journal of Neurophysiology (1995), 74(1), 218-25
CODEN: JONEA4; ISSN: 0022-3077
PUBLISHER: American Physiological Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors studied the effects of polyamine toxins derived from a spider venom on CA1 pyramidal neurons in gerbil hippocampal slices by patch-clamp recording. Joro spider toxin (JSTX) and its synthetic analog, 1-naphthylacetylspermine (Naspm), which are known to block non-N-methyl-D-aspartate (non-NMDA) receptor in a subunit specific manner, were used. Naspm depressed the excitatory postsynaptic currents (EPSCs) mediated by non-NMDA receptor channels. A further reduction of EPSCs occurred with addition of 6-cyano-7-nitroquinoxaline-2,3-dione (CNQX). Conversely, when CNQX was applied first, no further depression of EPSCs occurred on addition of Naspm, indicating that Naspm blocks a fraction of the CNQX-sensitive non-NMDA-receptor-mediated currents. After ischemia, the time course of EPSCs of CA1 pyramidal neurons was slowed and Naspm depressed the slow EPSCs more strongly than those in control neurons. Anal. of single -channel currents by outside-out patch-clamp recording from ischemic CA1 neurons revealed that Naspm blocked a subpopulation of α-amino-3-hydroxy-5-methylisoxazole-4-propionate- and kainate-induced single-channel currents. Because the EPSCs in CA1 neurons after ischemia are mediated by Ca2+-permeable non-NMDA receptor-mediated conductances, the present results indicate that Naspm and JSTX are effective at blocking abnormal EPSCs that may induce Ca2+ accumulation leading to delayed neuronal death after transient ischemic insult.
IT 112163-33-4, JSTX-3
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (spider toxin and analog effect on glutamate-activated currents in hippocampal CA1 neuron after ischemia)
RN 112163-33-4 CAPLUS
CN Butanediamide, N1-[5-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]-1-oxopropyl]amino]pentyl]-2-[2-[(2,4-dihydroxyphenyl)acetyl]amino]-, (2S)- (CA INDEX NAME)

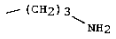
Absolute stereochemistry.

L19 ANSWER 523 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

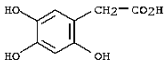


PAGE 1-B



OS.CITING REF COUNT: 43 THERE ARE 43 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)

L19 ANSWER 524 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:702969 CAPLUS
DOCUMENT NUMBER: 123:109450
ORIGINAL REFERENCE NO.: 123:19499a,19502a
TITLE: ESR evidence for the oxidative cleavage of gentisic acid in basic aqueous solution
AUTHOR(S): Capelle, S.; Paillat, C.; Cotelle, P.; Planckaert, B.; Catteau, J. P.
CORPORATE SOURCE: Laboratoire de Chimie Organique Physique, UST Lille, Villeneuve d'Ascq, Fr.
SOURCE: Redox Report (1995), 1(3), 219-23
CODEN: RDRPE4; ISSN: 1351-0002
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The oxidative cleavage of 2,5-dihydroxybenzoic acid (gentisic acid), presumably into maleylpyruvate in basic aqueous solution has been shown by ESR spectra of semiquinonic radicals bearing a methylenic group. One of these radicals has been unambiguously attributed to 2,4,5-trihydroxyphenylacetic acid semiquinonic radical. The formation of an hydroxylated homogentisic acid from gentisic acid (a metabolite of aspirin) is of particular importance in the treatment of alkaptonuria and related inflammatory arthritis.
IT 51109-27-4, 2,4,5-Trihydroxyphenylacetic acid
RL: ANT (Analyte); BOC (Biological occurrence); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); OCCU (Occurrence) (dihydroxybenzoic acid oxidative cleavage to trihydroxyphenylacetic acid in relation to alkaptonuria)
RN 51109-27-4 CAPLUS
CN Benzeneacetic acid, 2,4,5-trihydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

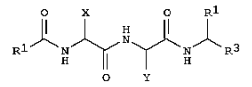
L19 ANSWER 525 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:667082 CAPLUS
DOCUMENT NUMBER: 123:84007
ORIGINAL REFERENCE NO.: 123:15060h,15061a
TITLE: Preparation of peptideamide endothelin converting enzyme inhibitors.
INVENTOR(S): Leban, Johann Jakob; Sherman, Douglas Byron; Sigafoos, James Frederick; Spaltenstein, Andreas; Viveros, Osvaldo Humberto; Wan, David Chi-cheong
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9415956	A1	19940721	WO 1994-GB9	19940104
W: AU, CA, CN, FI, HU, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9400008	A	19950703	ZA 1994-8	19940103
AU 9458202	A	19940815	AU 1994-58202	19940104
EP 677059	A1	19951018	EP 1994-903951	19940104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08506569	T	19960716	JP 1994-515796	19940104
JP 3529381	B2	20040524		
EP 1029869	A1	20000823	EP 2000-201447	19940104
EP 1029869	B1	20030423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 238343	T	20030515	AT 2000-201447	19940104
ES 2193919	T3	20031116	ES 2000-201447	19940104
US 6235717	B1	20010522	US 1995-481365	19950703

PRIORITY APPLN. INFO.: GB 1993-48 A 19930104
EP 1994-903951 A3 19940104
WO 1994-GB9 W 19940104

OTHER SOURCE(S): MARPAT 123:84007
GI

L19 ANSWER 525 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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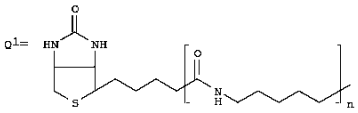


AB Title compds. I; R1 = alkyl, carboxyalkyl, alkoxycarbonylalkyl, (substituted) aryl, aralkyl, aralkoxy, aryloxyalkyl, diphenylalkyl, Q1, R5CONH(CH2)5[Z(CH2)5]n, PhCH2O2CNHCH(CH2CO2R6); n = 0,1; Z = CONH, CH2; R5 = PhCH2O, 1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl, 2,5-dioxo-4-imidazolidinyl; R6 = H, alkyl; R2 = indol-3-ylmethyl, (substituted) aryl, aralkyl; R3 = CHO, maleimidomethyl, methoxycarbonylvinyl, dimethoxymethyl, semicarbaxonomethyl, alkyl, etc.; X = alkyl, indolylmethyl, naphthylmethyl, benzyloxybenzyl, cycloalkylmethyl, (substituted) PhCH2; Y = indolylmethyl, naphthylmethyl, benzyloxybenzyl, alkyl, (substituted) PhCH2, were prepared Thus, N-[5-[(hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl)pentanoyl]-L-p-bromophenylalanyl-L-1-naphthylalanyl-L-N-[1-formyl]-2-(1H-indol-3-yl)ethyl]amide (solution phase preparation given) showed IC50 = 0.002 μM in an endothelin converting enzyme assay in porcine aortal prepns.

IT 164785-68-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptideamide endothelin converting enzyme inhibitors)

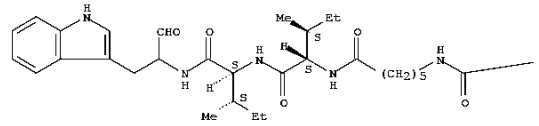
RN 164785-68-6 CAPLUS

CN L-Isoleucinamide, N-[6-[[6-[[(2,5-dioxo-4-imidazolidinyl)acetyl]amino]-1-oxohexyl]amino]-1-oxohexyl]-L-isoleucyl-N-[1-formyl-2-(1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

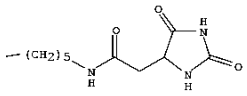
Absolute stereochemistry.

L19 ANSWER 525 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A



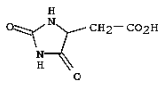
PAGE 1-B



IT 5427-26-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptideamide endothelin converting enzyme inhibitors)

RN 5427-26-9 CAPLUS

CN 4-Imidazolidineacetic acid, 2,5-dioxo- (CA INDEX NAME)



IT 164786-11-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptideamide endothelin converting enzyme inhibitors)

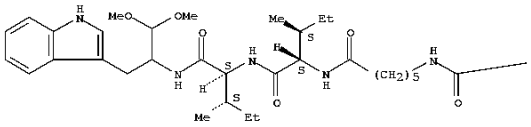
RN 164786-11-2 CAPLUS

CN L-Isoleucinamide, N-[6-[[6-[[(2,5-dioxo-4-imidazolidinyl)acetyl]amino]-1-oxohexyl]amino]-1-oxohexyl]-L-isoleucyl-N-[1-(1H-indol-3-ylmethyl)-2,2-dimethoxyethyl]- (9CI) (CA INDEX NAME)

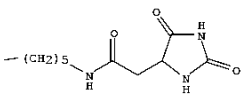
Absolute stereochemistry.

L19 ANSWER 525 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

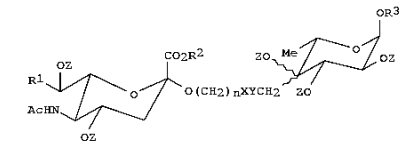
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 526 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:557095 CAPLUS
DOCUMENT NUMBER: 122:315042
ORIGINAL REFERENCE NO.: 122:57313a,57316a
TITLE: Preparation of disaccharide selectin liganda.
INVENTOR(S): Allanson, Nigel Mark; Davidson, Alan Hornsby
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417084	A1	19940804	WO 1994-GB88	19940119
W: AU, CA, FI, JP, KR, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9458401	A	19940815	AU 1994-58401	19940119
EP 680487	A1	19951108	EP 1994-904271	19940119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5703059	A	19971230	US 1996-492002	19960312
PRIORITY APPLN. INFO.:			GB 1993-989 A	19930119
			WO 1994-GB88 W	19940119

OTHER SOURCE(S): MARPAT 122:315042
GI



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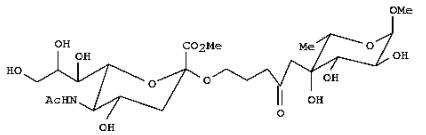
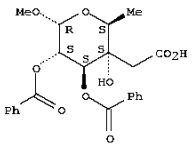


II

AB Title compds. [I; Z = H, protecting group; Y = bond, CO, CH(OH), CH(OR5); X = CH:CH, CH2CH2, CH(OH)CH(OH), epoxy, etc.; R1 = H, CH(OZ)CH2(OZ); R2 = H, pharmaceutically acceptable cation, C1-6 alkyl, C2-6 alkenyl,

L19 ANSWER 526 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(substituted) phenylalkyl; R3 = H, alkyl alkylphenyl, benzoate; R5 = C1-3 alkyl, glycosyl; n = 1, 2, 3], were prepd. I are ligands of E-, P-, and L-selectins and are useful as antiinflammatory agents and as agents for the control of tumor metastasis. Thus, Me β-chlorotetra-O-acetyl-N-acetylneuraminidate was stirred with silver salicylate and 4Å mol. sieves in allyl alc. to give 93% Me O-ally-tetra-O-acetyl-N-acetylneuraminidate, which was ozonolyzed in CH2Cl2/MeOH at 0° to give 66% Me α-O-(2-oxoethyl)tetra-O-acetyl-N-acetylneuraminidate. This was stirred with α-O-methyl-2,3-di-O-benzoyl-4R-hydroxy-4-(3-dimethylphosphono-2-oxopropyl)-L-fucopyranoside (prepn. given) and Cs2CO3 in Me3COH to give 60% enone coupling product, which was hydrogenated in MeOH over Pd/C followed by deacetylation with NaOMe in MeOH to give the title compd. II. II inhibited E-selectin mediated adhesion between leucolytic cell line U937 and a Chinese hamster ovary cell line by 65% at 17.1 mM, vs. 54% inhibition at 0.5 mM for 3'-sialyl-3-fucosyllactose.
IT 163106-73-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of disaccharide selectin ligands)
RN 163106-73-8 CAPLUS
CN α-L-Glucopyranoside, methyl 4-C-(carboxymethyl)-6-deoxy-, 2,3-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

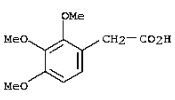
L19 ANSWER 527 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:270123 CAPLUS
DOCUMENT NUMBER: 120:270123
ORIGINAL REFERENCE NO.: 120:47847a,47850a
TITLE: Preparation of annelated dihydropyridines as drugs.
INVENTOR(S): Arndts, Dietrich; Loesel, Walter; Roos, Otto
PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Germany
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4220345	A1	19931223	DE 1992-4220345	19920622
WO 9400435	A1	19940106	WO 1993-EP1554	19930618
W: AU, BG, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9343273	A	19940124	AU 1993-43273	19930618
AU 691468	B2	19980514		
EP 647220	A1	19950412	EP 1993-913009	19930618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
RU 2127736	C1	19990320	RU 1995-105584	19930618
EP 957092	A1	19991117	EP 1999-112223	19930618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
PL 177745	B1	20000131	PL 1993-306804	19930618
US 5643919	A	19970701	US 1995-475154	19950607
US 5674878	A	19971007	US 1995-477214	19950607
US 5861412	A	19990119	US 1997-872584	19970610

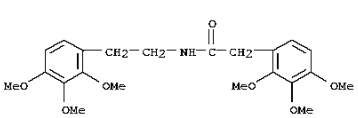
PRIORITY APPLN. INFO.:
DE 1992-4202368 A 19920622
DE 1992-4220312 A 19920622
DE 1992-4220319 A 19920622
DE 1992-4220345 A 19920622
DE 1992-4220353 A 19920622
DE 1992-4220355 A 19920622
DE 1992-4220368 A 19920622
DE 1992-4220369 A 19920622
DE 1992-4220373 A 19920622
EP 1993-913009 A3 19930618
WO 1993-EP1554 A 19930618

L19 ANSWER 527 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 1993-81599 B1 19930622
US 1994-249822 B1 19940526
US 1995-478298 B1 19950606

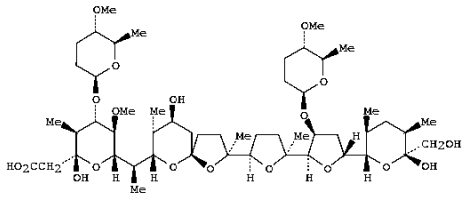
OTHER SOURCE(S): MARPAT 120:270123
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; X = OR1, NHR2, NR3R4; R = alkyl, OH, N3, halo, CF3, alkoxy, CHO, COR1, NHR2, NR3R4; n = 1-3; R1 = H, (substituted) alkyl; R2-R4 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, (substituted) alkyl, Ph; R3R4N = (partially) saturated (substituted) heterocyclyl; A = Q1-Q4, etc.; R6, R7 = H, OH, alkyl, alkoxy, amino, methanesulfonylamino; R6R7 = OCH2O, OCH2CH2O; R8 = H, alkyl, alkoxy; R10 = H, 2-phenyl-2-ethoxycarbonylacetyl] and tautomers and salts thereof, were prepared as cardiovascular agents and for treatment of chronic inflammatory conditions, ulcerative colitis, and Crohn's disease (no data). Thus, 4-methoxyphenylmalonic acid N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-bis[2-(2,3,4-trimethoxyphenyl)ethyl]diamide (preparation given) was refluxed with POCl3 in MeCN to give title compound II, isolated as the oxalate salt. Generic I dosage formulations are given.
IT 22480-91-7P 153851-90-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for anellated dihydropyridine drug)
RN 22480-91-7 CAPLUS
CN Benzeneacetic acid, 2,3,4-trimethoxy- (CA INDEX NAME)



RN 153851-90-2 CAPLUS
CN Benzeneacetamide, 2,3,4-trimethoxy-N-[2-(2,3,4-trimethoxyphenyl)ethyl]- (CA INDEX NAME)



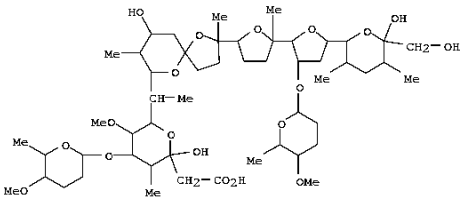
L19 ANSWER 528 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:35557 CAPLUS
DOCUMENT NUMBER: 118:35557
ORIGINAL REFERENCE NO.: 118:6403a,6406a
TITLE: Isolation and structure of a new polyether antibiotic, octacyclomycin
AUTHOR(S): Funayama, Shinji; Nozoe, Shigeo; Tronquet, Claude; Anraku, Yumi; Komiyama, Kanki; Omura, Satoshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Aobayama, 980, Japan
SOURCE: Journal of Antibiotics (1992), 45(10), 1686-91
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

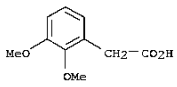
AB Besides sohbumycin, Streptomyces 82-85 produced a new polyether antibiotic named octacyclomycin (I) which showed both cytocidal activity against B16 melanoma cells and antimicrobial activity against Gram-pos. bacteria in vitro. The antibiotic showed no inhibitory activity against Gram-neg. bacteria, yeast and fungi at the concentration of 500 μg/mL. The fermentation broth (300 L) was mixed with 15 kg of Hyflo Super-Cel and then filtered with a filter press. The brown filtrate (260 L) was adjusted to pH 6.0 and extracted with EtOAc (2 + 150 L) and the combined EtOAc layers were concentrated to about 10 L, washed with H2O (5 L) and dried over Na2SO4 (anhydrous). Concentration of the EtOAc layer resulted in a brown oil. The brown oil was chromatographed over silica gel. Fractions which showed antimicrobial activity against Micrococcus luteus were collected and further chromatographed over silica gel to afford octacyclomycin Na salt (51.7 mg) as a colorless powder.
IT 98824-17-0P, Octacyclomycin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)

L19 ANSWER 528 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(structure and isolation and antibacterial activity of, from Streptomyces)
RN 98824-17-0 CAPLUS
CN Semduramicin, 30-hydroxy-5-(tetrahydro-5-methoxy-6-methyl-2H-pyran-2-yl)-(9CI) (CA INDEX NAME)

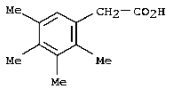


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

L19 ANSWER 529 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:81550 CAPLUS
DOCUMENT NUMBER: 114:81550
ORIGINAL REFERENCE NO.: 114:13913a,13916a
TITLE: Specific bradycardic agents. 1. Chemistry, pharmacology, and structure-activity relationships of substituted benzazepinones, a new class of compounds exerting antiischemic properties [Erratum to document cited in CA112(21):198106m]
AUTHOR(S): Reiffen, Manfred; Eberlein, Wolfgang; Mueller, Peter; Psiorz, Manfred; Noll, Klaus; Heider, Joachim; Lillie, Christian; Kobinger, Walter; Luger, Peter
CORPORATE SOURCE: Dep. Chem. Res., Dr. Karl Thomae G.m.b.H., Biberach, D-7950/1, Germany
SOURCE: Journal of Medicinal Chemistry (1990), 33(12), 3229
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Errors in the contribution line have been corrected The errors were not reflected in the abstract or the index entries.
IT 90-53-9 53546-73-9
RL: PROC (Process)
(conversion of, to verapamil analog (Erratum))
RN 90-53-9 CAPLUS
CN Benzeneacetic acid, 2,3-dimethoxy- (CA INDEX NAME)



RN 53546-73-9 CAPLUS
CN Benzeneacetic acid, 2,3,4,5-tetramethyl- (CA INDEX NAME)



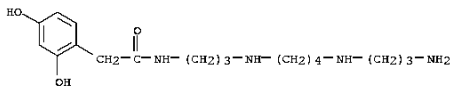
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L19 ANSWER 530 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:584729 CAPLUS
DOCUMENT NUMBER: 113:184729
ORIGINAL REFERENCE NO.: 113:31087a,31090a
TITLE: Preparation of arylamides for treatment of mental disorders
INVENTOR(S): Usherwood, Peter Norman Russell; Bycroft, Barrie Walsham; Blagbrough, Ian Stuart; Mather, Alan John
PATENT ASSIGNEE(S): National Research Development Corp., UK
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

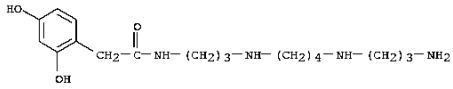
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9002114	A1	19900308	WO 1989-GB1004	19890830
W: AU, DK, JP, US				
GB 2222590	A	19900314	GB 1989-19563	19890830
GB 2222590	B	19920722		
AU 8941927	A	19900323	AU 1989-41927	19890830
AU 629856	B2	19921015		
EP 361687	A1	19900404	EP 1989-308741	19890830
EP 361687	B1	19931027		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 03501022	T	19910307	JP 1989-509210	19890830
AT 96421	T	19931115	AT 1989-308741	19890830
US 5218000	A	19930608	US 1990-473983	19900418
DK 9001052	A	19900427	DK 1990-1052	19900427

PRIORITY APPLN. INFO.: GB 1988-20442 A 19880830
EP 1989-308741 A 19890830
WO 1989-GB1004 A 19890830

OTHER SOURCE(S): CASREACT 113:184729; MARPAT 113:184729
AB The title compds. Aa(C6H5-a)XbCONH(CH2)cND(CH2)dNE(CH2)f[NY(CH2)h]iNGJ [A = OH, alkoxy, cycloalkoxy, acyloxy, halo, etc.; a = 0-5; Y = C1-6 (un)substituted aliphatic hydrocarbyl; b = 0, 1; c, d, f, h = 2 - 6; i = 0, 1; D, E, Y = H, C1-C4 alkyl, cycloalkyl; G, J, N = heterocyclyl] are effective for the treatment of cerebral disorders, such as psychosis, senile dementia, and ischemia. To a solution of 4-hydroxyphenylacetic acid in 1,2-dimethoxyethane (DME) was added a solution of dicyclohexyl carbodiimide in DME and left at 25° for 3 h. The precipitate was filtered and the filtrate and the washings were combined and a solution of spermine was added and sealed under an atmospheric of N and allowed to stand at 25° for 48 h and then concentrated The residue was purified with column chromatog. and lyophilized to give N-(hydroxyphenylacetyl)spermine (I). The potency of I was tested as an antagonist of N-methyl-D-aspartate (NMDA)- and (RS)-α-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA)-induced response in a rat brain slice model. I at 10-5M decreased electrophysiol. recorded depolarization responses by22% for AMPA-induced one and 35% for NMDA-indeced one from the control level.
IT 122306-07-4P 130210-37-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of mental disorders)
RN 122306-07-4 CAPLUS
CN Benzeneacetamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-2,4-dihydroxy- (CA INDEX NAME)



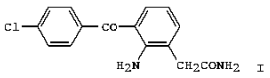
RN 130210-37-6 CAPLUS
CN Benzeneacetamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-2,4-dihydroxy-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

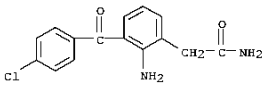
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 531 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:565108 CAPLUS
DOCUMENT NUMBER: 113:165108
ORIGINAL REFERENCE NO.: 113:27835a,27838a
TITLE: AHR-10037, a nonsteroidal anti-inflammatory compound of low gastric toxicity
AUTHOR(S): Sancilio, L. F.; Nolan, J. C.; Wagner, L. E.; Gathright, C. E.; Droppleman, D. D.; Alphin, R. S.; Walsh, D. A.; Welstead, W. J., Jr.
CORPORATE SOURCE: Dep. Pharmacol., A. H. Robins Co., Inc., Richmond, VA, 23261-6609, USA
SOURCE: Agents and Actions (1990), 31(1-2), 117-26
CODEN: AGACBH; ISSN: 0065-4299
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

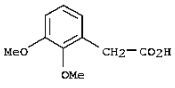


AB AHR-10037 (I) is an anti-inflammatory compound possessing analgesic and antipyretic properties and a high therapeutic index. I was comparable to indomethacin in suppressing acute (Evans blue-carrageenan pleural effusion) and chronic (adjuvant-induced arthritis) inflammation. There was a delayed onset of antipyresis (yeast-induced hyperthermia in rats), analgesia (Acetylcholine-induced abdominal constriction in mice) and inhibition of castor oil-induced diarrhea in rats. Antipyresis occurred 3 h after administration of I, 4 mg/kg, orally, vs. 1 h after administration of acetylsalicylic acid, 100 mg/kg, orally; maximum analgesic activity (ED50 = 4.1 mg/kg) occurred at 4 h. I was inferior to indomethacin in suppressing castor oil-induced diarrhea in rats. The therapeutic index of I (relating acute anti-inflammatory potency to gastric toxicity potency relative to indomethacin) ranged from 56-19. The pharmacol. profile suggests that AHR-10037 is a prodrug converted in vivo to a cycloxygenase inhibitor.
IT 78281-73-9, AHR 10037
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(pharmacol. of, as inflammation inhibitor, gastric toxicity in)
RN 78281-73-9 CAPLUS
CN Benzeneacetamide, 2-amino-3-(4-chlorobenzoyl)- (CA INDEX NAME)

L19 ANSWER 531 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

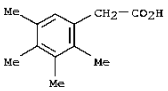


L19 ANSWER 532 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:198106 CAPLUS
DOCUMENT NUMBER: 112:198106
ORIGINAL REFERENCE NO.: 112:33485a,33488a
TITLE: Specific bradycardic agents. 1. Chemistry, pharmacology, and structure-activity relationships of substituted benzazepinones, a new class of compounds exerting antiischemic properties
AUTHOR(S): Reiffen, Manfred; Eberlein, Wolfgang; Mueller, Peter; Psiorz, Manfred; Noll, Klaus; Heider, Joachim; Lillie, Christian; Kobinger, Walter; Luger, Peter
CORPORATE SOURCE: Dep. Chem. Res., Dr. Karl Thomae G.m.b.H., Biberach, D-7950/1, Germany
SOURCE: Journal of Medicinal Chemistry (1990), 33(5), 1496-504
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:198106
AB Structural modification of the calcium-antagonist verapamil by replacement of the lipophilic α-isopropyl-α-cyano moiety by various heterocyclic ring systems led to a new class of cardiovascular compds. characterized by a specific bradycardic activity. These agents reduce heart rate without binding to classical Ca channels or β-adrenoceptors, interacting instead specifically with structures at the sinoatrial node. Therefore they are also termed sinus node inhibitors. The prototype falipamil was further optimized mainly by manipulation of the phthalimidine moiety. This resulted in a 2nd generation of specific bradycardic agents with increased potency and selectivity and prolonged duration of action represented by the benzazepinone derivative UL-FS 49. Structure-activity relationships within this novel class of compds. revealed a marked dependence of activity on the substitution pattern of the aromatic rings, the nature of the central N atom, and the length of the connecting alkyl chains. The crucial role of the benzazepinone ring for bradycardic activity is best explained by its special impact on the overall mol. conformation.
IT 90-53-9, 2,3-Dimethoxyphenylacetic acid 53546-73-9, 2,3,4,5-Tetramethylphenylacetic acid
RL: PROC (Process)
(conversion of, to verapamil analog)
RN 90-53-9 CAPLUS
CN Benzeneacetic acid, 2,3-dimethoxy- (CA INDEX NAME)



RN 53546-73-9 CAPLUS
CN Benzeneacetic acid, 2,3,4,5-tetramethyl- (CA INDEX NAME)

L19 ANSWER 532 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

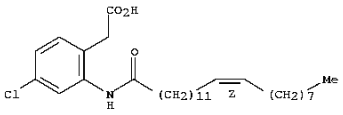
L19 ANSWER 533 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:70939 CAPLUS
DOCUMENT NUMBER: 112:70939
ORIGINAL REFERENCE NO.: 112:11984a
TITLE: Angiogenesis enhancer
INVENTOR(S): Wakamatsu, Kaori; Kondo, Koichi; Sudo, Katsuichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 314105	A2	19890503	EP 1988-117843		19881026
EP 314105	A3	19910417			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
JP 02124815	A	19900514	JP 1988-243423		19880928
US 4940730	A	19900710	US 1988-253060		19881003
PRIORITY APPLN. INFO.:			JP 1987-274461	A	19871029
			JP 1988-169763	A	19880707

OTHER SOURCE(S): CASREACT 112:70939; MARPAT 112:70939
AB Angiogenesis enhancer RA [R = (glycolated or epoxidized) higher aliphatic hydrocarbon residue; A = H, CO2H, OH, (substituted) carbamoyl, (substituted or quaternized) amino] is prepared and formulated for treatment of ischemic diseases, trauma, burns, or alopecia. Erucamide enhanced blood vessel elongation in a rat cornea test and in a mouse dorsal air sac test and had an ED50 of 2.13 μg in a chorioallantoic membrane assay. An ointment contained erucamide 1 and white Vaseline 50 g. N-Erucoylproline Me ester was prepared by converting erucic acid to the acid chloride and then reacting with L-proline Me ester.
IT 125214-49-5
RL: BIOL (Biological study)
(angiogenesis in response to)
RN 125214-49-5 CAPLUS
CN Benzeneacetic acid, 4-chloro-2-[(1-oxo-13-docosenyl)amino]-, (Z)- (9CI) (CA INDEX NAME)

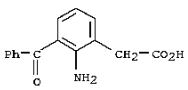
Double bond geometry as shown.

L19 ANSWER 533 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



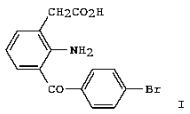
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L19 ANSWER 534 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:622115 CAPLUS
DOCUMENT NUMBER: 109:222115
ORIGINAL REFERENCE NO.: 109:36565a,36568a
TITLE: Analgesic action of amfenac Na, a nonsteroidal anti-inflammatory agent
AUTHOR(S): Hiranuma, Toyokazu; Kato, Satoko; Hachisu, Mitsugu
CORPORATE SOURCE: Pharm. Res. Lab., Meiji Seika Kaisha Ltd., Yokohama, 222, Japan
SOURCE: Journal of Pharmacobio-Dynamics (1988), 11(9), 612-19
CODEN: JOPHDQ; ISSN: 0386-846X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Amfenac Na is a new nonsteroidal analgesic anti-inflammatory drug which is clin. used for ailments such as rheumatoid arthritis and pain and(or) inflammation after surgery. Amfenac Na was studied on the bradykinin induced-flexor reflex and the simultaneous recording of the cortical somatosensory-evoked response (SER) and the electromyogram of digastric muscle (d-EMG) evoked by a tooth pulp stimulation. Amfenac Na at 0.1-1 mg/kg orally suppressed hindlimb flexor reflexes induced by bradykinin infusion in the rat. This effect was the most potent among the drugs used; the order of potency was as follows: amfenac Na > floctafenine > loxoprofen » piroxicam .tplbond. emorfazone > mefenamic acid. Similarly, the i.v. injection of amfenac Na completely suppressed the flexor reflex with a dose as low as 0.1 mg/kg; the potency was almost equal to that of morphine. On the SER and d-EMG evoked by tooth pulp stimulation, a high dose (100 mg/kg i.v.) of amfenac Na showed very weak inhibition, whereas morphine (10 mg/kg i.v.) suppressed those responses. Apparently, amfenac Na has a very potent analgesic effect comparable to morphine, and the site of action is mainly the periphery.
IT 51579-82-9, Amfenac
RL: BIOL (Biological study)
(analgesia from, mechanism of)
RN 51579-82-9 CAPLUS
CN Benzeneacetic acid, 2-amino-3-benzoyl- (CA INDEX NAME)



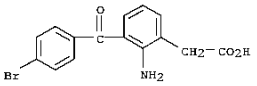
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L19 ANSWER 535 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:504378 CAPLUS
DOCUMENT NUMBER: 109:104378
ORIGINAL REFERENCE NO.: 109:17233a,17236a
TITLE: The topical anti-inflammatory and analgesic properties of bromfenac in rodents
AUTHOR(S): Nolan, J. C.; Wagner, L. E.; Gathright, C. E.; Stephens, D. J.; Sancilio, L. F.
CORPORATE SOURCE: Dep. Pharmacol., A. H. Robins Co., Richmond, VA, 23220, USA
SOURCE: Agents and Actions (1988), 25(12), 77-85
CODEN: AGACBH; ISSN: 0065-4299
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Bromfenac (I) is an anti-inflammatory/analgesic agent that possesses potent topical activity in rats, guinea pigs, and mice. In rat models of acute (carrageenan paw edema) and chronic (adjuvant arthritis) inflammation, prepns. of bromfenac at concns. as low as 0.01-0.32% (0.01-0.32 mg bromfenac) produced significant anti-inflammatory activity when applied to the injected paw or to the backs of rats. In the acute paw edema test, topical bromfenac was more potent than indomethacin or hydrocortisone and about as active as triamcinolone acetonide. Bromfenac, at concns. of 0.1-0.32%, showed topical analgesic activity in the acetylcholine-induced abdominal constriction test in mice. In this test, bromfenac was more potent than indomethacin (24.9+), more potent than ketoprofen (.apprx.14.9+), and superior to piroxicam. In the guinea pig UV-erythema test, bromfenac was active (26.1+ indomethacin) when applied to the UV-exposed site, but not when applied away from the site. The results suggest that bromfenac has activity topically because of a local and a systematic effect. Test results obtained with a long (4-7 h) pretreatment time (paw edema, adjuvant arthritis, abdominal constriction) are due in great part to a systematic effect of topically applied bromfenac, while the UV-erythema test (1-h treatment time) clearly indicates a local effect.
IT 91714-94-2
RL: BIOL (Biological study)
(analgesic and anti-inflammatory activities of topical)
RN 91714-94-2 CAPLUS
CN Benzeneacetic acid, 2-amino-3-(4-bromobenzoyl)- (CA INDEX NAME)

L19 ANSWER 535 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

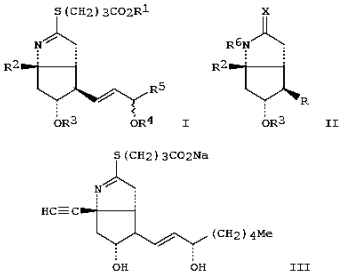
L19 ANSWER 536 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:150151 CAPLUS
DOCUMENT NUMBER: 108:150151
ORIGINAL REFERENCE NO.: 108:24641a,24644a
TITLE: Preparation of (azabicyclooctenylthio)butanoate prostacyclin analogs as cardiovascular and antiulcer agents
INVENTOR(S): Mori, Sachio; Iwakura, Hikozo; Takechi, Shozo
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 212 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 240890	A2	19871014	EP 1987-104669	19870330
EP 240890	A3	19890510		
EP 240890	B1	19931118		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 1284642	C	19910604	CA 1987-531708	19870311
US 4820836	A	19890411	US 1987-25807	19870313
JP 63066168	A	19880324	JP 1987-66817	19870320
JP 07121907	B	19951225		
AT 97404	T	19931215	AT 1987-104669	19870330
ES 2008067	T3	19941116	ES 1987-104669	19870330
US 4855449	A	19890808	US 1988-226844	19880801
PRIORITY APPLN. INFO.:			JP 1986-74932 A	19860331
			US 1987-25807 A1	19870313
			EP 1987-104669 A	19870330

OTHER SOURCE(S): MARPAT 108:150151
GI

L19 ANSWER 536 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

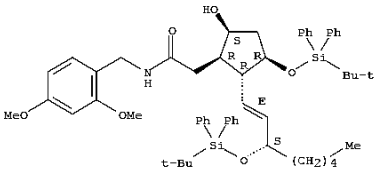


AB The title compds. I (R1 = H, alkyl; R2 = alkyl, alkenyl, alkynyl, aralkynyl, alkoxy, arylthio, cyano; R3, R4 = H, protective group; R5 = alkyl, heterocyclylalkyl, alkynyl, cycloalkyl) and their salts were prepared as antiulcer agents (no data) and platelet aggregation inhibitors. 2-Oxa-3-oxo-6-tert-butyldiphenylsilyloxymethyl-7-tert-butyldiphenylsilyloxybicyclo[3.3.0]octane, 2,4-(MeO)2C6H3CH2NH2, and 2-hydroxypyridine were stirred 3.5 h at 100° to give the corresponding hydroxyamide which was oxidized to the ketoamide and cyclization was carried out in the presence of Me3SiCl to give azaoxobicyclooctane II [R = CH2OSi(CMe3)Ph2, R2 = Me3SiO, R3 = Si(CMe3)Ph2, R6 = 2,4-(MeO)2C6H3CH2, X = O] which was converted in 6 steps to II (R = CH2OH, R2 = HC.tplbond.C, R3 = 4-PhC6H4CO, R6 = H, X = O). The latter compound was oxidized with (COCl)2-DMSO and the aldehyde added to (MeO)2P(O)CH2CO(CH2)4Me in THF containing NaH to give II [R = trans-CH:CHCO(CH2)4Me] which was converted in 4 steps to II [R = (3S)-trans-CH:CHCH[OSi(CMe3)Ph2](CH2)4Me, R2 = HC.tplbond.C, R3 = Si(CMe3)Ph2, R6 = H, X = S]. The latter compound was stirred with NaH in DMF and Br(CH2)3CO2Et was added to give I [R1 = Et, R2 = HC.tplbond.C, R3 = Si(CMe3)Ph2, OR4 = (3S)-OSi(CMe3)Ph2, R5 = (CH2)4Me] which was converted to (azabicyclooctenylthio)butanoate III. III had 50% inhibitory concentration of 0.0029 μM for platelet aggregation compared to 0.019 μM for PGI2.

IT 113517-76-3P 113517-77-4P 113517-78-5P
113517-80-9P 113518-05-1P 113518-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cardiovascular and antiulcer agents)

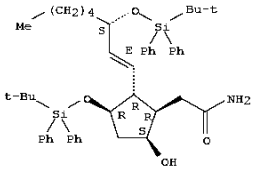
RN 113517-76-3 CAPLUS
CN Cyclopentaneacetamide, N-[(2,4-dimethoxyphenyl)methyl]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-[3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-octenyl]-5-hydroxy-, [1R-[1α,2β(1E,3S*),3α,5α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 113517-77-4 CAPLUS
CN Cyclopentaneacetamide, 3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-[3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-octenyl]-5-hydroxy-, [1R-[1α,2β(1E,3S*),3α,5α]]- (9CI) (CA INDEX NAME)

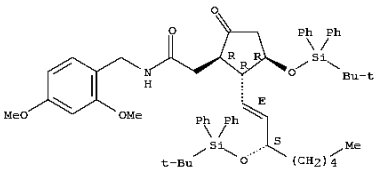
Absolute stereochemistry.
Double bond geometry as shown.



RN 113517-78-5 CAPLUS
CN Cyclopentaneacetamide, N-[(2,4-dimethoxyphenyl)methyl]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-[3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-octenyl]-5-oxo-, [1R-[1α,2β(1E,3S*),3α]]- (9CI) (CA INDEX NAME)

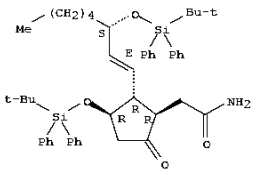
Absolute stereochemistry.
Double bond geometry as shown.

L19 ANSWER 536 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 113517-80-9 CAPLUS
CN Cyclopentaneacetamide, 3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-[3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-octenyl]-5-oxo-, [1R-[1α,2β(1E,3S*),3α]]- (9CI) (CA INDEX NAME)

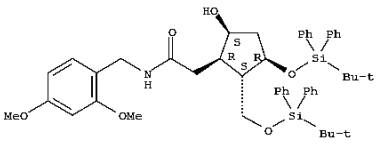
Absolute stereochemistry.
Double bond geometry as shown.



RN 113518-05-1 CAPLUS
CN Cyclopentaneacetamide, N-[(2,4-dimethoxyphenyl)methyl]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5-hydroxy-, [1R-(1α,2β,3α,5α)]- (9CI) (CA INDEX NAME)

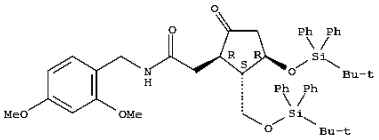
Absolute stereochemistry.

L19 ANSWER 536 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

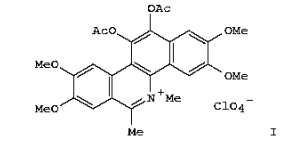


RN 113518-06-2 CAPLUS
CN Cyclopentaneacetamide, N-[(2,4-dimethoxyphenyl)methyl]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5-oxo-, [1R-(1α,2β,3α)]- (9CI) (CA INDEX NAME)

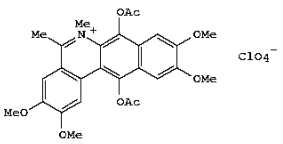
Absolute stereochemistry.



L19 ANSWER 537 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:568351 CAPLUS
DOCUMENT NUMBER: 107:168351
ORIGINAL REFERENCE NO.: 107:26859a,26862a
TITLE: Synthesis and biological activity of benzo(c)- and benzo(b)-phenanthridinium salts
AUTHOR(S): Sladkov, V. I.; Khokhlov, V. A.; Ershova, Yu. A.; Chernov, V. A.; Khokhlova, Yu. V.; Panasyuk, A. F.; Suvorov, N. N.
CORPORATE SOURCE: MKhTI, Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1987), 21(6), 660-3
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



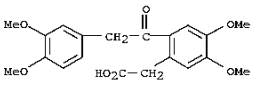
I



II

AB Homoveratric acid, on intramol. acylation, gave a bicyclic acid, whose ester was subjected to intramol. Claisen condensation, tautomerization and oxidation to give a quinone. Alkylation (with iso-PrI) of the Ag salt of the quinone gave isomeric alkoxyquinones which were converted to enamines followed by reductive acetylation. Cyclization with POCl3 gave I and II. The antineoplastic activity of the compds. was studied in mice with Ensen sarcoma, black BDP mice with melanoma B-16 or with lymphoid leukemia L 1210. The compds. showed dose-dependent antitumor activity. The compds. might be used as modulators of cell reproduction
IT 26954-85-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)
RN 26954-85-8 CAPLUS
CN Benzeneacetic acid, 2-[2-(3,4-dimethoxyphenyl)acetyl]-4,5-dimethoxy- (CA INDEX NAME)

L19 ANSWER 537 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L19 ANSWER 538 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:530422 CAPLUS
DOCUMENT NUMBER: 101:130422
ORIGINAL REFERENCE NO.: 101:19833a,19836a
TITLE: Alkylenediamine derivatives useful in treating sinus tachycardia and ischemic heart diseases
INVENTOR(S): Reiffen, Manfred; Heider, Joachim; Austel, Volkhard; Hauel, Norbert; Kobinger, Walter; Lillie, Christian
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

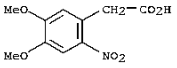
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3242344	A1	19840517	DE 1982-3242344	19821116

PRIORITY APPLN. INFO.: DE 1982-3242344 19821116

OTHER SOURCE(S): CASREACT 101:130422; MARPAT 101:130422

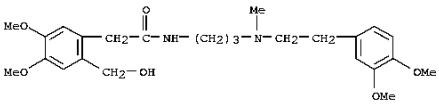
AB Alkylenediamines RZNR1Z1NR2Z2R3 [R = substituted Ph, pyridinyl; R1 = H, alkyl, alkanoyl, alkoxycarbonylalkyl, imidazolylcarbonyl; R2 = H, alkyl, alkylene; R3 = (un)substituted Ph; Z = CO, CH2CO, CH2CH2, CH(OH)CH2; Z1, Z2 = alkylene] were prepared Thus, 2,4,5-(MeO)3C6H2CO2H was converted to its acid chloride and used to acylate H2N(CH2)3NMeCH2CH2C6H3(OMe)2-3,4 to give 47.2% 2,4,5-(MeO)3C6H2CONH(CH2)3NMeCH2CH2C6H3(OMe)2-3,4 (I). In cats
5 mg I/kg i.v. reduced heart frequency 47% with a half-life of 40 min.

IT 73357-18-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of propanediamine derivs.)
RN 73357-18-3 CAPLUS
CN Benzeneacetic acid, 4,5-dimethoxy-2-nitro- (CA INDEX NAME)

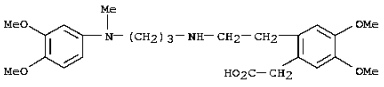


IT 91406-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)
RN 91406-74-5 CAPLUS
CN Benzeneacetic acid, 2-[2-[[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]amino]ethyl]-4,5-dimethoxy-, sodium salt (1:1) (CA INDEX NAME)

L19 ANSWER 538 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 91940-74-8 CAPLUS
CN Benzeneacetamide, N-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-2-(hydroxymethyl)-4,5-dimethoxy- (CA INDEX NAME)

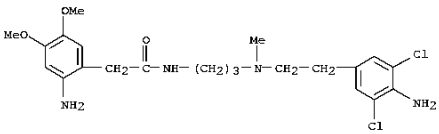


RN 91940-80-6 CAPLUS
CN Benzeneacetic acid, 2-[2-[[3-[(3,4-dimethoxyphenyl)methylamino]propyl]amino]ethyl]-4,5-dimethoxy-, sodium salt (1:1) (CA INDEX NAME)



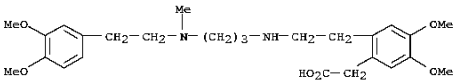
● Na

IT 85177-09-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, hydride reduction, and hydrogenation of)
RN 85177-09-9 CAPLUS
CN Benzeneacetamide, 2-amino-N-[3-[[2-(4-amino-3,5-dichlorophenyl)ethyl]methylamino]propyl]-4,5-dimethoxy- (CA INDEX NAME)



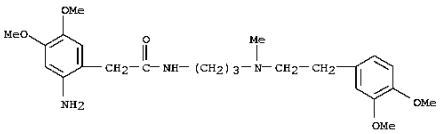
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L19 ANSWER 538 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

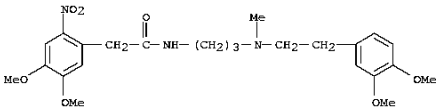


● Na

IT 85175-42-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydride reduction of)
RN 85175-42-4 CAPLUS
CN Benzeneacetamide, 2-amino-N-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-4,5-dimethoxy- (CA INDEX NAME)



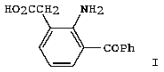
IT 85175-43-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 85175-43-5 CAPLUS
CN Benzeneacetamide, N-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-4,5-dimethoxy-2-nitro- (CA INDEX NAME)



IT 91940-74-8P 91940-80-6P

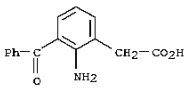
L19 ANSWER 538 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L19 ANSWER 539 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:483694 CAPLUS
DOCUMENT NUMBER: 101:83694
ORIGINAL REFERENCE NO.: 101:12725a,12728a
TITLE: Toxicological studies on amfenac sodium (AHR-5850) (II). Subacute toxicities in rats and rabbits
AUTHOR(S): Sasaki, Hitoshi; Odaki, Masuzo; Yokota, Masayuki; Niizato, Tetsutaro; Kawaoto, Haruo; Watanabe, Hiroshi;
Kumagai, Kazunobu; Suzuki, Heijiro; Ishiwatari, Nobuyoshi; et al.
CORPORATE SOURCE: Cent. Res. Lab., Meiji Seika Kaisha Ltd., Japan
SOURCE: Yakuri to Chiryo (1973-2000) (1984), 12(2), 475-527
CODEN: YACHDS; ISSN: 0386-3603
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI

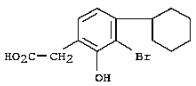


I

AB Amfenac (I) [51579-82-9] (>8 mg/kg/day, orally for 35 days) decreased erythrocyte counts, Hb, and hematocrit values in rats. I given at >16 mg/kg/day induced ileal ulcer, mesenteric lymph adenitis, and splenic extramedullary hematopoiesis. In rabbits, I at 128 mg/kg/day caused dilatation of renal tubules and fibrosis of renal papilla. The safe doses in rats were <2 mg/kg, and those in rabbits <32 mg/kg/day.
IT 51579-82-9
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (toxicity of)
RN 51579-82-9 CAPLUS
CN Benzeneacetic acid, 2-amino-3-benzoyl- (CA INDEX NAME)

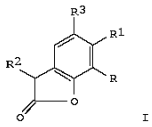


L19 ANSWER 540 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

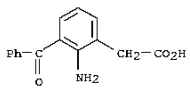
L19 ANSWER 540 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:580659 CAPLUS
DOCUMENT NUMBER: 95:180659
ORIGINAL REFERENCE NO.: 95:29995a,29998a
TITLE: 2,3-Dihydrobenzofuran-2-ones: a new class of highly potent antiinflammatory agents
AUTHOR(S): Closse, Annemarie; Haefliger, Walter; Hauser, Daniel; Gubler, Hans Ulrich; Dewald, Beatrice; Baggiolini, Marco
CORPORATE SOURCE: Preclin. Res., Sandoz Ltd., Basel, Switz.
SOURCE: Journal of Medicinal Chemistry (1981), 24(12), 1465-71
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The title analogs of wortmannin I (R = H, Cl, Br, etc.; R1 = H, cyclohexyl, Ph, etc.; R2 = H, Me, or Et; R3 = H, Cl, Br, etc.) were synthesized and tested for their ability to inhibit carrageenin-induced paw edema and adjuvant arthritis in rats, and prostaglandin formation in vitro. I (R1 = alkyl or aryl; R3 = Cl, etc.) were powerful antiinflammation agents and inhibitors of prostaglandin formation. I (R = R2 = H; R1 = cyclohexyl; R3 = Br) [60986-89-2] was the most active I being more potent than diclofenac in all the testing models, more potent than indomethacin in inhibiting acute inflammation and prostaglandin formation, and less potent than indomethacin in the adjuvant arthritis model. Structure-activity relations are discussed.
IT 66883-45-2P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 66883-45-2 CAPLUS
CN Benzeneacetic acid, 3-bromo-4-cyclohexyl-2-hydroxy- (CA INDEX NAME)

L19 ANSWER 541 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:432550 CAPLUS
DOCUMENT NUMBER: 91:32550
ORIGINAL REFERENCE NO.: 91:5189a,5192a
TITLE: Comparative effects of antiarthritic and other pharmacological agents in the 18-hour arthritis and carrageenan edema tests in rats
AUTHOR(S): Sofia, R. Duane; Danielsen, Lisa; Vassar, Heidi B.
CORPORATE SOURCE: Wallace Lab., Biol. Res., Cranbury, NJ, 08512, USA
SOURCE: Pharmacological Research Communications (1979), 11(2), 179-93
CODEN: PLRCAT; ISSN: 0031-6989
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Fifty-three antiarthritics, antimalarials, immunosuppressives, analgesics, antineoplastics, antifungals, antihelminthics, serotonin antagonists, antihistamines, and miscellaneous substances were tested for their comparative effectiveness in the 18-h arthritis and carrageenan edema tests in rats. No false-pos. compds. were detected, and among the 15 nonsteroidal antiinflammatory agents, mg/kg potency was greatest in the carrageenan test. Two compds. which may be considered as false-neg. responders were methotrexate [59-05-2] and clotrimazole [23593-75-1]. Apparently, the 18-h arthritis test in rats is a more reliable screening procedure than carrageenan-induced edema for specific detection of clin. useful antiarthritic agents.
IT 61618-27-7
RL: BIOL (Biological study) (antiarthritic activity of, edema models in evaluation of)
RN 61618-27-7 CAPLUS
CN Benzeneacetic acid, 2-amino-3-benzoyl-, sodium salt, hydrate (1:1:1) (CA INDEX NAME)



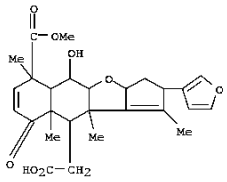
● Na

● H_2O

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L19 ANSWER 542 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:497211 CAPLUS
DOCUMENT NUMBER: 73:97211
ORIGINAL REFERENCE NO.: 73:15867a,15870a
TITLE: Antiinflammatory activity of saponins and other natural products
AUTHOR(S): Bhargava, Krishna P.; Gupta, M. B.; Gupta, Gyan Prakash; Mitra, Chittaranjan R.
CORPORATE SOURCE: King George's Med. Coll., Lucknow Univ., Lucknow, India
SOURCE: Indian Journal of Medical Research (1913-1988) (1970), 58(6), 724-30
CODEN: IJMRAQ; ISSN: 0019-5340
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Saponins from Mimusops manilkara and Pithecellobium dulce, hederagenin, and Na nimbinate showed antiinflammatory activity against carrageenin-induced edema and HCHO-induced arthritis in rats. M. manilkara saponin, P. dulce saponin, hederagenin, and Na nimbinate showed resp. i.p. ED50 values of 2.5, 10.0, 10.5, and 44.1 mg/kg against carrageenin-induced edema; the compds. had resp. i.p. LD50 values in mice of 75, 50, 600, and 575 mg/kg. Structure-activity relations are discussed.
IT 27018-38-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inflammation inhibition by)
RN 27018-38-8 CAPLUS
CN 18,24-Dinor-11,12-secochola-2,13,20,22-tetraene-4,11-dicarboxylic acid, 7,15:21,23-diepoxy-6-hydroxy-4,8-dimethyl-1-oxo-, 4-methyl ester, monosodium salt, (4α,5α,6α,7α,15β,17α)- (9CI) (CA INDEX NAME)

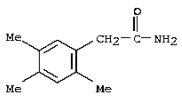
L19 ANSWER 542 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● Na

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L19 ANSWER 543 OF 543 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:93715 CAPLUS
DOCUMENT NUMBER: 62:93715
ORIGINAL REFERENCE NO.: 62:16812a-c
TITLE: Morphological and physiological effects of thalidomide and trypan blue on uteri and concepti of gravid mice
AUTHOR(S): McCafferty, R. E.; Wood, M. L.; Knisely, W. H.
CORPORATE SOURCE: Univ. of Kentucky Med. Center, Lexington
SOURCE: American Journal of Obstetrics and Gynecology (1965), 91(2), 260-9
CODEN: AJOGAH; ISSN: 0002-9378
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effects of intraperitoneal trypan blue (I) or thalidomide (II) by gastric intubation to CFW mice on the 7.5, 8.5, or 9.5 gestational day were noted on the 16.5 gestational day. Intraamniotic pressure detns. in the mice were markedly altered when several malformed or partially resorbed fetuses were present. II caused the more irregular pressure change patterns. Most teratogenic effects were seen in mice dosed on day 7.5. Dose size was not so clearly tied to effect. There were more resorbed and less viable fetuses in mice treated at 4.5 than 5.5 months. They also had more irregular contraction patterns. II seemed to reduce alizarin affinity for ossification centers more than I and caused cartilage template reduction particularly in scapulohumeral areas. An incidence ratio of 4:3 of left vs. right limb and 3:1 of anterior vs. posterior limb involvement followed II dosage. Reduced uterine vascularity in II mice was infrequent in I mice. Uterine ischemia may be due to retarded uterine vessel development. In other tissues, blood stasis and increased lymphocytes occurred.
IT 3167-02-0P, Acetamide, 2-(2,4,5-trimethylphenyl)-
RL: PREP (Preparation)
(preparation of)
RN 3167-02-0 CAPLUS
CN Benzeneacetamide, 2,4,5-trimethyl- (CA INDEX NAME)



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     FILE 'REGISTRY' ENTERED AT 16:15:14 ON 11 AUG 2009
L1             STRUCTURE UPLOADED
L2          29 S L1
L3       29872 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 16:16:14 ON 11 AUG 2009
L4        8569 S L3
L5         206 S L4 AND PSORIASIS
L6          99 S L4 AND (ULCERATIVE COLITIS)
L7          82 S L4 AND MELANOMA
L8          12 S L4 AND COPD
L9         113 S L4 AND (CHRONIC OBSTRUCTIVE)
L10        113 S L8 OR L9
L11          4 S L4 AND (BULLOUS PEMPHIGOID)
L12         16 S L4 AND (BULLOUS)
L13        280 S L4 AND (ARTHRITIS)
L14         96 S L4 AND FIBROSIS
L15          0 S L4 AND FIBROSISGLOMERULONEPHRITIS
L16         67 S L4 AND GLOMERULONEPHRITIS
L17         83 S L4 AND REPERFUSION
L18        155 S L4 AND ISCHEMIA
L19        543 S L5 OR L6 OR L7 OR L10 OR L11 OR L12 OR L13 OR L14 OR L15 OR L
               SAVE L19/A L19 APP10541429/A

=> FIL REG
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                  ENTRY    SESSION
FULL ESTIMATED COST                               299.50     486.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                  ENTRY    SESSION
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STRUCTURE FILE UPDATES:   10 AUG 2009  HIGHEST RN 1173881-48-5
DICTIONARY FILE UPDATES:  10 AUG 2009  HIGHEST RN 1173881-48-5

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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Match level :
1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom
12:CLASS 13:CLASS 16:CLASS

L20 STRUCTURE UPLOADED

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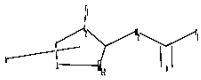

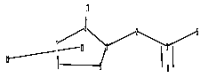

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ring nodes :
1 6 7 8 9
chain bonds :
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ring bonds :
1-6 1-9 6-7 7-8 8-9
exact/norm bonds :
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G1:OH,NH

G2:C,N

G3:Cl,Br,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,CF2,CF3,CCl2,CCl3,CBr2,CBr3

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Match level :
1:Atom  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:Atom  7:Atom  8:Atom  9:Atom
12:CLASS  13:CLASS  16:CLASS



L21     STRUCTURE UPLOADED

=> D
L21 HAS NO ANSWERS
L21             STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> S L21 FULL SUB=L3
FULL SUBSET SEARCH INITIATED 16:36:42 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    29872 TO ITERATE

100.0% PROCESSED    29872 ITERATIONS                          9866 ANSWERS
SEARCH TIME: 00.00.01

L22        9866 SEA SUB=L3 SSS FUL L21

=> FIL CAPLUS
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                45.44     531.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                 0.00     -36.08

FILE 'CAPLUS' ENTERED AT 16:36:48 ON 11 AUG 2009
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FILE COVERS 1907 - 11 Aug 2009  VOL 151 ISS 7
FILE LAST UPDATED: 10 Aug 2009  (20090810/ED)
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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

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=> S L22 FULL SUB=L19
SUBSET IS IGNORED AS A SCOPE FOR THIS SEARCH
L23       3036 L22

=> S L23 AND PSORIASIS
         19431 PSORIASIS
L24         95 L23 AND PSORIASIS

=> S L23 AND (ULCERATIVE COLITIS)
         11141 ULCERATIVE
         16019 COLITIS
         10121 ULCERATIVE COLITIS
                 (ULCERATIVE(W)COLITIS)
L25         56 L23 AND (ULCERATIVE COLITIS)

=> S L23 AND MELANOMA
         42769 MELANOMA
L26         33 L23 AND MELANOMA

=> S L23 AND COPD
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=> S L23 AND (CHRONIC OBSTRUCTIVE)
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         17645 OBSTRUCTIVE
         10950 CHRONIC OBSTRUCTIVE
                 (CHRONIC(W)OBSTRUCTIVE)
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=> S L23 AND BULLOUS
          1945 BULLOUS
L29         13 L23 AND BULLOUS

=> S L23 AND ARTHRITIS
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L30        120 L23 AND ARTHRITIS

=> S L23 AND FIBROSIS
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L31         35 L23 AND FIBROSIS

=> S L23 AND GLOMERULONEPHRITIS
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L32         37 L23 AND GLOMERULONEPHRITIS

=> S L23 AND REPERFUSION
         40197 REPERFUSION
L33         36 L23 AND REPERFUSION

=> S L23 AND ISCHEMIA
         91138 ISCHEMIA
L34         72 L23 AND ISCHEMIA

=> D HIS

     (FILE 'HOME' ENTERED AT 16:15:01 ON 11 AUG 2009)

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L2           29 S L1
L3        29872 S L1 FULL

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L4         8569 S L3
L5          206 S L4 AND PSORIASIS
L6           99 S L4 AND (ULCERATIVE COLITIS)
L7           82 S L4 AND MELANOMA
L8           12 S L4 AND COPD
L9          113 S L4 AND (CHRONIC OBSTRUCTIVE)
L10         113 S L8 OR L9
L11           4 S L4 AND (BULLOUS PEMPHIGOID)
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L17          83 S L4 AND REPERFUSION
L18         155 S L4 AND ISCHEMIA
L19         543 S L5 OR L6 OR L7 OR L10 OR L11 OR L12 OR L13 OR L14 OR L15 OR L
                SAVE L19/A L19 APP10541429/A

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L21             STRUCTURE UPLOADED
L22        9866 S L21 FULL  SUB=L3

     FILE 'CAPLUS' ENTERED AT 16:36:48 ON 11 AUG 2009
L23        3036 S L22 FULL SUB=L19
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L25          56 S L23 AND (ULCERATIVE COLITIS)
L26          33 S L23 AND MELANOMA
L27           5 S L23 AND COPD
L28          55 S L23 AND (CHRONIC OBSTRUCTIVE)
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L30         120 S L23 AND ARTHRITIS
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L32          37 S L23 AND GLOMERULONEPHRITIS
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L34          72 S L23 AND ISCHEMIA

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L35         229 L24 OR L25 OR L26 OR L27 OR L28 OR L29 OR L30 OR L31 OR L32 OR
                L33 OR L34

=> D IBIB ABS HITSTR L35 200-229
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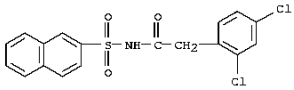
L35 ANSWER 200 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:252935 CAPLUS
DOCUMENT NUMBER: 134:280607
TITLE: Preparation of acyl sulfonamide derivatives as selective inhibitors of human chymase
INVENTOR(S): Aoyama, Yukio; Seki, Masaki; Masuda, Hirokazu; Usui, Yoshihiro; Abe, Yuji; Shimada, Mayumi; Yamamoto, Michiya
PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001097946	A	20010410	JP 1999-278376	19990930
PRIORITY APPLN. INFO.:			JP 1999-278376	19990930

OTHER SOURCE(S): MARPAT 134:280607
AB The title compds. represented by formula R1CH(XR2)CONHSO2R3 [R1 = (un)substituted Ph, naphthyl, H; R2 = halo, alkoxy, NH2, acyl, cyano, CO2H, NO2, (un)substituted Ph, H; provided that R1 and R2 are not simultaneously H; R3 = (un)substituted aryl; X = O, S(O)n; wherein n = 0-2], pharmacol. acceptable salts thereof or hydrates or solvates thereof are prepared These compds. are useful for the prevention and/or treatment of hypertension, ischemic heart failure, myocardial diseases, arteriosclerosis, coronary arterial diseases, myocardial infarction, vascular restenosis after angioplasty or thrombolytic therapy, peripheral circulation disorders, angiitis, diabetic or non-diabetic nephropathy, pulmonary hypertension, bronchial asthma, chronic obtrusive lung diseases, chronic bronchitis, pulmonary emphysema, allergic rhinitis, atopic dermatitis, rheumatism, arthritis, or cancer (no data). Thus, a solution of diphenylacetic acid in THF was added dropwise to a solution of 1,1'-carbonyldiimidazole in THF, stirred at 25° for 0.5 h. refluxed fro 0.5 h, and cooled to 25°, followed by adding dropwise a solution of 2-naphthalenesulfonamide and 1,8-diazabicyclo[5.4.0]-7-undecene in THF, and the resulting mixture was stirred at 25° overnight to give 95% N-(2-naphthalenesulfonyl)diphenylacetamide, i.e. N-(diphenylacetyl)-2-naphthalenesulfonamide.
IT 333335-13-0P, N-(2-Naphthalenesulfonyl)-2-(2,4-dichlorophenyl)acetamide
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acyl sulfonamide derivs. as selective inhibitors of human chymase and preventives or therapeutics for chymase-related diseases)
RN 333335-13-0 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-(2-naphthalenylsulfonyl)- (CA INDEX

L35 ANSWER 200 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
NAME)



L35 ANSWER 201 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:137023 CAPLUS
DOCUMENT NUMBER: 134:178552
TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012189	A1	20010222	WO 2000-US6699	20000505
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383555	A1	20010222	CA 2000-2383555	20000505
CA 2383555	C	20090217		
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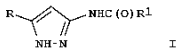
EP 1202733	A1	20020508	EP 2000-931906	20000505
EP 1202733	B1	20051005		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013143	A	20020611	BR 2000-13143	20000505
JP 2003507329	T	20030225	JP 2001-516535	20000505
EE 200200065	A	20030415	EE 2002-65	20000505
HU 2002003542	A2	20030528	HU 2002-3542	20000505
HU 2002003542	A3	20030728		
NZ 517237	A	20040227	NZ 2000-517237	20000505
AT 305782	T	20051015	AT 2000-931906	20000505
ES 2249270	T3	20060401	ES 2000-931906	20000505
US 6218418	B1	20010417	US 2000-667603	20000922
NO 2002000684	A	20020403	NO 2002-684	20020211
HR 2002000128	A1	20030430	HR 2002-128	20020212
MX 2002001498	A	20030721	MX 2002-1498	20020212
ZA 2002001511	A	20030311	ZA 2002-1511	20020222
BG 106480	A	20020930	BG 2002-106480	20020305
US 7034049	B1	20060425	US 2002-48486	20020501
PRIORITY APPLN. INFO.:			US 1999-372831 A	19990812
			US 2000-560400 A1	20000428
			WO 2000-US6699 W	20000505

OTHER SOURCE(S): MARPAT 134:178552
GI

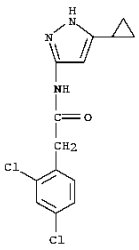
L35 ANSWER 201 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



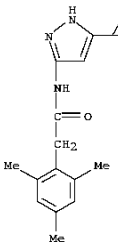
I

AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog; (f) reacting this amino compound with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of preparation are also claimed.
IT 326824-84-4P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,4-dichlorophenyl)acetamide 326825-28-9P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2,4,6-trimethylphenyl)acetamide 326825-31-4P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(5-methoxy-3-hydroxy-2-propylphenyl)acetamide 326825-89-2P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(4-hydroxy-5-isopropyl-2-methylphenyl)acetamide

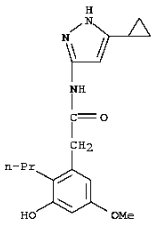
L35 ANSWER 201 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylaminopyrazole derivs., process for prepn. and use as antitumor agents)
RN 326824-84-4 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (CA INDEX NAME)



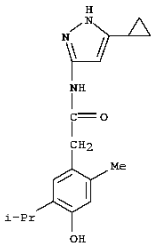
RN 326825-28-9 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,4,6-trimethyl- (CA INDEX NAME)



L35 ANSWER 201 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 326825-31-4 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-3-hydroxy-5-methoxy-2-propyl- (CA INDEX NAME)



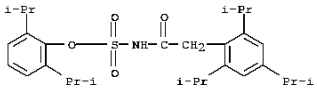
RN 326825-89-2 CAPLUS
CN Benzeneacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-4-hydroxy-2-methyl-5-(1-methylethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 202 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:88355 CAPLUS
DOCUMENT NUMBER: 134:261132
TITLE: Preclinical safety evaluation of avasimibe in beagle dogs: an ACAT inhibitor with minimal adrenal effects
AUTHOR(S): Robertson, Donald G.; Breider, Michael A.; Milad, Mark A.
CORPORATE SOURCE: Drug Safety Evaluation, Pfizer Global Research and Development, Ann Arbor, MI, 48106-1047, USA
SOURCE: Toxicological Sciences (2001), 59(2), 324-334
CODEN: TOSCF2; ISSN: 1096-6080
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Avasimibe, a novel inhibitor of acyl CoA:cholesterol acyltransferase (ACAT), is currently being developed as an antiatherosclerotic agent. The preclin. safety and toxicokinetics of the compound were assessed in beagle dogs in an escalating-dose study and in repeated-dose studies of 2-, 13-, and 52-wk duration. Oral (capsule) doses up to 1000 mg/kg b.i.d. were assessed in the escalating dose study and once-a-day doses up to 300 mg/kg, 1000 mg/kg, and 1000 mg/kg were assessed in the 2-, 13-, and 52-wk studies, resp. Avasimibe was found to be a substrate and inducer of hepatic CYP 3A, producing pronounced decreases in plasma drug concns. subsequent to Day 1. Plasma drug concns. plateaued markedly at doses above 100 mg/kg. Significant toxicol. findings were restricted to the higher doses (≥300 mg/kg) and included emesis, fecal consistency changes, salivation, body weight loss, microscopic and clin. pathol. evidence of hepatic toxicity, and red blood cell (RBC) morphol. changes. Mortality occurred at 1000 mg/kg due to hepatic toxicity. Toxicity was more closely associated with the exaggerated pharmacodynamic effects of the compound (e.g., marked serum cholesterol decreases) seen at the high doses of avasimibe used in these studies rather than with measures of systemic exposure (Cmax or AUC). Adrenal effects were noted only in the 52-wk study and consisted of minimal to mild cortical cytoplasmic vacuolization and fibrosis at doses ≥300 mg/kg, with no change in adrenal weight In conclusion, avasimibe is an ACAT inhibitor that has minimal adrenal effects in dogs, with dose-limiting toxicity defined by readily monitored and reversible changes in hepatic function.
IT 166518-60-1, Avasimibe
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preclin. safety evaluation of avasimibe in beagle dogs: an ACAT inhibitor with minimal adrenal effects)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)

L35 ANSWER 202 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

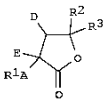


OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 203 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:50635 CAPLUS
DOCUMENT NUMBER: 134:115845
TITLE: Preparation of α,β-annelated butyrolactones as modulators of metabotropic glutamate receptors.
INVENTOR(S): Stolle, Andreas; Antonicek, Horst-Peter; Lensky, Stephan; Voerste, Arnd; Muller, Thomas; Baumgarten, Jorg; Von Dem Bruch, Karsten; Muller, Gerhard; Stropp, Udo; Horvath, Ervin; De Vry, Jean-Marie-Victor; Schreiber, Rudy
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004107	A1	20010118	WO 2000-EP6105	20000630
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19932621	A1	20010426	DE 1999-19932621	19990713
PRIORITY APPLN. INFO.:			DE 1999-19932621 A	19990713

OTHER SOURCE(S): MARPAT 134:115845
GI

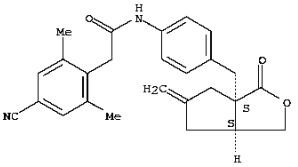


I

AB Title compds. [I; A = CH2, CO, C(OH)R4, (CH2)aCHR5; a = 0-4; R4 = H, alkyl; R5 = Ph; R1 = H, alkyl, cycloalkyl, (benzocondensed) (substituted) heterocyclyl; R2, R3 = H, alkyl; DE = CH2COCH2, CH2CH(OH)CH2, CH2C(OH)(CH2OH)CH2, CH2C(:CR31R32)CH2, etc.; R31, R32 = H, Ph, alkyl], were prepared for treatment of cerebral ischemia, skull/brain trauma, pain, and CNS-induced cramps (no data). Thus, N-[(3a''S*,6a''S*)-4-(5-methylenehexahydrocylopenta[c]furan-1-on-

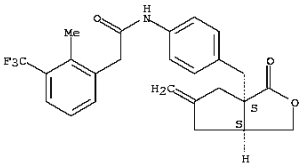
L35 ANSWER 203 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
6ylmethyl)phenyl]bromoacetamide (prepn. given), Et3N, and morpholine were refluxed 20 h in PrOH to give 87% N-[(3a''S*,6a''S*)-4-(5-methylenehexahydrocylopenta[c]furan-1-on-6ylmethyl)-phenyl]-N-morpholineacetamide.
IT 321127-82-6P 321127-84-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α,β-annelated butyrolactones as modulators of metabotropic glutamate receptors)
RN 321127-82-6 CAPLUS
CN Benzeneacetamide, 4-cyano-2,6-dimethyl-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 321127-84-8 CAPLUS
CN Benzeneacetamide, 2-methyl-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(3H)-yl]methyl]phenyl]-3-(trifluoromethyl)-, rel-
(CA INDEX NAME)

Relative stereochemistry.



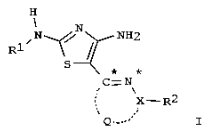
L35 ANSWER 203 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 204 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:881130 CAPLUS
DOCUMENT NUMBER: 134:42124
TITLE: Preparation of diaminothiazoles for inhibiting protein kinases
INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bender, Steven Lee; Benedict, Suzanne Pritchett; Borchardt, Allen J.; Kania, Robert Steve; Nambu, Mitchell David; Tempczyk-Russell, Anna Maria; Sarshar, Sepehr
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 397 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075120	A1	20001214	WO 2000-US15188	20000602
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2371158	A1	20001214	CA 2000-2371158	20000602
EP 1181283	A1	20020227	EP 2000-942660	20000602
EP 1181283	B1	20050202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000011585	A	20020319	BR 2000-11585	20000602
HU 2002002897	A2	20021228	HU 2002-2897	20000602
HU 2002002897	A3	20041228		
JP 2003501420	T	20030114	JP 2001-501601	20000602
EE 200100659	A	20030217	EE 2001-659	20000602
AU 778071	B2	20041111	AU 2000-57254	20000602
AT 288424	T	20050215	AT 2000-942660	20000602
ES 2234628	T3	20050701	ES 2000-942660	20000602
US 20020025976	A1	20020228	US 2001-783584	20010215
US 6620828	B2	20030916		
ZA 2001008291	A	20021009	ZA 2001-8291	20011009
NO 2001005045	A	20020204	NO 2001-5045	20011017
IN 2001MN01339	A	20050304	IN 2001-MN1339	20011031
MX 2001012483	A	20020730	MX 2001-12483	20011204
BG 106276	A	20021031	BG 2002-106276	20020103
PRIORITY APPLN. INFO.:			US 1999-137810P P	19990604
			US 2000-587530 B1	20000602
			WO 2000-US15188 W	20000602

OTHER SOURCE(S): MARPAT 134:42124
GI

L35 ANSWER 204 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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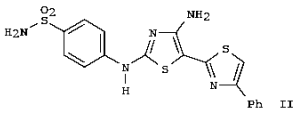


II

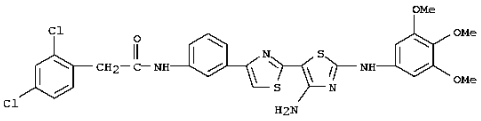
AB The title compds. [I; R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2 = OH, halo, CN, etc.; X = C, N; Q = a divalent radical having 2 or 3 atoms selected from C, N, O, S, CR5, NR5 (wherein R5 = OH, halo, CN, etc.) which together with C* and N* form a 5-6 membered (non)aromatic ring] which modulate and/or inhibit the activity of certain protein kinases (biol. data were given), and are useful in treating cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, were prepared and formulated. E.g., a multi-step synthesis of diaminothiazole II was given. The compds. I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction in order to modulate and/or inhibit unwanted cell proliferation.

IT 312769-80-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of diaminothiazoles for inhibiting protein kinases)

RN 312769-80-5 CAPLUS

CN Benzeneacetamide, N-[3-[4'-amino-2'-[(3,4,5-trimethoxyphenyl)amino][2,5'-bithiazol]-4-yl]phenyl]-2,4-dichloro- (CA INDEX NAME)

L35 ANSWER 204 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

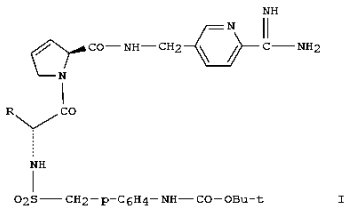
L35 ANSWER 205 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:742117 CAPLUS
DOCUMENT NUMBER: 133:296665
TITLE: Preparation of amidine- or guanidine-containing peptidomimetics for use as inhibitors of complement proteases
INVENTOR(S): Hillen, Heinz; Schmidt, Martin; Mack, Helmut; Seitz, Werner; Haupt, Andreas; Zechel, Johann-Christian; Kling, Andreas
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061608	A2	20001019	WO 2000-EP2710	20000328
WO 2000061608	A3	20010111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2369378	A1	20001019	CA 2000-2369378	20000328
EP 1169338	A2	20020109	EP 2000-920597	20000328
EP 1169338	B1	20041103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102913	T2	20020121	TR 2001-2913	20000328
BR 2000009678	A	20020122	BR 2000-9678	20000328
JP 2002542164	T	20021210	JP 2000-611550	20000328
HU 2002003167	A2	20030228	HU 2002-3167	20000328
HU 2002003167	A3	20030929		
AT 281466	T	20041115	AT 2000-920597	20000328
US 6683055	B1	20040127	US 2000-539811	20000330
ZA 2001007890	A	20030929	ZA 2001-7890	20010926
ZA 2001007978	A	20030107	ZA 2001-7978	20010928
BG 105978	A	20020731	BG 2001-105978	20011004
NO 2001004876	A	20011204	NO 2001-4876	20011008
MX 2001010114	A	20020730	MX 2001-10114	20011008
PRIORITY APPLN. INFO.:			DE 1999-19915930 A	19990409
			WO 2000-EP2710 W	20000328

OTHER SOURCE(S): MARPAT 133:296665
GI

L35 ANSWER 205 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

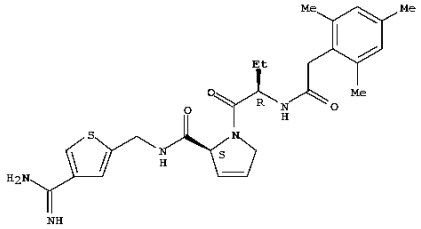
AB The invention relates to synthesis of title compds., e.g. [I; R = cyclohexyl(II) or R = cyclohexylmethyl(III)], for use as inhibitors of the complement proteases C1s and C1r in treatment of disease. Compound III was synthesized in seven steps, beginning with (D)-cyclohexylalanine Me ester hydrochloride and 4-nitrobenzylsulfonyl chloride, and including reaction with 3,4-dehydroprolyl-(3-(6-cyano)picolyl)-amide and conversion of the cyano group to the amidine. In in vivo expts. II had IC50's for C1s and C1r resp. of 0.6 and 0.9 μmol/l.

IT 301192-21-2P 301192-33-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidine- or guanidine-containing peptidomimetics for use as inhibitors of complement proteases)

RN 301192-21-2 CAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[[4-(aminoiminomethyl)-2-thienyl]methyl]-2,5-dihydro-1-[(2R)-1-oxo-2-[[2-(2,4,6-trimethylphenyl)acetyl]amino]butyl]-, (2S)- (CA INDEX NAME)

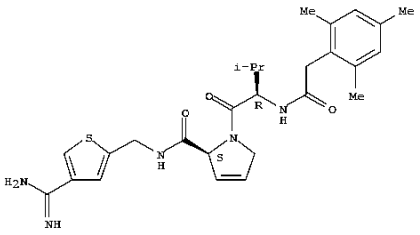
Absolute stereochemistry.



L35 ANSWER 205 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 301192-33-6 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[[4-(aminoiminomethyl)-2-thienyl]methyl]-2,5-dihydro-1-[(2R)-3-methyl-1-oxo-2-[[2-(2,4,6-trimethylphenyl)acetyl]amino]butyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



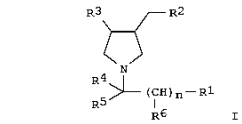
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 206 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:725458 CAPLUS
DOCUMENT NUMBER: 133:296372
TITLE: Preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine modulators of chemokine receptor activity
INVENTOR(S): Berk, Scott; Caldwell, Charles; Chapman, Kevin; Hale, Jeffrey; Lynch, Christopher; Maccoss, Malcolm; Mills, Sander G.; Willoughby, Christopher
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

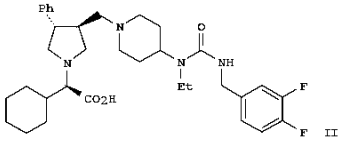
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059497	A1	20001012	WO 2000-US9059	20000405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6399619	B1	20020604	US 2000-542898	20000404
PRIORITY APPLN. INFO.:			US 1999-128174P P	19990406

OTHER SOURCE(S): MARPAT 133:296372
GI

L35 ANSWER 206 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

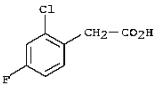


II

AB The title compds. (I) [wherein R1 = CO2H, NO2, tetrazolyl, hydroxyisoxazole, SO2NH(alkyl)R9, SO2NHCO(alkyl)R9, or PO3H2; R9 = H, (cyclo)alkyl, benzyl, or (un)substituted phenyl; R2 = (un)substituted piperidinyl, tetrahydropyridinyl, or piperazinyl; R3 = (un)substituted Ph or heterocyclyl; R4 = H or (un)substituted alkyl, (alkyl)cycloalkyl, alkenyl, alkynyl, Ph, alkylphenyl, naphthyl, biphenyl, heterocyclyl, cyclohexenyl, etc.; R5 and R6 = independently H or (un)substituted alkyl; or R4 and R5 may be joined together to form an (un)substituted C3-8 cycloalkyl ring; n = 1-3] were prepared as modulators of chemokine receptors, especially the chemokine receptors CCR-5 and/or CCR-3. For example, EtNH2 and 1-tert-butoxycarbonyl-4-piperidone were reacted in the presence of DIEA and reduced with NaBH(OAc)3 to give 4-(N-ethylamino)-1-tert-butoxycarbonylpiperidine (97%). Addition of carbonyldiimidazole and 3,4-difluorobenzylamine to the piperidine followed by deprotection with TFA afforded 4-(N-(N-(3,4-difluorobenzyl)carbamoyl)-N-ethylamino)piperidine•TFA (45%). Coupling the deprotected piperidine with the aldehyde 2-(R)-(3-(R)-formyl-4-(S)-phenylpyrrolidin-1-yl)-2-(cyclohexyl)acetic acid 4-methoxybenzyl ester (preparation given) in the presence of DIEA followed by reduction with NaBH(OAc)3 gave II. I showed binding activity to the CCR-5 or the CCR-3 receptor, generally with IC50 values of < 1 μM. The present invention is directed to compds. which inhibit the entry of human immunodeficiency virus (HIV) into target cells and are of value in the prevention and treatment of HIV infection and the resulting AIDS syndrome (no data). The invention is further directed to compds. which are useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders, including asthma, allergic rhinitis, dermatitis, conjunctivitis, rheumatoid arthritis, and atherosclerosis (no data).
IT 177985-32-9, (2-Chloro-4-fluorophenyl)acetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine chemokine receptor modulators by reaction of 3-phenyl-4-formylpyrrolidines with heterocycles)

L35 ANSWER 206 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 177985-32-9 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-fluoro- (CA INDEX NAME)

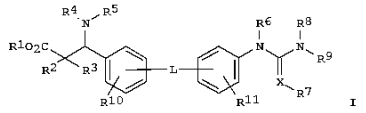


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 207 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:493269 CAPLUS
DOCUMENT NUMBER: 133:105343
TITLE: Preparation of β-phenylalanine derivatives as integrin antagonists
INVENTOR(S): Schoop, Andreas; Muller, Gerhard; Bruggemeier, Ulf; Schmidt, Delf; Stelte-Ludwig, Beatrix; Keldenich, Jorg; Albers, Markus
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000041469	A2	20000720	WO 2000-EP120	20000111
WO 2000041469	A3	20001116		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6291503	B1	20010918	US 1999-232738	19990115
CA 2360356	A1	20000720	CA 2000-2360356	20000111
EP 1147079	A2	20011024	EP 2000-903571	20000111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002534439	T	20021015	JP 2000-593094	20000111
US 20010031788	A1	20011018	US 2001-867835	20010530
US 6589972	B2	20030708		
MX 2001007100	A	20011203	MX 2001-7100	20010712
PRIORITY APPLN. INFO.:			US 1999-232738 A	19990115
			WO 2000-EP120 W	20000111

OTHER SOURCE(S): MARPAT 133:105343
GI



I

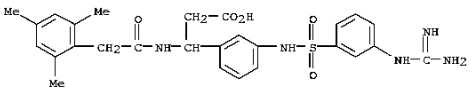
AB β-Phenylalanine derivs. I [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl; R2, R3 = any group given for R1 or (un)substituted alkenyl or alkynyl, OH, alkoxy or R2 and R3 are bonded to each other; R4 = carboxy ester, SO2H, CHO, CONH2, C(S)NH2 or their derivs.; R5 = H, (un)substituted alkyl, cycloalkyl, aryl; R6 = any group given for R1 or is bonded to one of R7, R8 or R9; R7 is absent, H, (un)substituted alkyl or cycloalkyl, NO2, CN, CHO or CO2H or their derivs., or is bonded to one of R6, R8, or R9; R8, R9 = any group given for R1 or is bonded to one of R6, R7 or R9 or R8; R10, R11 = H, (un)substituted alkyl, cycloalkyl, or alkoxy, halo; L is a sulfonamide, amide, ether, ester, keto, urea, thioether, sulfoxide or sulfone unit optionally extended by one or two methylene groups; X is N, O or S] and their physiol. acceptable salts and stereoisomers were prepared Thus, 3-[(phenylsulfonyl)amino]-3-[3-[(3-guanidinophenyl)sulfonyl]phenyl]propionic acid trifluoroacetic acid salt, prepared by a multistep procedure from 3-nitrobenzaldehyde, ammonium acetate, malonic acid, benzenesulfonyl chloride, 3-nitrobenzenesulfonyl chloride, and 1,3-bis(tert-butoxycarbonyl)-2-methyl-2-thiopseudourea, showed IC50 = 19 nM antagonist activity against integrin αvβ3 receptor.

IT 283612-48-6P 283612-50-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-phenylalanine derivs. as integrin antagonists)

RN 283612-48-6 CAPLUS
CN Benzenepropanoic acid, 3-[[[3-[(aminoiminomethyl)amino]phenyl]sulfonyl]amino]-β-[[2-(2,4,6-trimethylphenyl)acetyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

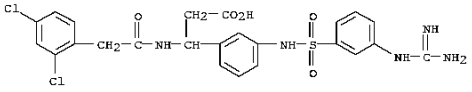
CRN 283612-47-5
CMF C27 H31 N5 O5 S



CM 2

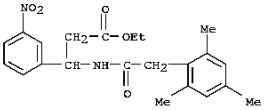
CRN 76-05-1
CMF C2 H F3 O2

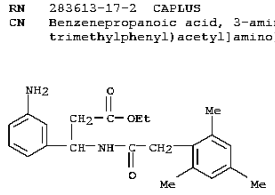
RN 283612-50-0 CAPLUS
CN Benzenepropanoic acid, 3-[[[3-[(aminoiminomethyl)amino]phenyl]sulfonyl]amino]-β-[[2-(2,4-dichlorophenyl)acetyl]amino]- (CA INDEX NAME)



IT 283613-16-1P 283613-17-2P 283613-18-3P
283613-19-4P 283613-20-7P 283613-21-8P
283613-28-5P 283613-29-6P 283613-30-9P
283613-31-0P 283613-32-1P 283613-33-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-phenylalanine derivs. as integrin antagonists)

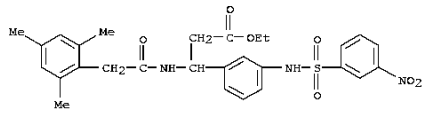
RN 283613-16-1 CAPLUS
CN Benzenepropanoic acid, 3-nitro-β-[[2-(2,4,6-trimethylphenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)





RN 283613-17-2 CAPLUS
CN Benzenepropanoic acid, 3-amino-β-[[2-(2,4,6-trimethylphenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)

RN 283613-18-3 CAPLUS
CN Benzenepropanoic acid, 3-[[(3-nitrophenyl)sulfonyl]amino]-β-[[2-(2,4,6-trimethylphenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)



RN 283613-19-4 CAPLUS
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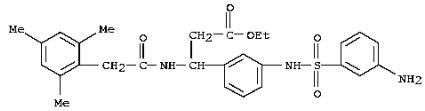
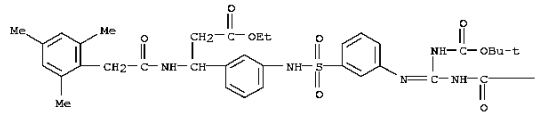


RN 283613-20-7 CAPLUS
CN Benzenepropanoic acid, 3-[[[3-[[bis[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]phenyl]sulfonyl]amino]-β-[[2-(2,4,6-trimethylphenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)

L35 ANSWER 207 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

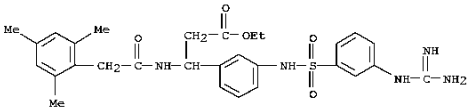
PAGE 1-A



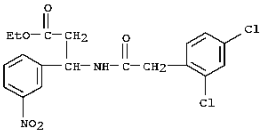
PAGE 1-B

—OBu-t

RN 283613-21-8 CAPLUS
CN Benzenepropanoic acid, 3-[[[3-[(aminoiminomethyl)amino]phenyl]sulfonyl]amino]-β-[[2-(2,4,6-trimethylphenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)

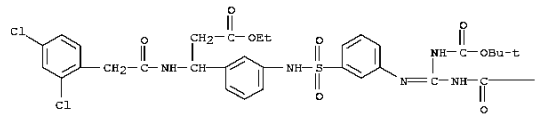


RN 283613-28-5 CAPLUS
CN Benzenepropanoic acid, β-[[2-(2,4-dichlorophenyl)acetyl]amino]-3-nitro-, ethyl ester (CA INDEX NAME)



L35 ANSWER 207 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

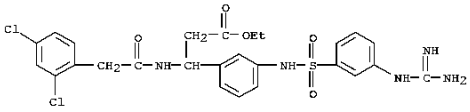
PAGE 1-A



PAGE 1-B

—OBu-t

RN 283613-33-2 CAPLUS
CN Benzenepropanoic acid, 3-[[[3-[(aminoiminomethyl)amino]phenyl]sulfonyl]amino]-β-[[2-(2,4-dichlorophenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)

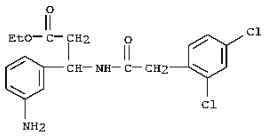


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

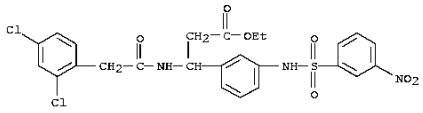
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 207 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

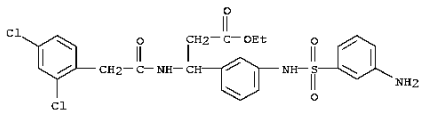
RN 283613-29-6 CAPLUS
CN Benzenepropanoic acid, 3-amino-β-[[2-(2,4-dichlorophenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)



RN 283613-30-9 CAPLUS
CN Benzenepropanoic acid, β-[[2-(2,4-dichlorophenyl)acetyl]amino]-3-[[(3-nitrophenyl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 283613-31-0 CAPLUS
CN Benzenepropanoic acid, 3-[[(3-aminophenyl)sulfonyl]amino]-β-[[2-(2,4-dichlorophenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)



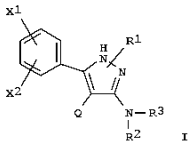
RN 283613-32-1 CAPLUS
CN Benzenepropanoic acid, 3-[[[3-[[bis[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]phenyl]sulfonyl]amino]-β-[[2-(2,4-dichlorophenyl)acetyl]amino]-, ethyl ester (CA INDEX NAME)

L35 ANSWER 208 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:457057 CAPLUS
DOCUMENT NUMBER: 133:58801
TITLE: Preparation of aminopyrazole derivatives as p38 mitogen-activated protein (p38MAP) kinase inhibitors
INVENTOR(S): Minami, Nobuyoshi; Sato, Michitaka; Hasumi, Koichi; Yamamoto, Norio; Keino, Katsuyuki; Matsui, Teruaki; Kanada, Arihiro; Ohta, Shuji; Saito, Takahisa; Sato, Shuichiro; Asagarasu, Akira; Doi, Satoshi; Kobayashi, Motohiro; Sato, Jun; Asano, Hajime
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039116	A1	20000706	WO 1999-JP7186	19991221
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2356263	A1	20000706	CA 1999-2356263	19991221
CA 2356263	C	20090421		
EP 1142890	A1	20011010	EP 1999-959946	19991221
EP 1142890	B1	20050803		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AU 765492	B2	20030918	AU 2000-16911	19991221
AT 301116	T	20050815	AT 1999-959946	19991221
ES 2244231	T3	20051201	ES 1999-959946	19991221
CN 1326848	C	20070718	CN 1999-814940	19991221
US 6511997	B1	20030128	US 2001-869051	20010622
PRIORITY APPLN. INFO.:			JP 1998-371094 A	19981225
			WO 1999-JP7186 W	19991221

OTHER SOURCE(S): MARPAT 133:58801
GI



AB Aminopyrazole deriva. represented by general formula (I) or salts thereof

L35 ANSWER 208 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

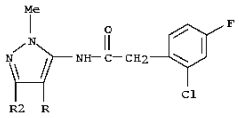
[wherein X1 and X2 are each hydrogen or halogeno, or alternatively X1 and X2 may be united to form lower alkylenedioxy; Q is pyridyl or quinolyl; R1 is hydrogen or optionally substituted lower alkyl or aryl; R2 is hydrogen, lower alkyl, or aralkyl; R3 is hydrogen, an org. sulfonyl group, or -C(:Y)-R4; R4 is hydrogen or an org. residue; and Y is oxygen or sulfur, with the proviso that when R3 is hydrogen, R1 is not hydrogen and R2 is hydrogen] are prepd. These compds. exhibit excellent p38MAP kinase inhibiting activities and are useful in the prevention or treatment of diseases related to tumor necrosis factor α, interleukin 1, interleukin 6 or cyclooxygenase II. These diseases include chronic articular rheumatism, multiple sclerosis, osteoarthritis, psoriasis, HIV, asthma, septic shock, inflammatory enteric disease, Crohn's disease, Alzheimer's disease, diabetes, cachexia, osteoporosis, graft-vs.-host disease, adult respiratory distress syndrome, arteriosclerosis, gout, glomerulus nephritis (glomerulonephritis), ischemic heart failure, ulcerative colitis, septicemia, cerebral malaria, restenosis, hepatitis, systemic lupus erythematosus, thrombosis, bone resorption disease, chronic pulmonary inflammation disease, heart or kidney reperfusion disorder, cancers, Reiter's syndrome, imminent abortion, eczema, homograft rejection, seizure, fever, Behcet's disease, neuralgia, meningitis, sunburn, contact dermatitis, acute synovitis, myelitis, muscle degeneration, neovascularization, conjunctivitis, psoriatic arthritis, viral myocarditis, pancreatitis, blastoma, bleeding, arthritis, endotoxin shock, parasitic infection, tuberculosis, myocardial infarction, Hansen's disease, diabetic conjunctivitis, irritable bowel syndrome, transplant rejection, burn, bronchitis, ischemic heart disease, eclampsia, pneumonia, remission of swelling, low back pain (lumbago), myelitis, pharyngolaryngitis, Kawasaki disease, or atopic dermatitis. Thus, 306 mg Et3N was added to a suspension of 254 mg 3-amino-5-(4-fluorophenyl)-4-(4-pyridyl)pyrazone in 20 mL THF, followed by adding dropwise a soln. of 464 mg phenylacetyl chloride in 5 mL THF, and the resulting mixt. was stirred at room temp. for 3 h to give 22% 5-(4-fluorophenyl)-3-phenylacetylamino-4-(4-pyridyl)pyrazole (II). II and 5-(2-chlorophenylacetylamino)-3-(4-fluorophenyl)-1-methyl-4-(4-pyridyl)pyrazole showed IC50 of 0.042 and 0.0000088 μg/mL against p38MAP kinase.

IT 277746-74-4P 277746-77-7P 277747-27-0P
277747-56-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrazole derivs. as p38MAP kinase inhibitors for treatment of prevention of diseases related to tumor necrosis factor α, interleukin 1, interleukin 6 or cyclooxygenase II)

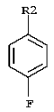
RN 277746-74-4 CAPLUS

CN Benzeneacetamide, 2-chloro-4-fluoro-N-[3-(4-fluorophenyl)-1-methyl-4-(4-pyridinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

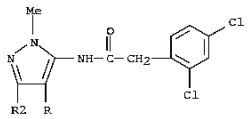


RN 277746-77-7 CAPLUS

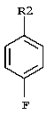
CN Benzeneacetamide, 2,4-dichloro-N-[3-(4-fluorophenyl)-1-methyl-4-(4-pyridinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

L35 ANSWER 208 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

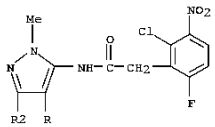


RN 277747-27-0 CAPLUS

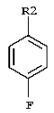
CN Benzeneacetamide, 2-chloro-6-fluoro-N-[3-(4-fluorophenyl)-1-methyl-4-(4-pyridinyl)-1H-pyrazol-5-yl]-3-nitro- (CA INDEX NAME)

L35 ANSWER 208 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

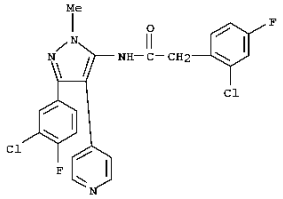


PAGE 2-A



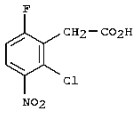
RN 277747-56-5 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-(3-chloro-4-fluorophenyl)-1-methyl-4-(4-pyridinyl)-1H-pyrazol-5-yl]-4-fluoro- (CA INDEX NAME)



IT 37777-86-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L35 ANSWER 208 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of aminopyrazole deriva. as p38MAP kinase inhibitors for treatment of prevention of diseases related to tumor necrosis factor α, interleukin 1, interleukin 6 or cyclooxygenase II)
RN 37777-86-9 CAPLUS
CN Benzeneacetic acid, 2-chloro-6-fluoro-3-nitro- (CA INDEX NAME)



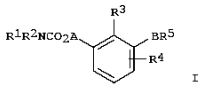
OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 209 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:441370 CAPLUS
DOCUMENT NUMBER: 133:58621
TITLE: Preparation of carbamoyloxyalkylphenoxyacetates and related compounds as prostaglandin I2 receptor agonists.
INVENTOR(S): Lopez-Tapia, Francisco Javier; Muehldorf, Alexander Victor; O'Yang, Counde; Severance, Daniel Lee
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1013639	A1	20000628	EP 1999-125027	19991215
EP 1013639	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6335459	B1	20020101	US 1999-456167	19991207
AT 251125	T	20031015	AT 1999-125027	19991215
ES 2207108	T3	20040516	ES 1999-125027	19991215
MX 9911894	A	20001031	MX 1999-11894	19991216
AU 9965340	A	20000706	AU 1999-65340	19991217
AU 735640	B2	20010712		
NZ 501891	A	20011026	NZ 1999-501891	19991217
SG 90086	A1	20020723	SG 1999-6425	19991217
IL 133578	A	20040725	IL 1999-133578	19991217
ZA 9907773	A	20000629	ZA 1999-7773	19991220
HR 9900394	A1	20000831	HR 1999-394	19991220
HU 9904659	A2	20001128	HU 1999-4659	19991220
HU 9904659	A3	20001228		
IN 1999MA01203	A	20050304	IN 1999-MA1203	19991220
NO 9906366	A	20000626	NO 1999-6366	19991221
NO 316171	B1	20031222		
CA 2292921	A1	20000623	CA 1999-2292921	19991222
CA 2292921	C	20040817		
JP 2000191523	A	20000711	JP 1999-364520	19991222
JP 3415085	B2	20030609		
KR 2000048322	A	20000725	KR 1999-60163	19991222
RU 2179969	C2	20020227	RU 1999-127329	19991222
BR 9905974	A	20000912	BR 1999-5974	19991223
CN 1266054	A	20000913	CN 1999-127795	19991223
CN 1167670	C	20040922		
TR 9903310	A2	20001023	TR 1999-3310	19991223
TW 221834	B	20041011	TW 1999-88122774	19991223
PRIORITY APPLN. INFO.:			US 1998-113446P P	19981223
			US 1999-151814P P	19990830

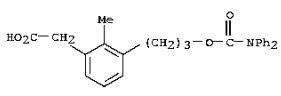
OTHER SOURCE(S): MARPAT 133:58621
GI

L35 ANSWER 209 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

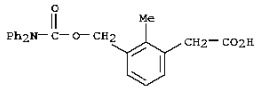


I

AB Title compds. (I; R1, R2 = alkyl, aryl, aralkyl, heteroaryl, cycloalkyl, heterocyclyl; R3, R4 = H, alkyl, alkoxy, amino, halo, haloalkyl, hydroxyalkyl, NO2, aryl, aralkyl, heterocyclyl; R5 = CO2R6, tetrazolyl; R6 = H, alkyl; A = alkylene, alkenylene; B = O(CH2)m, (CH2)n; m = 1-8; n = 0-8), were prepared Thus, tert-Bu 3-hydroxymethyl-2-methylphenoxyacetate (preparation given) in THF at -50° was treated with LDA and then with diphenylcarbamyl chloride in THF followed by warming room temperature to give 73% tert-Bu [3-[(diphenylcarbamoyloxy)methyl]-2-methylphenoxy]acetate. This was stirred with LiOH in H2O/THF to give 95% [3-[(diphenylcarbamoyloxy)methyl]-2-methylphenoxy]acetic acid. I stimulated intracellular cAMP with pEC50>4.82.
IT 277331-03-0P 277331-75-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamoyloxyalkylphenoxyacetates and related compds. as prostaglandin I2 receptor agonists)
RN 277331-03-0 CAPLUS
CN Benzeneacetic acid, 3-[3-[[(diphenylamino)carbonyl]oxy]propyl]-2-methyl- (CA INDEX NAME)



RN 277331-75-6 CAPLUS
CN Benzeneacetic acid, 3-[[[(diphenylamino)carbonyl]oxy]methyl]-2-methyl- (CA INDEX NAME)



L35 ANSWER 209 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

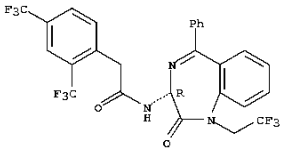
L35 ANSWER 210 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:750409 CAPLUS
DOCUMENT NUMBER: 132:216775
TITLE: Antiarrhythmic efficacy of selective blockade of the cardiac slowly activating delayed rectifier current, IKs, in canine models of malignant ischemic ventricular arrhythmia
AUTHOR(S): Lynch, Joseph J., Jr.; Houle, Melanie S.; Stump, Gary L.; Wallace, Audrey A.; Gilberto, David B.; Jahansouz, Hossain; Smith, Garry R.; Tebben, Andrew J.; Liverton, Nigel J.; Selnick, Harold G.; Claremon, David A.; Billman, George E.
CORPORATE SOURCE: Departments of Pharmacology, Laboratory Animal Medicine, Pharmaceutical Research and Development, and Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Circulation (1999), 100(18), 1917-1922
CODEN: CIRCAZ; ISSN: 0009-7322
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The lack of potent and selective inhibitors has hampered the physiol. assessment of modulation of the cardiac slowly activating delayed rectifier current, IKs. The present study used the IKs blocker L-768,673 to assess the cardiac electrophysiol. and antiarrhythmic effects of selective IKs blockade. In anesthetized dogs with recent (8.5 days) anterior myocardial infarction, 0.003-0.03 mg L-768,673/kg, i.v., suppressed elec. induced ventricular tachyarrhythmias and reduced the incidence of lethal arrhythmias precipitated by acute, thrombotically induced posterolateral myocardial ischemia. Antiarrhythmic protection afforded by L-768,673 was accompanied by 7%-10% increases in noninfarct-zone ventricular effective refractory period, 3%-5% increases in infarct-zone ventricular effective refractory period, and 4%-6% increases in QTc interval. In conscious dogs with healed (3-4 wk) anterior myocardial infarction, ventricular fibrillation was provoked by transient occlusion of the left circumflex coronary artery during submaximal exercise. Pretreatment with 0.03 mg L-768,673/kg, i.v., elicited a 7% increase in QTc, prevented ventricular fibrillation in 5 of 6 animals, and suppressed arrhythmias in 2 addnl. animals. The findings suggest that selective blockade of IKs may be a potentially useful intervention for the prevention of malignant ischemic ventricular arrhythmias.

IT 177954-68-6, L 768673
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiarrhythmic efficacy of blockade of the cardiac slowly activating delayed rectifier potassium current by L-768-673 in malignant ischemic

L35 ANSWER 210 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
ventricular arrhythmia)
RN 177954-68-6 CAPLUS
CN Benzeneacetamide, N-[(3R)-2,3-dihydro-2-oxo-5-phenyl-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]-2,4-bis(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



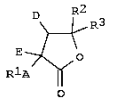
OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 211 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:464288 CAPLUS
DOCUMENT NUMBER: 131:116146
TITLE: Preparation of 6-benzyl-5-methylidenehexahydrocyclopenta[c]furan-1-ones as metabotropic glutamate receptor modulators.
INVENTOR(S): Stolle, Andreas; Antonicek, Horst-Peter; Lensky, Stephen; Voerste, Arnd; Muller, Thomas; Baumgarten, Jorg; Von Dem Bruch, Karsten; Muller, Gerhard; Stropp, Udo; Horvath, Ervin; De Vry, Jean-Marie Viktor; Schreiber, Rudy
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9936416	A1	19990722	WO 1999-EP132	19990112
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19801646	A1	19990722	DE 1998-19801646	19980117
AU 9925172	A	19990802	AU 1999-25172	19990112
EP 1047684	A1	20001102	EP 1999-904767	19990112
EP 1047684	B1	20021002		
R: DE, ES, FR, GB, IT				
JP 2002509144	T	20020326	JP 2000-540132	19990112
ES 2185316	T3	20030416	ES 1999-904767	19990112
US 6462074	B1	20021008	US 2000-600355	20000714
US 20030158424	A1	20030821	US 2002-206166	20020725
US 6723718	B2	20040420		

PRIORITY APPLN. INFO.: DE 1998-19801646 A 19980117
WO 1999-EP132 W 19990112
US 2000-600355 A1 20000714

OTHER SOURCE(S): MARPAT 131:116146
GI



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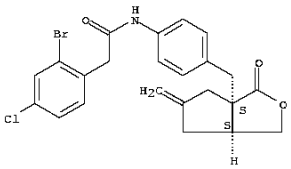
L35 ANSWER 211 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB Title compds. [I; A = CH2, CO, CR4OH, (CH2)aCHR5, alkylene, alkenylene, alkynylene; a = 0-4; R4 = H, alkyl; R5 = Ph; R1 = H, (substituted) cycloalkyl, heterocyclyl, benzoheterocyclyl, aryl, etc.; R2, R3 = H, alkyl; DE = CH2C(:CR32R31)CH2, CR33:CR34CHR35, etc.; R31-R35 = H, Ph, alkyl], were prepared for preventing and/or treating diseases caused by the hyper- or hypofunction of the glutamatergic system, especially cerebral ischemia, cranial/cerebral trauma, pain or CNS-mediated cramps (no data). Thus, 2-methoxycarbonyl-4-methylidenecyclopentanecarboxylic acid in THF at -15° was treated with Et3n and EtO2CCl followed by 1 h stirring at room temperature The mixture was filtered and the filtrate in MeOH at -15° was treated with NaBH4 followed by 1 h stirring at room temperature to give 58% (3aS*,6aR*)-5-methylidenehexahydrocyclopenta[c]furan-1-one. The latter in PhMe was was added to LiN(SiMe3)2 in THF/PhMe at -78° followed by warming to room temperature, 1 h stirring, and addition of PhCH2Br to give 68% (3aS*,6aR*)-6a-benzyl-5-methylidenehexahydrocyclopenta[c]furan-1-one.

IT 232608-98-9P 232609-01-7P 232609-11-9P
232609-32-4P 232609-34-6P 232609-38-0P
232609-39-1P 232609-78-8P 232609-81-3P
232609-82-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-benzyl-5-methylidenehexahydrocyclopenta[c]furan-1-ones as metabotropic glutamate receptor modulators)
RN 232608-98-9 CAPLUS
CN Benzeneacetamide, 2-bromo-4-chloro-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

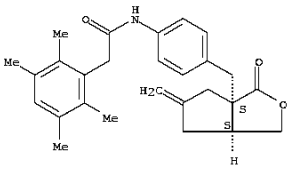
Relative stereochemistry.



RN 232609-01-7 CAPLUS
CN Benzeneacetamide, 2,3,5,6-tetramethyl-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel-

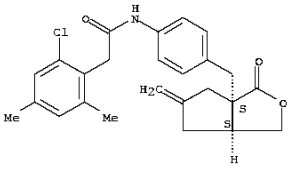
L35 ANSWER 211 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(CA INDEX NAME)

Relative stereochemistry.



RN 232609-11-9 CAPLUS
CN Benzeneacetamide, 2-chloro-4,6-dimethyl-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

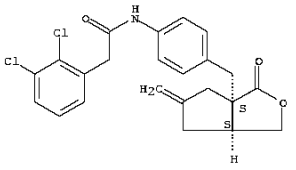
Relative stereochemistry.



RN 232609-32-4 CAPLUS
CN Benzeneacetamide, 2,4,6-trichloro-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

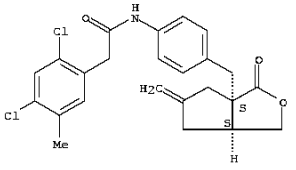
Relative stereochemistry.

L35 ANSWER 211 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



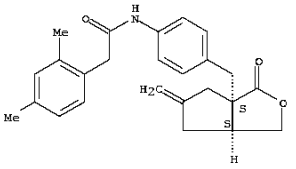
RN 232609-39-1 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-5-methyl-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



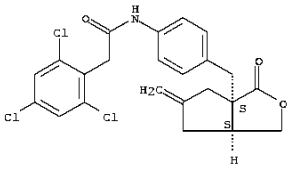
RN 232609-78-8 CAPLUS
CN Benzeneacetamide, 2,4-dimethyl-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



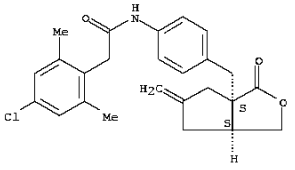
RN 232609-81-3 CAPLUS

L35 ANSWER 211 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 232609-34-6 CAPLUS
CN Benzeneacetamide, 4-chloro-2,6-dimethyl-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

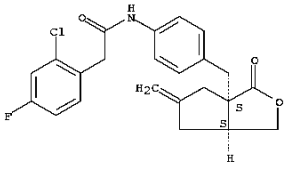


RN 232609-38-0 CAPLUS
CN Benzeneacetamide, 2,3-dichloro-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

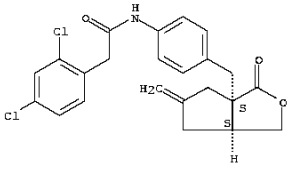
L35 ANSWER 211 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzeneacetamide, 2-chloro-4-fluoro-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 232609-82-4 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[4-[[(3aR,6aR)-tetrahydro-5-methylene-3-oxo-1H-cyclopenta[c]furan-3a(6aH)-yl]methyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 212 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:404935 CAPLUS
DOCUMENT NUMBER: 131:59136
TITLE: Pyridones as Src family SH2 domain inhibitors
INVENTOR(S): Betageri, Rajashekhar; Beaulieu, Pierre L.; Llinas-Brunet, Montse; Perland, Jean-Marie; Cardozo, Mario; Moss, Neil; Patel, Usha; Proudfoot, John R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931066	A1	19990624	WO 1998-US26123	19981209
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SK, TR, UA, UZ, VN				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2315113	A1	19990624	CA 1998-2315113	19981209
AU 9917194	A	19990705	AU 1999-17194	19981209
US 6054470	A	20000425	US 1998-208113	19981209
EP 1045836	A1	20001025	EP 1998-962022	19981209
EP 1045836	B1	20080305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, RO, CY				
JP 2003514762	T	20030422	JP 2000-538993	19981209
AT 388139	T	20080315	AT 1998-962022	19981209
ES 2302363	T3	20080701	ES 1998-962022	19981209
ZA 9811570	A	19990916	ZA 1998-11570	19981217
US 6268365	B1	20010731	US 1999-438629	19991112
US 6284768	B1	20010904	US 1999-438647	19991112
US 6156784	A	20001205	US 1999-455633	19991207
MX 2000006008	A	20010123	MX 2000-6008	20000616

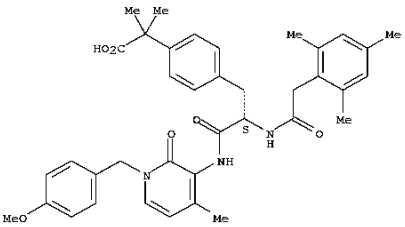
PRIORITY APPLN. INFO.:

US 1997-69971P	P	19971218
US 1998-208113	A3	19981209
WO 1998-US26123	W	19981209
US 1999-129414P	P	19990415

OTHER SOURCE(S): MARPAT 131:59136
AB Compds. A-Q-NB-CH(D-NH-E)-CH2-a-R-C (ring a is selected from cycloalkyl, aryl, heterocyclyl; A = alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkenyl, heterocyclyl, aryl; Q = CO, SO2, C:S; B = H, alkyl, a nitrogen-protecting group; R = bond, alkyl, aryl, heterocyclyl, cycloalkyl linker; C is an acidic functionality that carries one or two neg. charges at physiol. pH; D = CH2, CO, C:S; E are certain six-membered unsatd. heterocycles) were prepared These compds. possess the ability to disrupt the interaction between regulatory proteins possessing one or more SH2

L35 ANSWER 212 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
domains and their native ligands. Thus, 3-[2'(S)-(1''''-naphthylacetyl)amino-3'-[4''-(1'''-carboxy-1'''-methylethyl)benzene]propanoylamino]-1-(4-methoxybenzyl)-4-methyl-2-pyridone was prepd. and showed IC50 = 96 μM for blocking IL-2 prodn. in human blood CD4 pos. T-lymphocytes after T cell receptor and CD28 crosslinking.
IT 228408-85-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyridones as Src family SH2 domain inhibitors)
RN 228408-85-3 CAPLUS
CN Benzeneacetic acid, 4-[(2S)-3-[[1,2-dihydro-1-[(4-methoxyphenyl)methyl]-4-methyl-2-oxo-3-pyridinyl]amino]-3-oxo-2-[[2-(2,4,6-trimethylphenyl)acetyl]amino]propyl]-α,α-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 213 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:325920 CAPLUS
DOCUMENT NUMBER: 130:352265
TITLE: Preparation of aminothiazole inhibitors of cyclin dependent kinases
INVENTOR(S): Kim, Kyoung S.; Kimball, S. David; Poss, Michael A.; Misra, Raj N.; Cai, Zhen-Wei; Rawlins, David B.; Webster, Kevin; Hunt, John T.; Han, Wen-Ching
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

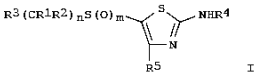
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924416	A1	19990520	WO 1998-US23197	19981102
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309551	A1	19990520	CA 1998-2309551	19981102
CA 2309551	C	20060328		
AU 9912955	A	19990531	AU 1999-12955	19981102
AU 730607	B2	20010308		
TR 200001344	T2	20000921	TR 2000-1344	19981102
BR 9814124	A	20001003	BR 1998-14124	19981102
EP 1042307	A1	20001011	EP 1998-956431	19981102
EP 1042307	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1278806	A	20010103	CN 1998-811091	19981102
CN 1160343	C	20040804		
JP 2001522842	T	20011120	JP 2000-520430	19981102
HU 2000004559	A2	20020429	HU 2000-4559	19981102
NZ 503828	A	20030328	NZ 1998-503828	19981102
RU 2211839	C2	20030910	RU 2000-115305	19981102
IL 135589	A	20040620	IL 1998-135589	19981102
CZ 297907	B6	20070425	CZ 2000-1744	19981102
AT 374771	T	20071015	AT 1998-956431	19981102
ES 2296347	T3	20080416	ES 1998-956431	19981102
TW 593292	B	20040621	TW 1998-87118625	19981109
ZA 9810332	A	20000511	ZA 1998-10332	19981111
EG 24028	A	20080326	EG 1998-1406	19981112
NO 2000002153	A	20000511	NO 2000-2153	20000427
NO 316773	B1	20040503		
MX 2000004488	A	20001110	MX 2000-4488	20000509
HK 1029109	A1	20080403	HK 2000-107675	20001130

PRIORITY APPLN. INFO.:

US 1997-65195P	P	19971112
WO 1998-US23197	W	19981102

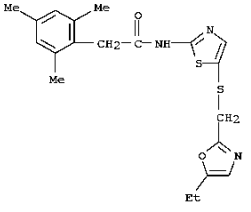
OTHER SOURCE(S): MARPAT 130:352265

L35 ANSWER 213 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
GI



I

AB The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = H, alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example cancer, inflammation and arthritis (no data). E.g., N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide was prepared
IT 224436-23-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazole inhibitors of cyclin dependent kinases)
RN 224436-23-1 CAPLUS
CN Benzeneacetamide, N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]-2,4,6-trimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (50 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

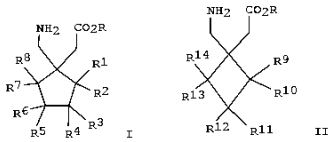
L35 ANSWER 214 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:297397 CAPLUS
DOCUMENT NUMBER: 130:297006
TITLE: Synthesis of cyclic amino acids and derivatives thereof useful as pharmaceutical agents
INVENTOR(S): Bryans, Justin Stephen; Horwell, David Christopher; Thorpe, Andrew John; Wustrow, David Juergen; Yuen, Po-Wai
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921824	A1	19990506	WO 1998-US19876	19980923
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2303244	A1	19990506	CA 1998-2303244	19980923
CA 2303244	C	20051206		
AU 9896638	A	19990517	AU 1998-96638	19980923
AU 755800	B2	20021219		
BR 9813284	A	20000822	BR 1998-13284	19980923
EP 1032555	A1	20000906	EP 1998-950649	19980923
EP 1032555	B1	20060412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
TR 200001170	T2	20001023	TR 2000-1170	19980923
HU 2000004310	A2	20010428	HU 2000-4310	19980923
JP 2001521020	T	20011106	JP 2000-517936	19980923
JP 3756761	B2	20060315		
NZ 503651	A	20020927	NZ 1998-503651	19980923
CN 1138755	C	20040218	CN 1998-810346	19980923
IL 134732	A	20040328	IL 1998-134732	19980923
CN 1500773	A	20040602	CN 2003-10120932	19980923
CN 1303059	C	20070307		
AT 323067	T	20060415	AT 1998-950649	19980923
ES 2260850	T3	20061101	ES 1998-950649	19980923
ZA 9809740	A	19990425	ZA 1998-9740	19981026
US 6635673	B1	20031021	US 2000-485382	20000208
MX 2000002656	A	20010131	MX 2000-2656	20000316
NO 2000002118	A	20000426	NO 2000-2118	20000426
HK 1030768	A1	20041217	HK 2001-101728	20010312
US 20030220397	A1	20031127	US 2003-448834	20030530
US 6921835	B2	20050726		
HK 1061841	A1	20071123	HK 2004-104849	20040706
US 20050159487	A1	20050721	US 2005-78961	20050311

L35 ANSWER 214 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

US 7122678	B2	20061017		
JP 2006096758	A	20060413	JP 2005-319009	20051102
JP 4263717	B2	20090513		
PRIORITY APPLN. INFO.:			US 1997-63644P	P 19971027
			US 1998-97685P	P 19980824
			CN 1998-810346	A 19980923
			JP 2000-517936	A3 19980923
			WO 1998-US19876	W 19980923
			US 2000-485382	A1 20000208
			US 2003-448834	A3 20030530

OTHER SOURCE(S): MARPAT 130:297006
GI



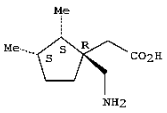
AB The invention is a novel series of cyclic amino acids [(I, II); R = H, alkyl; R1 - R14 independently = H, (branched) alkyl, Ph, CH2Ph, F, Cl, Br, OH, CH2OH, NH2, CH2NH2, CF3, CO2h, CO2R15; CH2CO2R15, OR15; R15 = (branched) alkyl, Ph, CH2Ph, and R1-R8 are not simultaneously H] which are useful in the treatment of epilepsy, faintness attacks, neurodegenerative disorders, depression, anxiety, panic, pain, neuro-pathol. disorders, gastrointestinal disorders such as irritable bowel syndrome (IBS), and inflammation, especially arthritis. A pharmaceutical composition containing a compound of the invention as well as methods of preparing the compds. and novel intermediates useful in the preparation of the final compds. are included.
Thus, trans-3,4-dimethyl-cyclopentanone was reacted with triethylphosphonoacetate and NaH to give trans-(3,4-dimethyl-cyclopentylidene)acetic acid Et ester; this unsatd. ester was then reacted with H3CNO2 to give trans-(3,4-dimethyl-1-nitro-methyl-cyclopentyl)acetic acid Et ester (III).

L35 ANSWER 214 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
III was hydrogenated to give a spiro-lactam, which was then ring-opened to give I (R = H, R1-R3, R6-R8 = H, R4,R5 = trans-Me's) as the HCl salt. In in vivo tests, III had IC50 of 0.034 μM in carrageenan-induced thermal hyperalgesia tests using rats; in anticonvulsant efficacy tests using DBA/2 audiogenic mice, III had 100% efficiency at 1 h post-dose at 30 mg/kg.

IT 223428-06-6 223428-07-7 223428-08-8
223428-09-9 223428-10-2 223428-12-4
223428-13-5 223428-14-6 223428-15-7
223428-16-8 223428-17-9 223428-18-0
223428-19-1 223428-20-4 223428-21-5
223428-22-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclic amino acids as pharmaceutical agents)

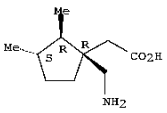
RN 223428-06-6 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 223428-07-7 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2R,3S)- (CA INDEX NAME)

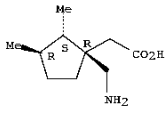
Absolute stereochemistry.



RN 223428-08-8 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2S,3R)- (CA INDEX NAME)

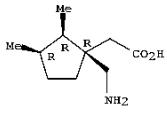
Absolute stereochemistry.

L35 ANSWER 214 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



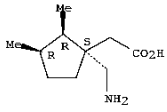
RN 223428-09-9 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1R,2R,3R)- (CA INDEX NAME)

Absolute stereochemistry.



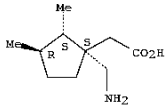
RN 223428-10-2 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2R,3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 223428-12-4 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2S,3R)- (CA INDEX NAME)

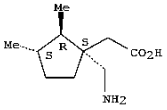
Absolute stereochemistry.



L35 ANSWER 214 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

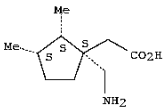
RN 223428-13-5 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



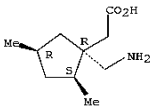
RN 223428-14-6 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,3-dimethyl-, (1S,2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 223428-15-7 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1R,2S,4R)- (CA INDEX NAME)

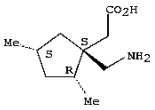
Absolute stereochemistry.



RN 223428-16-8 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1S,2R,4S)- (CA INDEX NAME)

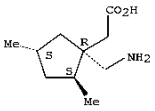
Absolute stereochemistry.

L35 ANSWER 214 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



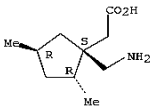
RN 223428-17-9 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1R,2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



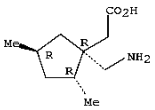
RN 223428-18-0 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1S,2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 223428-19-1 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1R,2R,4R)- (CA INDEX NAME)

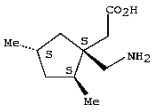
Absolute stereochemistry.



L35 ANSWER 214 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

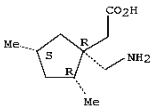
RN 223428-20-4 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1S,2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



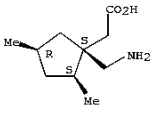
RN 223428-21-5 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1R,2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 223428-22-6 CAPLUS
CN Cyclopentaneacetic acid, 1-(aminomethyl)-2,4-dimethyl-, (1S,2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 215 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:788746 CAPLUS
DOCUMENT NUMBER: 130:52406
TITLE: Substituted biphenyl isoxazole sulfonamides useful as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.; Spergel, Steven H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 107 pp., Cont.-in-part of U.S. Ser. No. 754,715,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5846990	A	19981208	US 1997-799616	19970213
TW 517057	B	20030111	TW 1997-86101898	19970218
ZA 9701423	A	19980819	ZA 1997-1423	19970219
CA 2240043	A1	19970821	CA 1997-2240043	19970220
WO 9729748	A1	19970821	WO 1997-US3956	19970220
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9722098	A	19970902	AU 1997-22098	19970220
AU 720458	B2	20000601		
EP 921800	A1	19990616	EP 1997-915055	19970220
EP 921800	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500619	T	20020108	JP 1997-529620	19970220
AT 264324	T	20040415	AT 1997-915055	19970220
ES 2219762	T3	20041201	ES 1997-915055	19970220

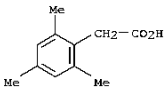
PRIORITY APPLN. INFO.:	US 1995-493331	B2	19950724
	US 1996-603975	B1	19960220
	US 1996-754715	B2	19961121
	US 1997-799616	A	19970213
	WO 1997-US3956	W	19970220

OTHER SOURCE(S): MARPAT 130:52406
GI

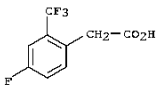
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I inhibit the activity of endothelin (no data), and are

L35 ANSWER 215 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
useful as antihypertensives, etc. The symbols in I are defined as follows
[one of X and Y = N, other = O; J = O, S, N, (un)substituted NH; K, L = N or C, provided that at least one is C; p = 0-2; R1-R4 (bound to ring C atoms) = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, halo, OH, cyano, NO2, CHO, etc.; or R3R4 = (un)substituted alkylene or alkenylene; R5-R8 = groups similar to R1-R4, plus heterocyclyl, heterocyclyloxy, and others]. Over 280 synthetic examples are given. For instance, the MEM-protected, isoxazole-contg. bromide II [R = Br] was lithiated, treated with B(OPr-iso)3, and hydrolyzed to give 82% II [R = B(OH)2]. The latter was coupled with 2-(4-bromophenyl)oxazole using Pd(PPh3)4 catalyst (70%), followed by acidic deprotection of the MEM group (52%), to give title compd. III.
IT 4408-60-0 195447-80-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of substituted biphenyl isoxazole sulfonamides as endothelin antagonists)
RN 4408-60-0 CAPLUS
CN Benzeneacetic acid, 2,4,6-trimethyl- (CA INDEX NAME)



RN 195447-80-4 CAPLUS
CN Benzeneacetic acid, 4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

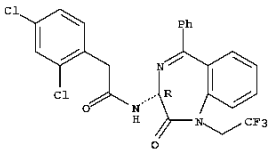
L35 ANSWER 216 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:471465 CAPLUS
DOCUMENT NUMBER: 129:109102
ORIGINAL REFERENCE NO.: 129:22417a,22420a
TITLE: Preparation of benzodiazepinone derivatives for treatment of cardiac arrhythmias and pharmaceutical composition containing them
INVENTOR(S): Lynch, Joseph J., Jr.; Salata, Joseph J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: U.S., 68 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776930	A	19980707	US 1997-881399	19970624
PRIORITY APPLN. INFO.:			US 1997-881399	19970624

OTHER SOURCE(S): MARPAT 129:109102
AB A method of preventing, treating, terminating and protecting against cardiac arrhythmias, such as atrial, supraventricular and ventricular ectopy, tachycardia, flutter or fibrillation, including atrial, supraventricular and ventricular arrhythmias resulting from myocardial ischemic injury in a patient in need thereof, comprising administration of a selective IKs antagonist and a beta-adrenergic receptor blocking agent, administered in combined therapy either simultaneously, sep. or sequentially is presented. Addnl., a pharmaceutical preparation comprising a selective IKs antagonist and a beta-adrenergic receptor blocking agent, wherein these compds. are administered simultaneously, sep. or sequentially is presented. The combined administration of both low dose IKs blocker of this invention and low dose timolol provided significant protection against development of malignant ischemic ventricular tachyarrhythmia in dogs.
IT 177954-65-3P 177954-68-6P 177954-72-2P 177954-74-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodiazepinone derivs. for treatment of cardiac arrhythmias)
RN 177954-65-3 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[(3R)-2,3-dihydro-2-oxo-5-phenyl-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]- (CA INDEX NAME)

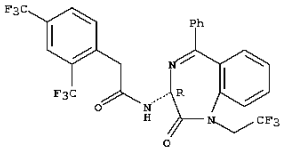
Absolute stereochemistry. Rotation (-).

L35 ANSWER 216 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



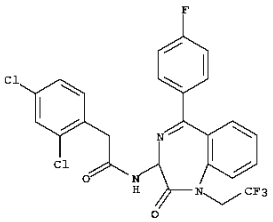
RN 177954-68-6 CAPLUS
CN Benzeneacetamide, N-[(3R)-2,3-dihydro-2-oxo-5-phenyl-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]-2,4-bis(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 177954-72-2 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[5-(4-fluorophenyl)-2,3-dihydro-2-oxo-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]-, (-)- (CA INDEX NAME)

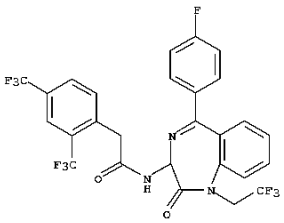
Rotation (-).



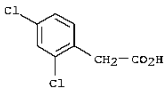
RN 177954-74-4 CAPLUS
CN Benzeneacetamide, N-[5-(4-fluorophenyl)-2,3-dihydro-2-oxo-1-(2,2,2-trifluoroethyl)-1H-1,4-benzodiazepin-3-yl]-2,4-bis(trifluoromethyl)-, (-)-

L35 ANSWER 216 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(CA INDEX NAME)

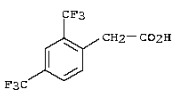
Rotation (-).



IT 19719-28-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzodiazepinone derivs. for treatment of cardiac arrhythmias)
RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)



IT 177952-39-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodiazepinone derivs. for treatment of cardiac arrhythmias)
RN 177952-39-5 CAPLUS
CN Benzeneacetic acid, 2,4-bis(trifluoromethyl)- (CA INDEX NAME)



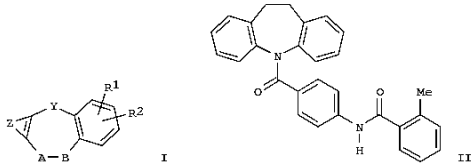
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS

L35 ANSWER 216 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 217 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:289524 CAPLUS
DOCUMENT NUMBER: 128:321569
ORIGINAL REFERENCE NO.: 128:63744h,63745a
TITLE: Preparation of tricyclic benzazepine vasopressin antagonists
INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 101 pp., Cont.-in-part of U.S. Ser. No. 5,512,563.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747487	A	19980505	US 1996-638067	19960425
US 5512563	A	19960430	US 1994-254823	19940613
NZ 299340	A	20000825	NZ 1994-299340	19940728
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728

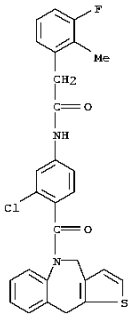
OTHER SOURCE(S): MARPAT 128:321569
GI



I II

AB The title compds. [I; Y = a bond; AB = (CH2)2N(R3); R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar (wherein Ar = (un)substituted Ph, thienyl, etc.); Z = (un)substituted fused benzo, thiazole, etc.], which exhibit antagonistic activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and antagonistic activity at oxytocin receptors, and therefore useful in treating diseases characterized by excess renal reabsorption of water such as congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, liver cirrhosis, brain

L35 ANSWER 217 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
edema, cerebral ischemia, or cerebral hemorrhage-stroke, were prepd. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine in pyridine afforded the title compd. II which showed IC50 of 2.5 μM against rat hepatic V1 receptors binding and IC50 of 0.86 μM against rat kidney medullary V2 receptors binding.
IT 1101631-37-1
RL: PRPH (Prophetic)
(Preparation of tricyclic benzazepine vasopressin antagonists)
RN 1101631-37-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

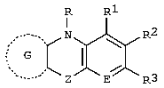


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 218 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:126254 CAPLUS
DOCUMENT NUMBER: 128:204878
ORIGINAL REFERENCE NO.: 128:40519a,40522a
TITLE: Preparation of pyrazinobenzothiazine derivatives and analogs for the treatment of inflammation and autoimmune diseases
INVENTOR(S): Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiro; Kawahara, Tetsuya; Kamada, Atsushi; Okano, Kazuo; Yokohama, Hiromitsu; Muramoto, Kenzo; Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu; Sonoda, Jiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806720	A1	19980219	WO 1997-JP2787	19970808
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2262569	A1	19980219	CA 1997-2262569	19970808
AU 9737849	A	19980306	AU 1997-37849	19970808
ZA 9707103	A	19990208	ZA 1997-7103	19970808
EP 934941	A1	19990811	EP 1997-934750	19970808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 4028894	B2	20071226	JP 1998-509589	19970808
US 6518423	B1	20030211	US 1999-230852	19990405
US 20040092737	A1	20040513	US 2002-247310	20020920
PRIORITY APPLN. INFO.:			JP 1996-210344	A 19960809
			WO 1997-JP2787	W 19970808
			US 1999-230852	A3 19990405

OTHER SOURCE(S): MARPAT 128:204878
GI



I

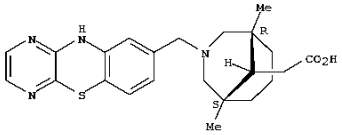
AB The title compds. I [R1 to R3 are the same or different and each represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, etc., provided that when R1 to R3 are all optionally substituted lower alkyl groups, they do not simultaneously represent Me groups; R represents hydrogen, lower alkyl, etc.; E

L35 ANSWER 218 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
represents N, C, etc.; Z represents O, S, SO, SO2, etc.; and the ring G represents an optionally substituted heteroaryl ring having at least one nitrogen atom] are prepd. I are useful in the treatment and prevention of inflammatory immunol. diseases, autoimmune diseases, rheumatism, collagen disease, asthma, nephritis, ischemic reflow disorders, psoriasis, atopic dermatitis or rejection reactions following organ transplantation. The compd. (syn)-[3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-3-azabicyclo[3.3.1]nona-9-yl]acetic acid (II) at 10 mg/kg orally gave 65% inhibition of carrageenin-induced inflammation in rats. II in vitro showed IC50 of 2.3 μM against the expression of ICAM-1.

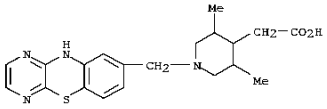
IT 203647-15-8P 203650-86-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazinobenzothiazine derivs. and analogs for treatment of inflammation and autoimmune diseases)

RN 203647-15-8 CAPLUS
CN 3-Azabicyclo[3.3.1]nonane-9-acetic acid, 1,5-dimethyl-3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-, (9-anti)- (CA INDEX NAME)

Relative stereochemistry.



RN 203650-86-6 CAPLUS
CN 4-Piperidineacetic acid, 3,5-dimethyl-1-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD

L35 ANSWER 218 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(8 CITINGS)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 219 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

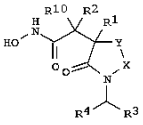
ACCESSION NUMBER: 1997:618069 CAPLUS
DOCUMENT NUMBER: 127:293126
ORIGINAL REFERENCE NO.: 127:57291a,57294a
TITLE: Pyrrolidinone hydroxamic acid derivatives for use in the treatment of diseases related to connective tissue degradation
INVENTOR(S): Jacobsen, E. Jon
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA; Jacobsen, E. Jon
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

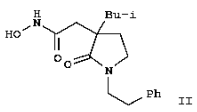
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732846	A1	19970912	WO 1997-US2568	19970303
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 448172	B	20010801	TW 1997-86102076	19970221
IN 1997DE00513	A	20050311	IN 1997-DE513	19970227
CA 2244903	A1	19970912	CA 1997-2244903	19970303
CA 2244903	C	20060516		
AU 9720525	A	19970922	AU 1997-20525	19970303
AU 707180	B2	19990701		
EP 898562	A1	19990303	EP 1997-908674	19970303
EP 898562	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1210517	A	19990310	CN 1997-192171	19970303
BR 9707947	A	19990727	BR 1997-7947	19970303
NZ 330922	A	20000128	NZ 1997-330922	19970303
JP 2000506163	T	20000523	JP 1997-531784	19970303
RU 2168497	C2	20010610	RU 1998-118372	19970303
AT 231490	T	20030215	AT 1997-908674	19970303
ES 2191823	T3	20030916	ES 1997-908674	19970303
ZA 9701902	A	19980907	ZA 1997-1902	19970305
NO 9804112	A	19981106	NO 1998-4112	19980907
NO 312956	B1	20020722		

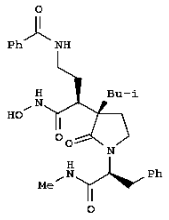
PRIORITY APPLN. INFO.: US 1996-13098P P 19960308
WO 1997-US2568 W 19970303

OTHER SOURCE(S): MARPAT 127:293126
GI

L35 ANSWER 219 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

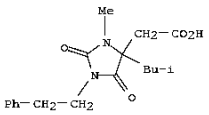

I


II

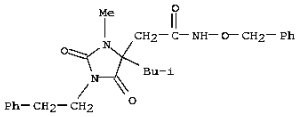

III

AB The invention provides novel hydroxamic acid derivs. I and their pharmaceutically acceptable salts [wherein X = CH2, NR5, CO; Y = CH2, NR5; provided that Y = CH2 when X = NR5; R1 = H, alkyl, (CH2)i-Ar, (CH2)iOR5, (CH2)i-Het, etc.; R2 = H, alkyl, (CH2)jOR5, NHR5, (CH2)jNR6R7, etc; R3 = H, alkyl, (CH2)j-Ar, (CH2)j-Het, (CH2)j-cycloalkyl, CONHR5; R4 = H, CONHR5, CONR6R7, other derivs. of CONH2, etc.; R5 = H, alkyl, (CH2)j-Ar, (CH2)j-Ar-Ar, (CH2)j-Ar-(CH2)j-Ar, (CH2)j-Het, (CH2)-cycloalkyl; R6, R7 = H, alkyl, (CH2)j-Ar, Q; or NR6R7 = (optionally alkyl-substituted) azetidinyl, pyrrolidinyl, piperazinyl, piperidinyl, or morpholinyl; R10 = H, OH, OR5, NHR5, (CH2)jOR5; Ar = (un)substituted Ph; Het = 5- or 6-membered N/O/S heterocycle; Q = saturated 5- or 6-membered N/O/S heterocycle; i = 1-6, j = 0-4]. I inhibit various enzymes from the matrix metalloproteinase family, including collagenase, stromelysin, and gelatinase, and are useful for the treatment of matrix metallo-endoproteinase diseases such as osteoarthritis, rheumatoid arthritis, septic arthritis, osteopenias such as osteoporosis, tumor metastasis (invasion and growth), periodontitis, gingivitis, corneal, dermal, and gastric ulceration, and other diseases related to connective tissue degradation For instance, 1-(2-phenylethyl)-2-pyrrolidinone underwent a sequence of lithiation with LDA and C-alkylation with iso-BuI (99%), a second alkylation with BrCH2COBu-tert (68%), saponification with CF3CO2H (92%), and hydroxamidation with NH2OH.HCl using EDC and HOBT (31%), to give title compound II. The title compound III inhibited matrix metalloproteinases in vitro with Ki (μM) as

L35 ANSWER 219 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
follows: stromelysin 0.0105, gelatinase 0.00106, and collagenase 0.00069.
IT 196955-58-5P 196955-61-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolidinone hydroxamic acid derivs. for
treatment of connective tissue degradation diseases)
RN 196955-58-5 CAPLUS
CN 4-Imidazolidineacetic acid, 3-methyl-4-(2-methylpropyl)-2,5-dioxo-1-(2-phenylethyl)- (CA INDEX NAME)

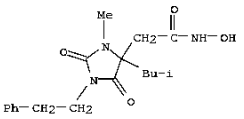


RN 196955-61-0 CAPLUS
CN 4-Imidazolidineacetamide, 3-methyl-4-(2-methylpropyl)-2,5-dioxo-1-(2-phenylethyl)-N-(phenylmethoxy)- (CA INDEX NAME)



IT 196950-25-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinone hydroxamic acid derivs. for treatment of connective tissue degradation diseases)
RN 196950-25-1 CAPLUS
CN 4-Imidazolidineacetamide, N-hydroxy-3-methyl-4-(2-methylpropyl)-2,5-dioxo-1-(2-phenylethyl)- (CA INDEX NAME)

L35 ANSWER 219 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 220 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:557640 CAPLUS
DOCUMENT NUMBER: 127:248103
ORIGINAL REFERENCE NO.: 127:48480h,48481a
TITLE: Substituted biphenyl isoxazole sulfonamides useful as endothelin antagonists
INVENTOR(S): Murugesan, Natesan; Barrish, Joel C.; Spergel, Steven H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 325 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729748	A1	19970821	WO 1997-US3956	19970220
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5846990	A	19981208	US 1997-799616	19970213
TW 517057	B	20030111	TW 1997-86101898	19970218
ZA 9701423	A	19980819	ZA 1997-1423	19970219
AU 9722098	A	19970902	AU 1997-22098	19970220
AU 720458	B2	20000601		
EP 921800	A1	19990616	EP 1997-915055	19970220
EP 921800	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500619	T	20020108	JP 1997-529620	19970220
AT 264324	T	20040415	AT 1997-915055	19970220

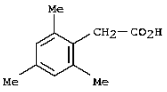
PRIORITY APPLN. INFO.: US 1996-603975 A 19960220
US 1996-754715 A 19961121
US 1997-799616 A 19970213
US 1995-493331 B2 19950724
WO 1997-US3956 W 19970220

OTHER SOURCE(S): MARPAT 127:248103
GI

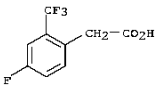
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I inhibit the activity of endothelin (no data), and are useful as antihypertensives, etc. The symbols in I are defined as follows
[one of X and Y = N, other = O; J = O, S, N, (un)substituted NH; K, L = N

L35 ANSWER 220 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
or C, provided that at least one is C; p = 0-2; R1-R4 (bound to ring C atoms) = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, halo, OH, cyano, NO2, CHO, etc.; or R3R4 = (un)substituted alkylene or alkenylene; R5-R8 = groups similar to R1-R4, plus heterocyclyl, heterocyclyloxy, and others]. Over 280 synthetic examples are given. For instance, the MEM-protected, isoxazole-contg. bromide II [R = Br] was lithiated, treated with B(OPr-iso)3, and hydrolyzed to give 82% II [R = B(OH)2]. The latter was coupled with 2-(4-bromophenyl)oxazole using Pd(PPh3)4 catalyst (70%), followed by acidic deprotection of the MEM group (52%), to give title compd. III.
IT 4408-60-0 195447-80-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of substituted biphenyl isoxazole sulfonamides as endothelin antagonists)
RN 4408-60-0 CAPLUS
CN Benzeneacetic acid, 2,4,6-trimethyl- (CA INDEX NAME)



RN 195447-80-4 CAPLUS
CN Benzeneacetic acid, 4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

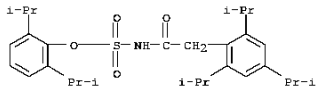
L35 ANSWER 221 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:397373 CAPLUS
DOCUMENT NUMBER: 127:13464
ORIGINAL REFERENCE NO.: 127:2623a,2626a
TITLE: Method and pharmaceutical compositions using ACAT inhibitors in combination with HMG-CoA-reductase inhibitors for regulating lipid concentration
INVENTOR(S): Bocan, Thomas M. A.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Bocan, Thomas M. A.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716184	A1	19970509	WO 1996-US15854	19961002
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KE, KR, LK, LR, LS, LT, LV, MG, MK, MN, MW, MX, NO, NZ, PL, RO, SD, SG, SI, SK, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IN 1996DE02115	A	20050311	IN 1996-DE2115	19960926
CA 2233558	A1	19970509	CA 1996-2233558	19961002
CA 2233558	C	20051206		
AU 9672539	A	19970522	AU 1996-72539	19961002
AU 720853	B2	20000615		
EP 858336	A1	19980819	EP 1996-934020	19961002
EP 858336	B1	20061220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1201389	A	19981209	CN 1996-198010	19961002
CN 1217656	C	20050907		
BR 9611410	A	19990105	BR 1996-11410	19961002
HU 9901865	A2	19991028	HU 1999-1865	19961002
HU 9901865	A3	20000628		
JP 11515025	T	19991221	JP 1997-517342	19961002
NZ 319906	A	20000228	NZ 1996-319906	19961002
IL 123902	A	20030112	IL 1996-123902	19961002
NZ 512484	A	20030228	NZ 1996-512484	19961002
PL 186714	B1	20040227	PL 1996-326365	19961002
SK 284142	B6	20041005	SK 1998-557	19961002
CN 1679953	A	20051012	CN 2005-10051723	19961002
RO 120816	B1	20060830	RO 1998-919	19961002
AT 348607	T	20070115	AT 1996-934020	19961002
ES 2279526	T3	20070816	ES 1996-934020	19961002
ZA 9609187	A	19970529	ZA 1996-9187	19961031
US 6124309	A	20000926	US 1998-51368	19980407
BG 64018	B1	20031031	BG 1998-102417	19980429
NO 9801961	A	19980504	NO 1998-1961	19980430
HK 1016509	A1	20060324	HK 1999-101732	19990421
US 6093719	A	20000725	US 1999-345944	19990701

L35 ANSWER 221 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

US 6143755	A	20001107	US 1999-346503	19990701
PRIORITY APPLN. INFO.:			US 1995-6155P	P 19951102
			CN 1996-198010	A3 19961002
			WO 1996-US15854	W 19961002

AB The present invention concerns a combination of an ACAT inhibitor, for example, [(2,4,6,-tris(1-methylethyl)phenyl)acetyl]sulfamic acid 2,6-bis(1-methylethyl)phenyl ester, and an HMG-CoA-reductase inhibitor, for example, atorvastatin, effective for lipid regulation. The drug combination results in a greater reduction of plasma VLDL and LDL cholesterol and increase of HDL cholesterol than either drug alone, the result of which is a less atherogenic lipoprotein profile. The combination is useful in the treatment of patients with or at risk of developing ischemic syndromes.
IT 166518-60-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(ACAT inhibitors in combination with HMG-CoA-reductase inhibitors used as hypolipidemic and antiatherosclerotic drugs in ischemic syndromes)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

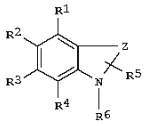
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:326877 CAPLUS
DOCUMENT NUMBER: 126:305540
ORIGINAL REFERENCE NO.: 126:59183a,59186a
TITLE: Preparation of benzene-fused heterocyclic derivatives as inhibitors of acyl-coenzyme A:cholesterol acyltransferase (ACAT) and medicinal use thereof
INVENTOR(S): Kamiya, Shoji; Shirahase, Hiroaki; Matsui, Hiroshi; Nakamura, Shohei; Wada, Katsuo
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9712860	A1	19970410	WO 1996-JP2852	19960930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
CA 2233842	A1	19970410	CA 1996-2233842	19960930
CA 2233842	C	20060411		
AU 9670977	A	19970428	AU 1996-70977	19960930
AU 708571	B2	19990805		
EP 866059	A1	19980923	EP 1996-932060	19960930
EP 866059	B1	20011205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1203587	A	19981230	CN 1996-198670	19960930
CN 1097043	C	20021225		
HU 9900617	A2	19990628	HU 1999-617	19960930
HU 9900617	A3	20011228		
BR 9610846	A	19990713	BR 1996-10846	19960930
JP 2968050	B2	19991025	JP 1996-514152	19960930
RU 2173316	C2	20010910	RU 1998-108605	19960930
IL 123939	A	20011125	IL 1996-123939	19960930
AT 210116	T	20011215	AT 1996-932060	19960930
ES 2164920	T3	20020301	ES 1996-932060	19960930
CZ 292632	B6	20031112	CZ 1998-996	19960930
PL 190034	B1	20051031	PL 1996-326000	19960930
TW 429250	B	20010411	TW 1996-85112125	19961004
NO 310818	B1	20010903	NO 1998-1485	19980401
US 6063806	A	20000516	US 1998-51202	19980403
US 38970	E1	20060207	US 1998-609224	19980403
HK 1015781	A1	20030822	HK 1999-100913	19990305
HK 1048989	A1	20051028	HK 2003-100740	19990305
US 6200988	B1	20010313	US 2000-506839	20000218
CN 1361100	A	20020731	CN 2001-142957	20011130
CN 1193018	C	20050316		
PRIORITY APPLN. INFO.:			JP 1995-259082	A 19951005
			JP 1996-58018	A 19960314

L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

			JP 1996-194331	A 19960724
			WO 1996-JP2852	W 19960930
			HK 1999-100913	A 19990305

OTHER SOURCE(S): MARPAT 126:305540
GI



I

AB Heterocyclic derivs. represented by general formula (I; one of R1, R2, and R5 = OH, CO2H, alkoxycarbonyl, NR9R10, or alkyl or alkenyl substituted by OH, acidic group, or NR9R10 and the others = H, lower alkyl or alkoxy; wherein R9, R10 = H, lower alkyl; one of R3 and R4 = NHCOR7 and the other = H, lower alkyl or alkoxy; wherein R7 = alkyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, NHR8; wherein R8 = alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl; R6 = alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, arylalkyl; Z = a linkage group required to form a 5- to 6-membered ring together with NR6 and C atoms of the benzene ring) or pharmaceutically acceptable salts thereof are prepared. The compds. or pharmaceutically acceptable salts thereof show excellent effects of inhibiting ACAT and inhibiting the peroxidn. of lipids on mammals and thus are useful as ACAT inhibitors and lipid peroxidn. inhibitors. Namely, they are useful in the prevention and treatment of, for example, arteriosclerosis, hyperlipemia, arteriosclerotic lesions in association with diabetes, and ischemic diseases in brain and heart. Thus, N-(1-acetyl-5-chloromethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide was heated with AcOK in MeCN/DMF at 60° under stirring for 1 h, followed by saponification with NaOH in aqueous EtOH under reflux, to give N-(5-hydroxymethyl-4,6-dimethylindolyl-7-yl)-2,2-dimethylpropanamide, which was alkylated by 1-iodooctane in the presence of K2CO3 in DMF to give at 50° for 2 h N-(1-octyl-5-hydroxymethyl-4,6-dimethylindolyl-7-yl)-2,2-dimethylpropanamide (II). II in vitro inhibited by 99.2% the production of cholesteryl oleate from [1-14C]oleoyl CoA in microsome fraction of rabbit small intestinal membrane and at 10 mg/kg per day for 3 days in vivo lowered by 57.1% a total serum cholesterol in rats fed with a high cholesterol diet.
IT 189198-30-9P 189198-31-0P 189198-32-1P 189198-33-2P 189198-34-3P 189198-38-7P

L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

189198-39-8P 189198-40-1P 189198-41-2P
189198-42-3P 189198-43-4P 189198-44-5P
189198-45-6P 189198-46-7P 189198-47-8P
189198-48-9P 189198-49-0P 189198-50-3P
189198-51-4P 189198-52-5P 189198-53-6P
189198-54-7P 189198-55-8P 189198-56-9P
189198-57-0P 189198-58-1P 189198-59-2P
189198-60-5P 189198-61-6P 189198-62-7P
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189198-75-2P 189198-76-3P 189198-77-4P
189198-78-5P 189198-79-6P 189198-80-9P
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189198-97-8P 189198-98-9P 189199-16-4P
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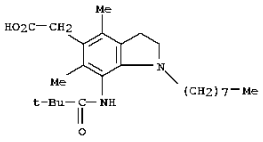
189199-59-5P 189199-60-8P 189199-61-9P
189199-62-0P 189199-63-1P 189199-64-2P
189199-65-3P 189199-72-2P 189199-73-3P
189199-74-4P 189199-75-5P 189199-76-6P
189199-77-7P 189199-78-8P

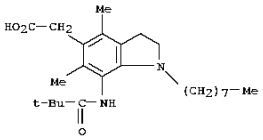
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzene-fused heterocyclic derivs. as inhibitor of acyl-CoA:cholesterol acyltransferase and lipid peroxidn. for disease therapy)

RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-31-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, hydrochloride (1:1) (CA INDEX NAME)



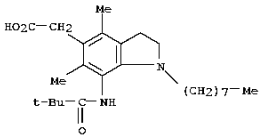
● HCl

RN 189198-32-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3

L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



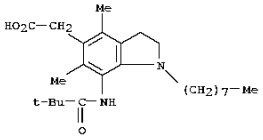
CM 2

CRN 7664-93-9
CMF H2 O4 S

RN 189198-33-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, nitrate (1:1) (CA INDEX NAME)

CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3

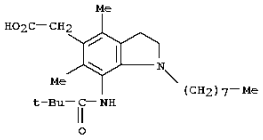


CM 2

CRN 7697-37-2
CMF H N O3

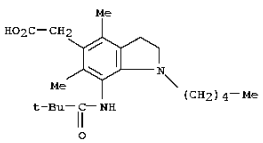
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 189198-34-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sodium salt (1:1) (CA INDEX NAME)



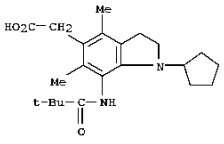
● Na

RN 189198-38-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-pentyl- (CA INDEX NAME)

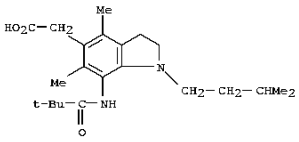


RN 189198-39-8 CAPLUS
CN 1H-Indole-5-acetic acid, 1-cyclopentyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

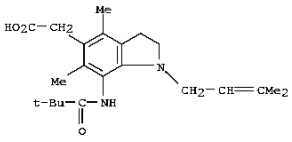
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-40-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(3-methylbutyl)- (CA INDEX NAME)

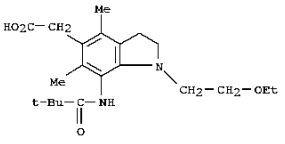


RN 189198-41-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)

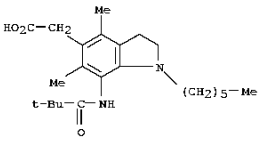


RN 189198-42-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

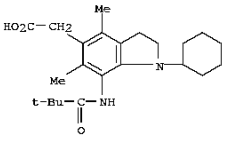
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-43-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

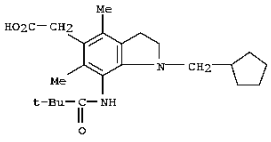


RN 189198-44-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-cyclohexyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

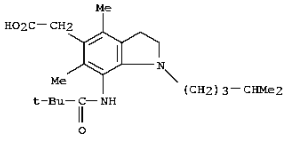


RN 189198-45-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(cyclopentylmethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

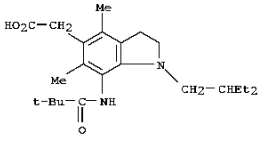
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-46-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(4-methylpentyl)- (CA INDEX NAME)

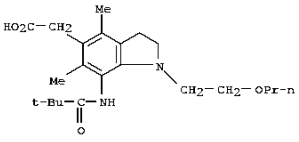


RN 189198-47-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethylbutyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

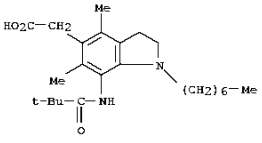


RN 189198-48-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(2-propoxyethyl)- (CA INDEX NAME)

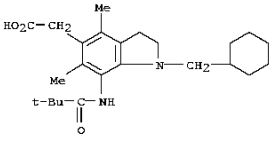
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-49-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

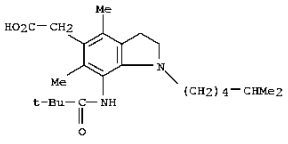


RN 189198-50-3 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(cyclohexylmethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

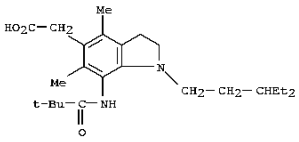


RN 189198-51-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(5-methylhexyl)- (CA INDEX NAME)

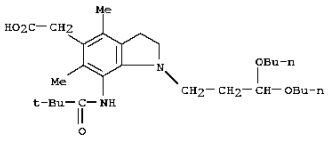
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-52-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(3-ethylpentyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

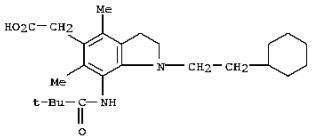


RN 189198-53-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(3,3-dibutoxypropyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

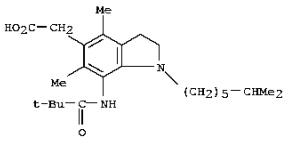


RN 189198-54-7 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(2-cyclohexylethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

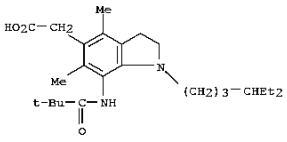
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-55-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(6-methylheptyl)- (CA INDEX NAME)

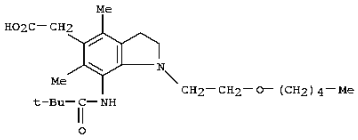


RN 189198-56-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(4-ethylhexyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

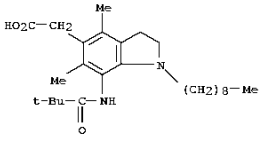


RN 189198-57-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-[2-(pentyloxy)ethyl]- (CA INDEX NAME)

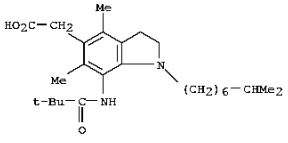
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-58-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-nonyl- (CA INDEX NAME)

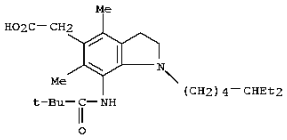


RN 189198-59-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(7-methyloctyl)- (CA INDEX NAME)

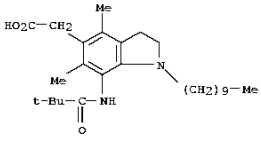


RN 189198-60-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(5-ethylheptyl)-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

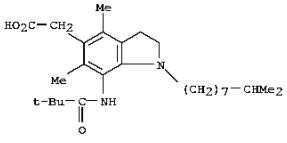
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-61-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

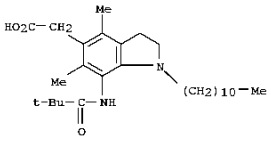


RN 189198-62-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(8-methylnonyl)- (CA INDEX NAME)

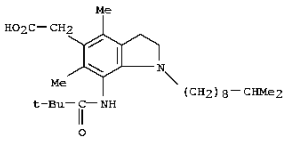


RN 189198-63-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-undecyl- (CA INDEX NAME)

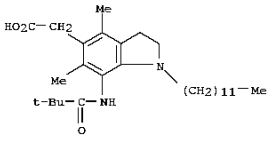
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-64-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(9-methyldecyl)- (CA INDEX NAME)

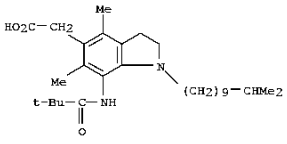


RN 189198-65-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-dodecyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

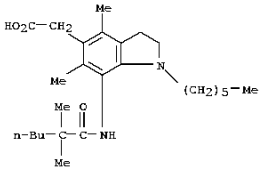


RN 189198-66-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(10-methylundecyl)- (CA INDEX NAME)

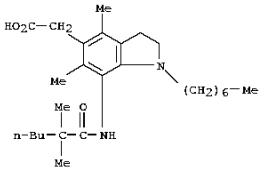
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-67-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

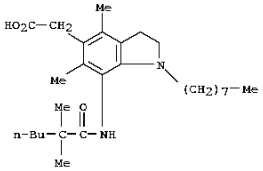


RN 189198-68-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

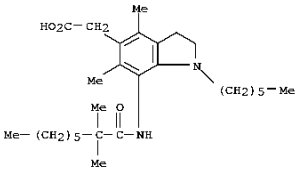


RN 189198-69-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

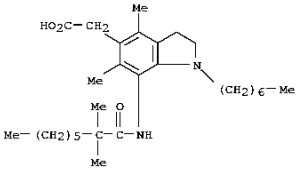
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-70-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

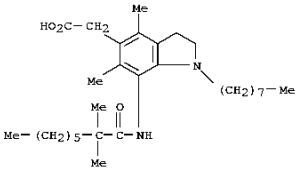


RN 189198-71-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

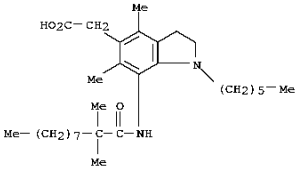


RN 189198-72-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

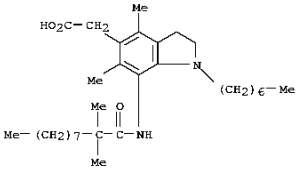
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-73-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

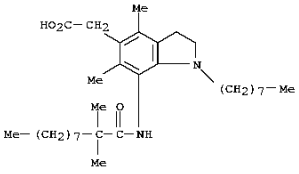


RN 189198-74-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

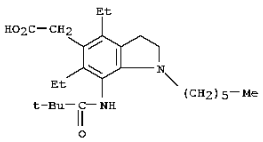


RN 189198-75-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

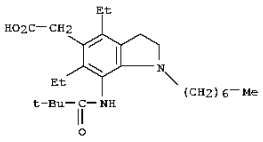
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-76-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-1-hexyl-2,3-dihydro- (CA INDEX NAME)

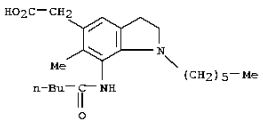


RN 189198-77-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-1-heptyl-2,3-dihydro- (CA INDEX NAME)

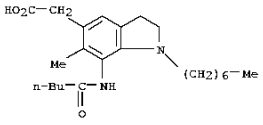


RN 189198-78-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro-1-octyl- (CA INDEX NAME)

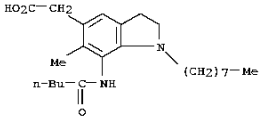
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



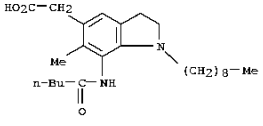
RN 189198-95-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-heptyl-2,3-dihydro-6-methyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)



RN 189198-96-7 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-6-methyl-1-octyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

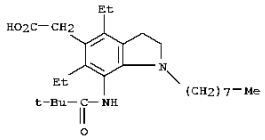


RN 189198-97-8 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-6-methyl-1-nonyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

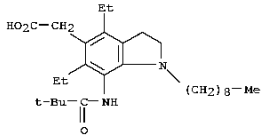


RN 189198-98-9 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-2,3-dihydro-6-methyl-7-[(1-

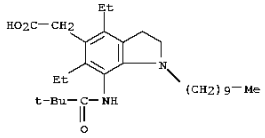
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189198-79-6 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro-1-nonyl- (CA INDEX NAME)



RN 189198-80-9 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro- (CA INDEX NAME)



RN 189198-94-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-hexyl-2,3-dihydro-6-methyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

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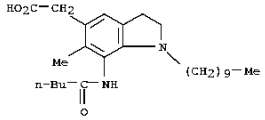
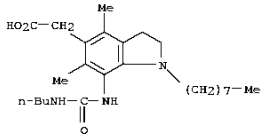
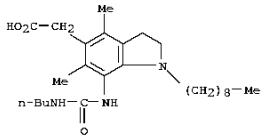
oxopentyl)amino]- (CA INDEX NAME)



RN 189199-16-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)



RN 189199-17-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-2,3-dihydro-4,6-dimethyl-1-nonyl- (CA INDEX NAME)



RN 189199-18-6 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-1-decyl-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)

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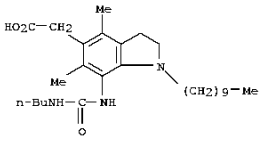
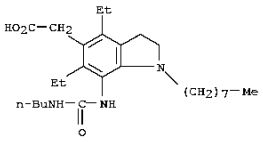
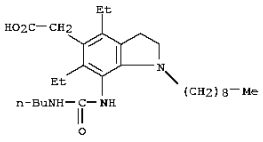


RN 189199-19-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-4,6-diethyl-2,3-dihydro-1-octyl- (CA INDEX NAME)

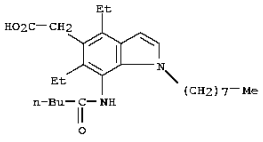


RN 189199-20-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-4,6-diethyl-2,3-dihydro-1-nonyl- (CA INDEX NAME)

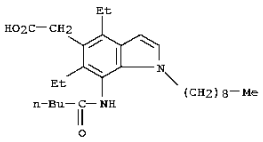


RN 189199-21-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-1-decyl-4,6-diethyl-2,3-dihydro- (CA INDEX NAME)

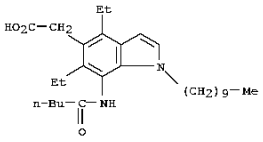
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-28-8 CAPLUS
CN 1H-Indole-5-acetic acid, 4,6-diethyl-1-nonyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

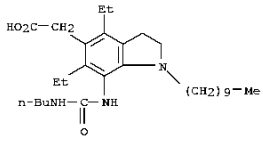


RN 189199-29-9 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-4,6-diethyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

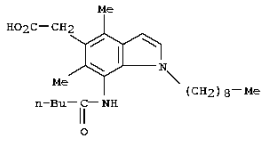


RN 189199-33-5 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-[(3-methoxy-1-oxopropyl)amino]-4,6-dimethyl-1-octyl- (CA INDEX NAME)

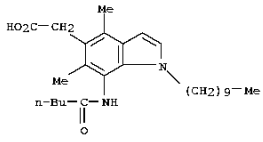
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-25-5 CAPLUS
CN 1H-Indole-5-acetic acid, 4,6-dimethyl-1-nonyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)



RN 189199-26-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-4,6-dimethyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)



RN 189199-27-7 CAPLUS
CN 1H-Indole-5-acetic acid, 4,6-diethyl-1-octyl-7-[(1-oxopentyl)amino]- (CA INDEX NAME)

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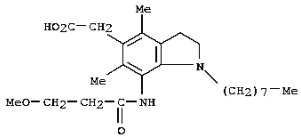
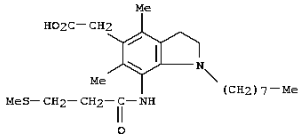
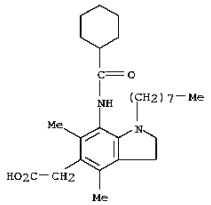


RN 189199-34-6 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-4,6-dimethyl-7-[[3-(methylthio)-1-oxopropyl]amino]-1-octyl- (CA INDEX NAME)

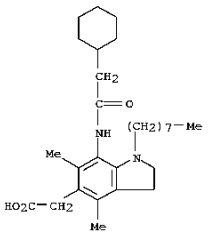


RN 189199-35-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(cyclohexylcarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

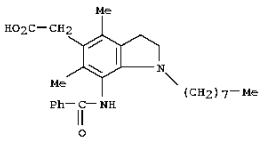


RN 189199-36-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2-cyclohexylacetyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

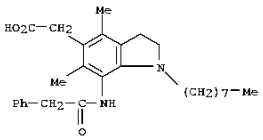
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



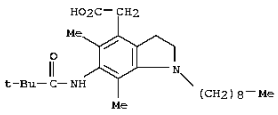
RN 189199-37-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-(benzoylamino)-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)



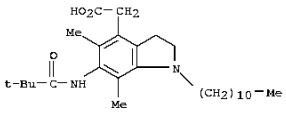
RN 189199-38-0 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-4,6-dimethyl-1-octyl-7-[(2-phenylacetyl)amino]- (CA INDEX NAME)



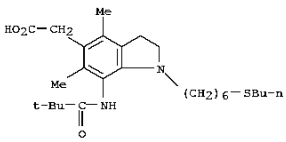
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



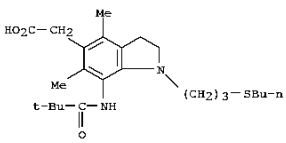
RN 189199-44-8 CAPLUS
CN 1H-Indole-4-acetic acid, 6-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-5,7-dimethyl-1-undecyl- (CA INDEX NAME)



RN 189199-46-0 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[6-(butylthio)hexyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)



RN 189199-47-1 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[3-(butylthio)propyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)



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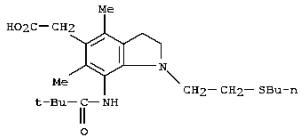
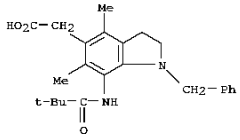
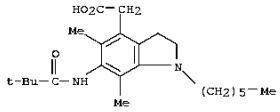
RN 189199-39-1 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[2-(butylthio)ethyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (CA INDEX NAME)



RN 189199-40-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)



RN 189199-42-6 CAPLUS
CN 1H-Indole-4-acetic acid, 6-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-2,3-dihydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-43-7 CAPLUS
CN 1H-Indole-4-acetic acid, 6-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-5,7-dimethyl-1-nonyl- (CA INDEX NAME)

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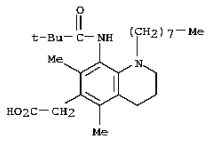
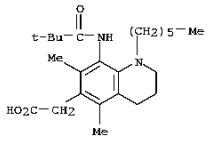
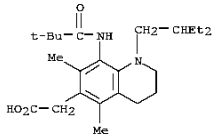
RN 189199-49-3 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)



RN 189199-50-6 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-51-7 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethylbutyl)-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-52-8 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-heptyl-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

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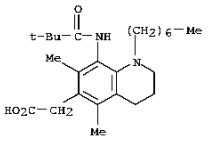
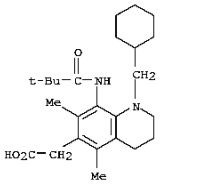
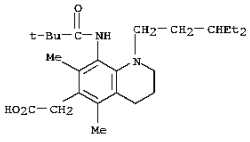


RN 189199-53-9 CAPLUS
CN 6-Quinolineacetic acid, 1-(cyclohexylmethyl)-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-54-0 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-(3-ethylpentyl)-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-55-1 CAPLUS
CN 6-Quinolineacetic acid, 1-(2-butoxyethyl)-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

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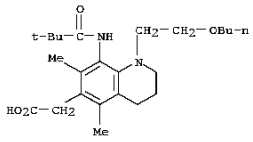
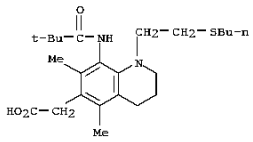
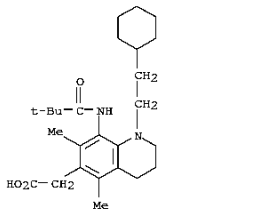


RN 189199-56-2 CAPLUS
CN 6-Quinolineacetic acid, 1-[2-(butylthio)ethyl]-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

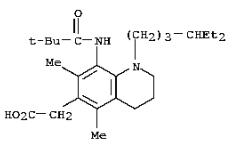


RN 189199-57-3 CAPLUS
CN 6-Quinolineacetic acid, 1-(2-cyclohexylethyl)-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

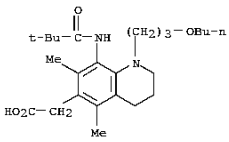


RN 189199-58-4 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1-(4-

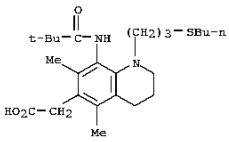
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
ethylhexyl)-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-59-5 CAPLUS
CN 6-Quinolineacetic acid, 1-(3-butoxypropyl)-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-60-8 CAPLUS
CN 6-Quinolineacetic acid, 1-[3-(butylthio)propyl]-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-61-9 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-nonyl- (CA INDEX NAME)

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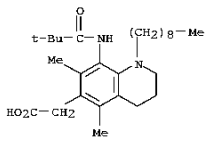
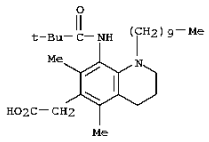
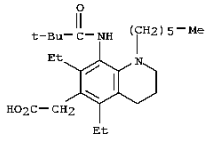


RN 189199-62-0 CAPLUS
CN 6-Quinolineacetic acid, 1-decyl-8-[(2,2-dimethyl-1-oxopropyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)

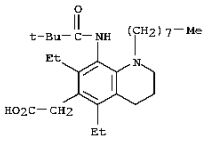


RN 189199-63-1 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-5,7-diethyl-1-hexyl-1,2,3,4-tetrahydro- (CA INDEX NAME)

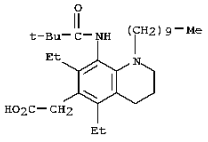


RN 189199-64-2 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxopropyl)amino]-5,7-diethyl-1,2,3,4-tetrahydro-1-octyl- (CA INDEX NAME)

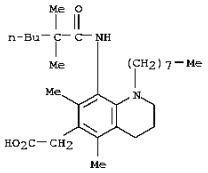
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 189199-65-3 CAPLUS
CN 6-Quinolineacetic acid, 1-decyl-8-[(2,2-dimethyl-1-oxopropyl)amino]-5,7-diethyl-1,2,3,4-tetrahydro- (CA INDEX NAME)

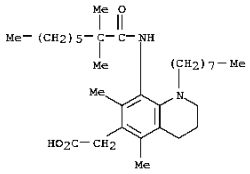


RN 189199-72-2 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxohexyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)

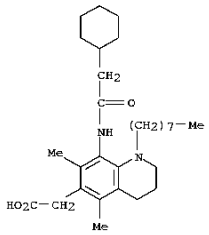


RN 189199-73-3 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2,2-dimethyl-1-oxooctyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)

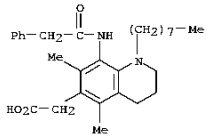
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



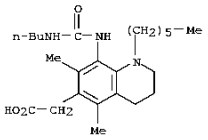
RN 189199-74-4 CAPLUS
CN 6-Quinolineacetic acid, 8-[(2-cyclohexylacetyl)amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)



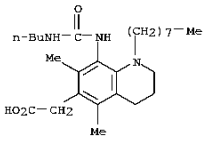
RN 189199-75-5 CAPLUS
CN 6-Quinolineacetic acid, 1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl-8-[(2-phenylacetyl)amino]- (CA INDEX NAME)



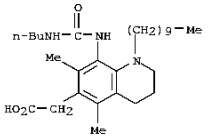
L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 189199-76-6 CAPLUS
CN 6-Quinolineacetic acid, 8-[[(butylamino)carbonyl]amino]-1-hexyl-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



RN 189199-77-7 CAPLUS
CN 6-Quinolineacetic acid, 8-[[(butylamino)carbonyl]amino]-1,2,3,4-tetrahydro-5,7-dimethyl-1-octyl- (CA INDEX NAME)



RN 189199-78-8 CAPLUS
CN 6-Quinolineacetic acid, 8-[[(butylamino)carbonyl]amino]-1-decyl-1,2,3,4-tetrahydro-5,7-dimethyl- (CA INDEX NAME)



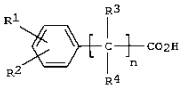
OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (59 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 222 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L35 ANSWER 223 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:123687 CAPLUS
DOCUMENT NUMBER: 124:185543
ORIGINAL REFERENCE NO.: 124:34159a,34162a
TITLE: Aminobenzoic acid derivatives for treatment of chronic inflammatory diseases
INVENTOR(S): Shapiro, Howard K.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9531194	A1	19951123	WO 1995-US6044	19950511
W: AU, CA, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2190107	A1	19951123	CA 1995-2190107	19950511
AU 9526378	A	19951205	AU 1995-26378	19950511
AU 698881	B2	19981112		
EP 759750	A1	19970305	EP 1995-921256	19950511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1994-241603 A	19940511
			WO 1995-US6044 W	19950511

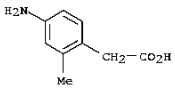
OTHER SOURCE(S): MARPAT 124:185543
GI



I

AB Aminobenzoic acid derivs. and analogs [I; R1 = NH2, C1-10 aminoalkyl, C(:NH)NH2, (CH2)nNHC(:NH)NH2, (CH2)mCH:NC(:NH)NH2, (CH2)nNHC(:NH)NHNH2, (CH2)mCH:NC(:NH)NHNH2, (CH2)nNHNHC(:NH)NH2, (CH2)mCH:NNHC(:NH)NH2; m = 1-10; n = 0-10; R2 = H, OH, C1-10 alkoxy, C1-10 aminoalkyl, SO3H, C1-11 alkyl; R3, R4 = H, OH, Me; p = 0, 1] and their salts, esters, and amides are useful for clin. treatment of chronic inflammatory diseases including arthritis, ileitis, and colitis, as well as trauma resulting from ischemia and subsequent reperfusion. Increased lipid peroxidn. is common to the etiol. of all these clin. disorders. Such increased lipid peroxidn. generates carbonyl substances which are cytotoxic and addnl. serve to perpetuate and disseminate the inflammatory

L35 ANSWER 223 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
process. I are administered orally as carbonyl trapping agents which act by chem. binding to and sequestering the aldehyde and/or ketone products of lipid peroxidn. P-Aminobenzoic acid, a suitable example of I, has a small mol. wt., is water sol., has a primary amine group which should react with carbonyl-contg. metabolites under physiol. conditions, and is tolerated by the body in relatively high dosages and for extended periods.
I may optionally be administered together with an antioxidant free radical-trapping substance and ≥1 medicament effective for treating chronic inflammatory diseases to produce an additive or synergistic effect. Thus, a topical compn. for treatment of chronic gingivitis or periodontitis contained p-aminomethylbenzoic acid 5, acetylhomocysteine thiolactone 1, and metronidazole 2 g.
IT 34841-55-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(aminobenzoic acid derivs. for treatment of chronic inflammatory diseases)
RN 34841-55-9 CAPLUS
CN Benzeneacetic acid, 4-amino-2-methyl- (CA INDEX NAME)

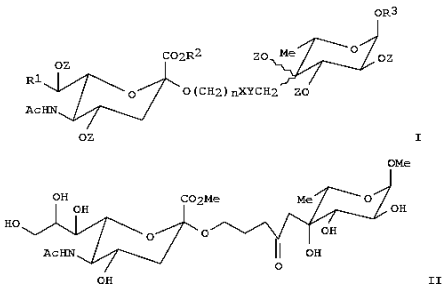


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 224 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:557095 CAPLUS
DOCUMENT NUMBER: 122:315042
ORIGINAL REFERENCE NO.: 122:57313a,57316a
TITLE: Preparation of disaccharide selectin ligands.
INVENTOR(S): Allanson, Nigel Mark; Davidson, Alan Hornsby
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417084	A1	19940804	WO 1994-GB88	19940119
W: AU, CA, FI, JP, KR, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9458401	A	19940815	AU 1994-58401	19940119
EP 680487	A1	19951108	EP 1994-904271	19940119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5703059	A	19971230	US 1996-492002	19960312
PRIORITY APPLN. INFO.:			GB 1993-989 A	19930119
			WO 1994-GB88 W	19940119

OTHER SOURCE(S): MARPAT 122:315042
GI



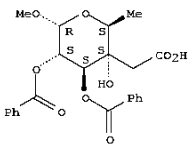
I

II

AB Title compds. [I; Z = H, protecting group; Y = bond, CO, CH(OH), CH(OR5); X = CH:CH, CH2CH2, CH(OH)CH(OH), epoxy, etc.; R1 = H, CH(OZ)CH2(OZ); R2 = H, pharmaceutically acceptable cation, C1-6 alkyl, C2-6 alkenyl,

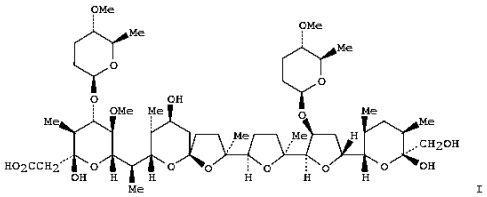
L35 ANSWER 224 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(substituted) phenylalkyl; R3 = H, alkyl alkylphenyl, benzoate; R5 = C1-3 alkyl, glycosyl; n = 1, 2, 3], were prepd. I are ligands of E-, P-, and L-selectins and are useful as antiinflammatory agents and as agents for the control of tumor metastasis. Thus, Me β-chlorotetra-O-acetyl-N-acetylneuraminidate was stirred with silver salicylate and 4Å mol. sieves in allyl alc. to give 93% Me O-ally-tetra-O-acetyl-N-acetylneuraminidate, which was ozonolyzed in CH2Cl2/MeOH at 0° to give 66% Me α-O-(2-oxoethyl)tetra-O-acetyl-N-acetylneuraminidate. This was stirred with α-O-methyl-2,3-di-O-benzoyl-4R-hydroxy-4-(3-dimethylphosphono-2-oxopropyl)-L-fucopyranoside (prepn. given) and Cs2CO3 in Me3COH to give 60% enone coupling product, which was hydrogenated in MeOH over Pd/C followed by deacetylation with NaOMe in MeOH to give the title compd. II. II inhibited E-selectin mediated adhesion between leucolytic cell line U937 and a Chinese hamster ovary cell line by 65% at 17.1 mM, vs. 54% inhibition at 0.5 mM for 3'-sialyl-3-fucosyllactose.
IT 163106-73-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of disaccharide selectin ligands)
RN 163106-73-8 CAPLUS
CN α-L-Glucopyranoside, methyl 4-C-(carboxymethyl)-6-deoxy-, 2,3-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

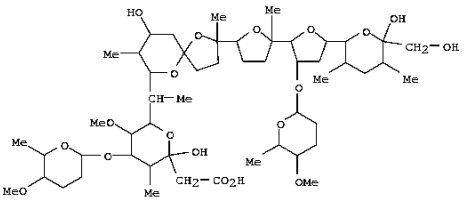
L35 ANSWER 225 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:35557 CAPLUS
DOCUMENT NUMBER: 118:35557
ORIGINAL REFERENCE NO.: 118:6403a,6406a
TITLE: Isolation and structure of a new polyether antibiotic, octacyclomycin
AUTHOR(S): Funayama, Shinji; Nozoe, Shigeo; Tronquet, Claude; Anraku, Yumi; Komiyama, Kanki; Omura, Satoshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Aobayama, 980, Japan
SOURCE: Journal of Antibiotics (1992), 45(10), 1686-91
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

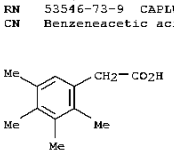
AB Besides sohbumycin, Streptomyces 82-85 produced a new polyether antibiotic named octacyclomycin (I) which showed both cytocidal activity against B16 melanoma cells and antimicrobial activity against Gram-pos. bacteria in vitro. The antibiotic showed no inhibitory activity against Gram-neg. bacteria, yeast and fungi at the concentration of 500 μg/mL. The fermentation broth (300 L) was mixed with 15 kg of Hyflo Super-Cel and then filtered with a filter press. The brown filtrate (260 L) was adjusted to pH 6.0 and extracted with EtOAc (2 + 150 L) and the combined EtOAc layers were concentrated to about 10 L, washed with H2O (5 L) and dried over Na2SO4 (anhydrous). Concentration of the EtOAc layer resulted in a brown oil. The brown oil was chromatographed over silica gel. Fractions which showed antimicrobial activity against Micrococcus luteus were collected and further chromatographed over silica gel to afford octacyclomycin Na salt (51.7 mg) as a colorless powder.
IT 98824-17-0P, Octacyclomycin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L35 ANSWER 225 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
study, unclassified); BIOL (Biological study); PREP (Preparation)
(structure and isolation and antibacterial activity of, from Streptomycea)
RN 98824-17-0 CAPLUS
CN Semduramicin, 30-hydroxy-5-(tetrahydro-5-methoxy-6-methyl-2H-pyran-2-yl)-(9CI) (CA INDEX NAME)



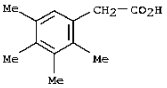
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L35 ANSWER 226 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:81550 CAPLUS
DOCUMENT NUMBER: 114:81550
ORIGINAL REFERENCE NO.: 114:13913a,13916a
TITLE: Specific bradycardic agents. 1. Chemistry, pharmacology, and structure-activity relationships of substituted benzazepinones, a new class of compounds exerting antiischemic properties [Erratum to document cited in CA112(21):198106m]
AUTHOR(S): Reiffen, Manfred; Eberlein, Wolfgang; Mueller, Peter; Psiorz, Manfred; Noll, Klaus; Heider, Joachim; Lillie, Christian; Kobinger, Walter; Luger, Peter
CORPORATE SOURCE: Dep. Chem. Res., Dr. Karl Thomae G.m.b.H., Biberach, D-7950/1, Germany
SOURCE: Journal of Medicinal Chemistry (1990), 33(12), 3229
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Errors in the contribution line have been corrected The errors were not reflected in the abstract or the index entries.
IT 53546-73-9
RL: PROC (Process)
(conversion of, to verapamil analog (Erratum))
RN 53546-73-9 CAPLUS
CN Benzeneacetic acid, 2,3,4,5-tetramethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

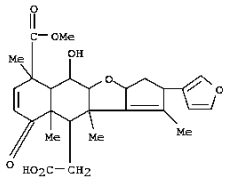
L35 ANSWER 227 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:198106 CAPLUS
DOCUMENT NUMBER: 112:198106
ORIGINAL REFERENCE NO.: 112:33485a,33488a
TITLE: Specific bradycardic agents. 1. Chemistry, pharmacology, and structure-activity relationships of substituted benzazepinones, a new class of compounds exerting antiischemic properties
AUTHOR(S): Reiffen, Manfred; Eberlein, Wolfgang; Mueller, Peter; Psiorz, Manfred; Noll, Klaus; Heider, Joachim; Lillie, Christian; Kobinger, Walter; Luger, Peter
CORPORATE SOURCE: Dep. Chem. Res., Dr. Karl Thomae G.m.b.H., Biberach, D-7950/1, Germany
SOURCE: Journal of Medicinal Chemistry (1990), 33(5), 1496-504
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:198106
AB Structural modification of the calcium-antagonist verapamil by replacement of the lipophilic α-isopropyl-α-cyano moiety by various heterocyclic ring systems led to a new class of cardiovascular compds. characterized by a specific bradycardic activity. These agents reduce heart rate without binding to classical Ca channels or β-adrenoceptors, interacting instead specifically with structures at the sinoatrial node. Therefore they are also termed sinus node inhibitors. The prototype falipamil was further optimized mainly by manipulation of the phthalimidine moiety. This resulted in a 2nd generation of specific bradycardic agents with increased potency and selectivity and prolonged duration of action represented by the benzazepinone derivative UL-FS 49. Structure-activity relationships within this novel class of compds. revealed a marked dependence of activity on the substitution pattern of the aromatic rings, the nature of the central N atom, and the length of the connecting alkyl chains. The crucial role of the benzazepinone ring for bradycardic activity is best explained by its special impact on the overall mol. conformation.
IT 53546-73-9, 2,3,4,5-Tetramethylphenylacetic acid
RL: PROC (Process)
(conversion of, to verapamil analog)
RN 53546-73-9 CAPLUS
CN Benzeneacetic acid, 2,3,4,5-tetramethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

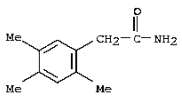
L35 ANSWER 228 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:497211 CAPLUS
DOCUMENT NUMBER: 73:97211
ORIGINAL REFERENCE NO.: 73:15867a,15870a
TITLE: Antiinflammatory activity of saponins and other natural products
AUTHOR(S): Bhargava, Krishna P.; Gupta, M. B.; Gupta, Gyan Prakash; Mitra, Chittaranjan R.
CORPORATE SOURCE: King George's Med. Coll., Lucknow Univ., Lucknow, India
SOURCE: Indian Journal of Medical Research (1913-1988) (1970), 58(6), 724-30
CODEN: IJMRAQ; ISSN: 0019-5340
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Saponins from Mimusops manilkara and Pithecellobium dulce, hederagenin, and Na nimbinate showed antiinflammatory activity against carrageenin-induced edema and HCHO-induced arthritis in rats. M. manilkara saponin, P. dulce saponin, hederagenin, and Na nimbinate showed resp. i.p. ED50 values of 2.5, 10.0, 10.5, and 44.1 mg/kg against carrageenin-induced edema; the compds. had resp. i.p. LD50 values in mice of 75, 50, 600, and 575 mg/kg. Structure-activity relations are discussed.
IT 27018-38-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inflammation inhibition by)
RN 27018-38-8 CAPLUS
CN 18,24-Dinor-11,12-secochola-2,13,20,22-tetraene-4,11-dicarboxylic acid, 7,15:21,23-diepoxy-6-hydroxy-4,8-dimethyl-1-oxo-, 4-methyl ester, monosodium salt, (4α,5α,6α,7α,15β,17α)- (9CI) (CA INDEX NAME)

L35 ANSWER 228 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L35 ANSWER 229 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:93715 CAPLUS
DOCUMENT NUMBER: 62:93715
ORIGINAL REFERENCE NO.: 62:16812a-c
TITLE: Morphological and physiological effects of thalidomide and trypan blue on uteri and concepti of gravid mice
AUTHOR(S): McCafferty, R. E.; Wood, M. L.; Knisely, W. H.
CORPORATE SOURCE: Univ. of Kentucky Med. Center, Lexington
SOURCE: American Journal of Obstetrics and Gynecology (1965), 91(2), 260-9
CODEN: AJOGAH; ISSN: 0002-9378
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effects of intraperitoneal trypan blue (I) or thalidomide (II) by gastric intubation to CFW mice on the 7.5, 8.5, or 9.5 gestational day were noted on the 16.5 gestational day. Intraamniotic pressure detns. in the mice were markedly altered when several malformed or partially resorbed fetuses were present. II caused the more irregular pressure change patterns. Most teratogenic effects were seen in mice dosed on day 7.5. Dose size was not so clearly tied to effect. There were more resorbed and less viable fetuses in mice treated at 4.5 than 5.5 months. They also had more irregular contraction patterns. II seemed to reduce alizarin affinity for ossification centers more than I and caused cartilage template reduction particularly in scapulohumeral areas. An incidence ratio of 4:3 of left vs. right limb and 3:1 of anterior vs. posterior limb involvement followed II dosage. Reduced uterine vascularity in II mice was infrequent in I mice. Uterine ischemia may be due to retarded uterine vessel development. In other tissues, blood stasis and increased lymphocytes occurred.
IT 3167-02-0P, Acetamide, 2-(2,4,5-trimethylphenyl)-
RL: PREP (Preparation)
(preparation of)
RN 3167-02-0 CAPLUS
CN Benzeneacetamide, 2,4,5-trimethyl- (CA INDEX NAME)



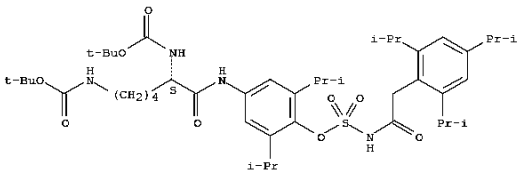
=> D IBIB ABS HITSTR L35 175-199

L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:671831 CAPLUS
DOCUMENT NUMBER: 137:210982
TITLE: Sulfonylaminocarbonyl derivatives for the treatment of nuclear factor-kappa B mediated diseases and disorders
INVENTOR(S): Cornicelli, Joseph Anthony; Karathanasis, Sotirios K.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

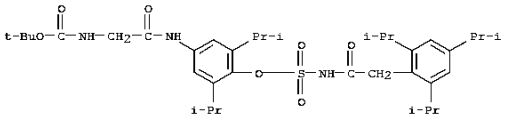
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1236468	A1	20020904	EP 2002-2612	20020205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2369967	A1	20020812	CA 2002-2369967	20020201
AU 2002015394	A	20020815	AU 2002-15394	20020204
NZ 517021	A	20030926	NZ 2002-517021	20020204
JP 2002275062	A	20020925	JP 2002-32755	20020208
US 20020183384	A1	20021205	US 2002-71034	20020208
CN 1370526	A	20020925	CN 2002-104763	20020210
HU 2002000493	A2	20021028	HU 2002-493	20020211
HU 2002000493	A3	20030428		
ZA 2002001161	A	20030811	ZA 2002-1161	20020211
PRIORITY APPLN. INFO.:			US 2001-268203P P	20010212

OTHER SOURCE(S): MARPAT 137:210982
AB The present invention provides a method of treating a disease or a disorder responsive to inhibition of nuclear factor-κB transcription factors comprising administering to a patient in need thereof a sulfonylaminocarbonyl derivative, or a pharmaceutically acceptable salt thereof. The methods of the present invention are useful for treating, for example, rheumatoid arthritis, osteoarthritis, an autoimmune disease, psoriasis, asthma, a cardiovascular disease, an acute coronary syndrome, congestive heart failure, Alzheimer's disease, multiple sclerosis, cancer, type II diabetes, metabolic syndrome X, or inflammatory bowel disease.
IT 166518-60-1 166518-73-6 199983-76-1
199983-79-4 199983-82-9 199983-88-5
199983-90-9 199983-99-8 199984-11-7
199984-14-0 199984-16-2 199984-19-5
199984-20-8 199984-22-0 199984-23-1
199984-25-3 199984-31-1 199984-35-5
199984-40-2 199984-42-4 199984-48-0
199984-53-7 199984-68-4 199984-89-9
454203-21-5 454203-23-7 454203-25-9
454203-28-2 454203-30-6 454203-32-8

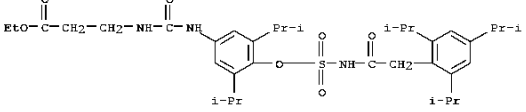
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 199983-79-4 CAPLUS
CN Carbamic acid, [2-[[3,5-bis(1-methylethyl)-4-[[[[[2,4,6-tris(1-methylethyl)phenyl]acetyl]amino]sulfonyl]oxy]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

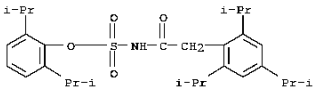


RN 199983-82-9 CAPLUS
CN β-Alanine, N-[[[3,5-bis(1-methylethyl)-4-[[[[[2,4,6-tris(1-methylethyl)phenyl]acetyl]amino]sulfonyl]oxy]phenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

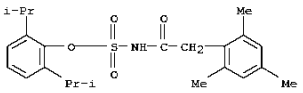


RN 199983-88-5 CAPLUS
CN Thiocyanic acid, 3,5-bis(1-methylethyl)-4-[[[[[2,4,6-tris(1-methylethyl)phenyl]acetyl]amino]sulfonyl]oxy]phenyl ester (9CI) (CA INDEX NAME)

L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
454203-34-0 454203-36-2 454203-40-8
454203-43-1 454203-45-3 454203-47-5
454203-49-7 454203-51-1 454203-56-6
454203-59-9 454203-66-8 454203-70-4
454203-75-9 454203-77-1 454203-90-8
454203-93-1 454203-96-4 454204-00-3
454204-04-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sulfonylaminocarbonyl derivs. for treatment of nuclear factor-kappa B mediated diseases and disorders)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



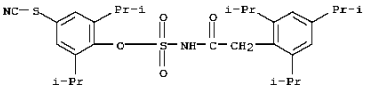
RN 166518-73-6 CAPLUS
CN Sulfamic acid, [(2,4,6-trimethylphenyl)acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



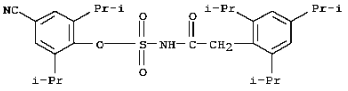
RN 199983-76-1 CAPLUS
CN Carbamic acid, [(1S)-1-[[[3,5-bis(1-methylethyl)-4-[[[[[2,4,6-tris(1-methylethyl)phenyl]acetyl]amino]sulfonyl]oxy]phenyl]amino]carbonyl]-1,5-pentanediyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

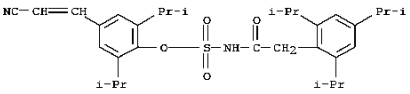
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



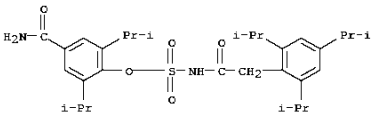
RN 199983-90-9 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-cyano-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



RN 199983-99-8 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-(2-cyanoethenyl)-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

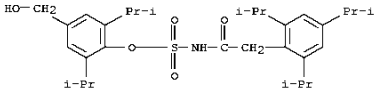


RN 199984-11-7 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-(aminocarbonyl)-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

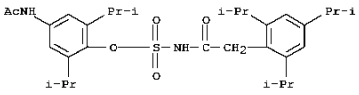


RN 199984-14-0 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-(hydroxymethyl)-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

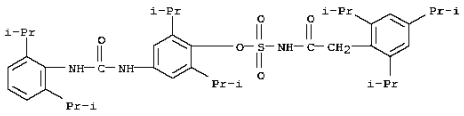
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



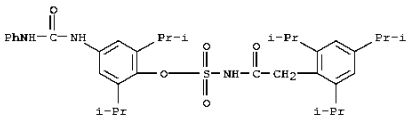
RN 199984-16-2 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-(acetylamino)-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



RN 199984-19-5 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



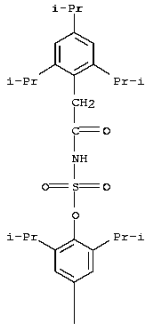
RN 199984-20-8 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)-4-[[(phenylamino)carbonyl]amino]phenyl ester (9CI)
(CA INDEX NAME)



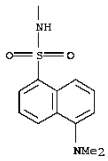
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 199984-22-0 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

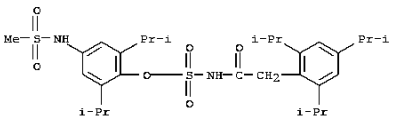


PAGE 2-A

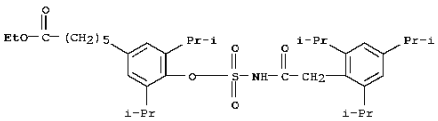


RN 199984-23-1 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)-4-[(methylsulfonyl)amino]phenyl ester (9CI) (CA INDEX NAME)

L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

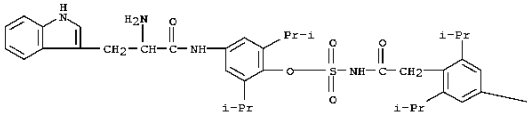


RN 199984-25-3 CAPLUS
CN Benzenehexanoic acid, 3,5-bis(1-methylethyl)-4-[[[[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]amino]sulfonyl]oxy]-, ethyl ester (CA INDEX NAME)



RN 199984-31-1 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[2-amino-3-(1H-indol-3-yl)-1-oxopropyl]amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



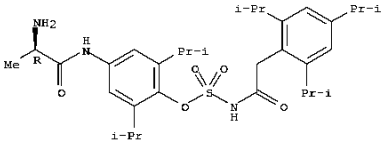
PAGE 1-B

Pr-i

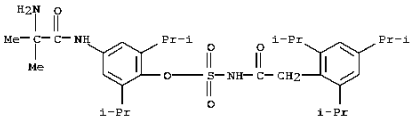
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 199984-35-5 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[(2R)-2-amino-1-oxopropyl]amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

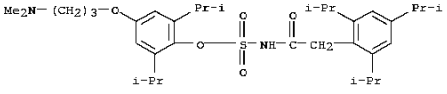
Absolute stereochemistry.



RN 199984-40-2 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[(2-amino-2-methyl-1-oxopropyl)amino]-2,6-bis(1-methylethyl)phenyl ester
(9CI) (CA INDEX NAME)

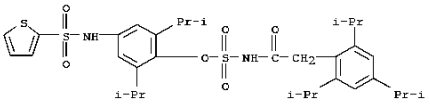


RN 199984-42-4 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[3-(dimethylamino)propoxy]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA
INDEX NAME)

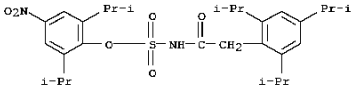


RN 199984-48-0 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)-4-[(2-thienylsulfonyl)amino]phenyl ester (9CI) (CA
INDEX NAME)

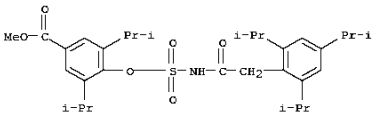
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 199984-53-7 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)-4-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 199984-68-4 CAPLUS
CN Benzoic acid, 3,5-bis(1-methylethyl)-4-[[[[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]amino]sulfonyl]oxy]-, methyl ester (CA INDEX NAME)



RN 199984-89-9 CAPLUS
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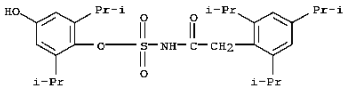
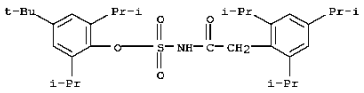
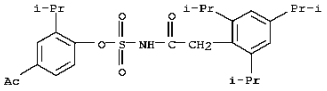


RN 454203-21-5 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-fluoro-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

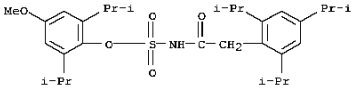
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



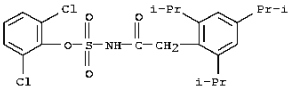
RN 454203-32-8 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-acetyl-2-(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



RN 454203-34-0 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-methoxy-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



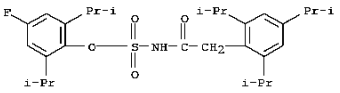
RN 454203-36-2 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-dichlorophenyl ester (9CI) (CA INDEX NAME)



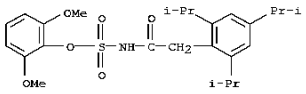
RN 454203-40-8 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[(2S)-2,6-diamino-1-oxohexyl]amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

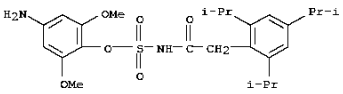
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



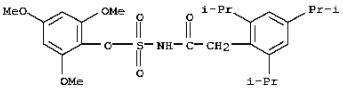
RN 454203-23-7 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-dimethoxyphenyl ester (9CI) (CA INDEX NAME)



RN 454203-25-9 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-amino-2,6-dimethoxyphenyl ester (9CI) (CA INDEX NAME)

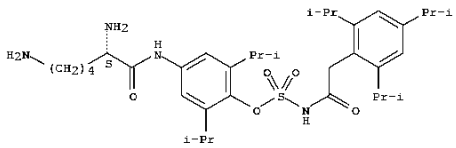


RN 454203-28-2 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,4,6-trimethoxyphenyl ester (9CI) (CA INDEX NAME)

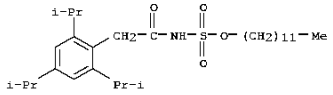


RN 454203-30-6 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-(1,1-dimethylethyl)-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

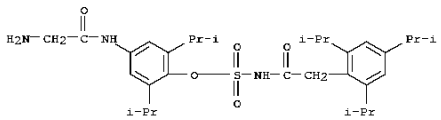
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 454203-43-1 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, dodecyl ester (9CI) (CA INDEX NAME)



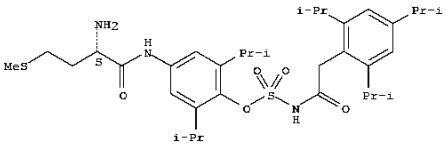
RN 454203-45-3 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[(aminoacetyl)amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



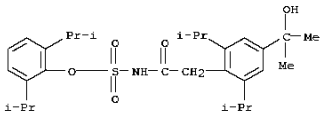
RN 454203-47-5 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[(2S)-2-amino-4-(methylthio)-1-oxobutyl]amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

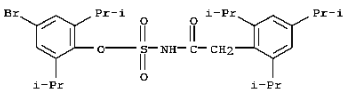
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 454203-49-7 CAPLUS
CN Sulfamic acid, [[4-(1-hydroxy-1-methylethyl)-2,6-bis(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

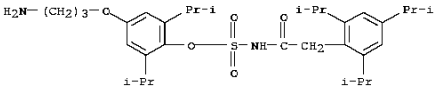


RN 454203-51-1 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-bromo-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

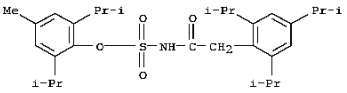


RN 454203-56-6 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-(3-aminopropoxy)-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

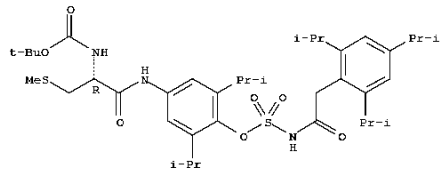


RN 454203-59-9 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-methyl-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



RN 454203-66-8 CAPLUS
CN Carbamic acid, [(1R)-2-[[3,5-bis(1-methylethyl)-4-[[[[[2,4,6-tris(1-methylethyl)phenyl]acetyl]amino]sulfonyl]oxy]phenyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

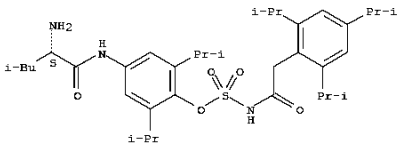


RN 454203-70-4 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[(2S)-2-amino-4-methyl-1-oxopentyl]amino]-2,6-bis(1-methylethyl)phenyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 454203-69-1
CMF C35 H55 N3 O5 S

L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Absolute stereochemistry.

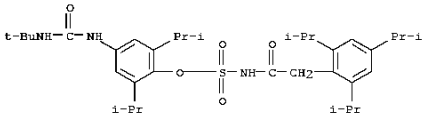


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 454203-75-9 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-,

4-[[[(1,1-dimethylethyl)amino]carbonyl]amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

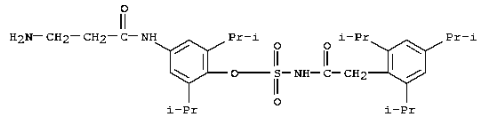


RN 454203-77-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[(3-amino-1-oxopropyl)amino]-2,6-bis(1-methylethyl)phenyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 459409-57-5
CMF C32 H49 N3 O5 S

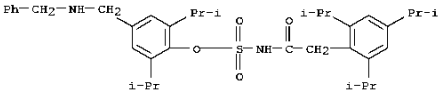
L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 454203-90-8 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)-4-[[(phenylmethyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



RN 454203-93-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-,

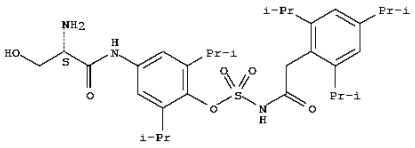
4-[[(2S)-2-amino-3-hydroxy-1-oxopropyl]amino]-2,6-bis(1-methylethyl)phenyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 454203-92-0
CMF C32 H49 N3 O6 S

Absolute stereochemistry.

L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

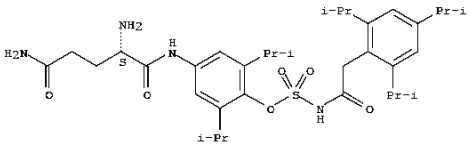
CRN 76-05-1
CMF C2 H F3 O2

RN 454203-96-4 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[(2S)-2,5-diamino-1,5-dioxopentyl]amino]-2,6-bis(1-methylethyl)phenyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 454203-95-3
CMF C34 H52 N4 O6 S

Absolute stereochemistry.



CM 2

L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

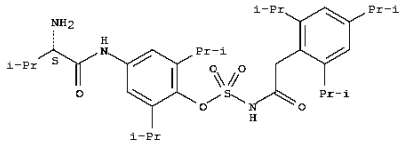
CRN 76-05-1
CMF C2 H F3 O2

RN 454204-00-3 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[(2S)-2-amino-3-methyl-1-oxobutyl]amino]-2,6-bis(1-methylethyl)phenyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 454203-99-7
CMF C34 H53 N3 O5 S

Absolute stereochemistry.

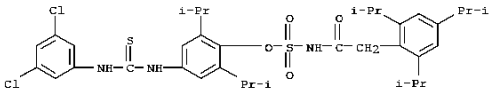


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 454204-04-7 CAPLUS
CN Sulfamic acid, [[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 4-[[[(3,5-dichlorophenyl)amino]thioxomethyl]amino]-2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

L35 ANSWER 175 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

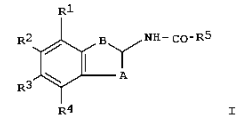
L35 ANSWER 176 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:637636 CAPLUS
DOCUMENT NUMBER: 137:185515
TITLE: Preparation of acylated indanyl amines and their use as remedies in upregulation of endothelial nitric oxide synthase
INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Safarova, Alena; Walser, Armin; Suzuki, Teri; Dharanipragada, Ramalinga M.
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064545	A1	20020822	WO 2002-EP1444	20020212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
TW 243164	B	20051111	TW 2002-91102295	20020208
CA 2437944	A1	20020822	CA 2002-2437944	20020212
AU 2002253010	A1	20020828	AU 2002-253010	20020212
AU 2002253010	B2	20080228		
AU 2002253010	B9	20080731		
EE 200300369	A	20031015	EE 2003-369	20020212
EP 1373191	A1	20040102	EP 2002-722067	20020212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007211	A	20040127	BR 2002-7211	20020212
HU 2003003256	A2	20040128	HU 2003-3256	20020212
CN 1491207	A	20040421	CN 2002-804836	20020212
CN 1259307	C	20060614		
JP 2004518719	T	20040624	JP 2002-564478	20020212
NZ 527470	A	20050429	NZ 2002-527470	20020212
RU 2339614	C2	20081127	RU 2003-127682	20020212
US 20030055093	A1	20030320	US 2002-73160	20020213
US 7179839	B2	20070220		
ZA 2003005413	A	20040428	ZA 2003-5413	20030714
MX 2003006974	A	20040402	MX 2003-6974	20030805
BG 108076	A	20050531	BG 2003-108076	20030807
IN 2003CN01252	A	20051118	IN 2003-CN1252	20030811
NO 2003003565	A	20031013	NO 2003-3565	20030812
HK 1061015	A1	20061124	HK 2004-103976	20040603
US 20070082897	A1	20070412	US 2006-548501	20061011
PRIORITY APPLN. INFO.:			EP 2001-102850 A	20010213
			WO 2002-EP1444 W	20020212

L35 ANSWER 176 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 2002-73160 A3 20020213

OTHER SOURCE(S): MARPAT 137:185515
GI



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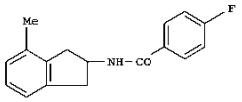
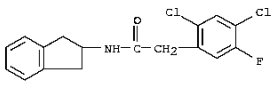


II

AB Title compds. [I; R1-R4 =; A = CH2, CHOH, CH(C1-C3-alkyl); B = CH2, CH(C1-C3-alkyl); R5 = aryl, heteroaryl] are prepared and are useful in the upregulation of endothelial nitric oxide synthase (eNOS). Title compds. I may therefore be useful for the manufacture of medicaments for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinymetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA(percutaneous trans-luminal coronary angioplasty), hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes or diabetes complications, nephropathy or retinopathy, angiogenesis, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance, a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives. Thus, the title compound II was prepared from 2-amino-4-methylindane and 4-fluorobenzoyl chloride, purified by HPLC and was in vitro tested on human umbilical vein cord endothelial cells for activation effect of eNOS transcription with EC-50(μM) = 6.0 and TIR(max) = 2.80.

L35 ANSWER 176 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 450354-54-8P, 2-(2,4-DICHLORO-5-FLUORO-PHENYL)-N-INDAN-2-YL-ACETAMIDE
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation method of acylated indanyl amines and use as remedies in upregulation of endothelial nitric oxide synthase)
RN 450354-54-8 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-(2,3-dihydro-1H-inden-2-yl)-5-fluoro- (CA INDEX NAME)



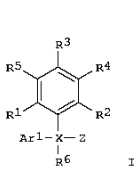
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 177 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:637483 CAPLUS
DOCUMENT NUMBER: 137:185311
TITLE: Preparation of 2-aryloxy-2-arylalkanoic acids for diabetes and lipid disorders
INVENTOR(S): Adams, Alan D.; Jones, A. Brian; Berger, Joel P.; Dropinski, James F.; Elbrecht, Alexander; Liu, Kun; Macnaul, Karen Lamb; Shi, Guo-qiang; Von, Langen Derek J.; Zhou, Gaochao
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064094	A2	20020822	WO 2002-US4680	20020205
WO 2002064094	A3	20030612		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437118	A1	20020822	CA 2002-2437118	20020205
AU 2002251978	A1	20020828	AU 2002-251978	20020205
AU 2002251978	B2	20070719		
EP 1366012	A2	20031203	EP 2002-721022	20020205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521124	T	20040715	JP 2002-563891	20020205
US 20040092596	A1	20040513	US 2003-470954	20030730
US 7091230	B2	20060815		
US 20060122242	A1	20060608	US 2006-334152	20060118
US 7495020	B2	20090224		
PRIORITY APPLN. INFO.:			US 2001-267809P	P 20010209
			WO 2002-US4680	W 20020205
			US 2003-470954	A3 20030730

OTHER SOURCE(S): MARPAT 137:185311
GI

L35 ANSWER 177 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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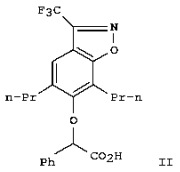
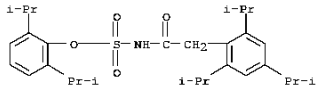


II

AB Title compds. I [R1 = halo, alkyl, alkoxy; R2 = alkyl, alicyclic; R3 = alkyl, aryl, alicyclic, heterocycle, etc.; R4 = H, OH, alkoxy, aryloxy, halo or R3-4 may be joined together to yield 5- or 6-membered heterocycle; R5 = H, halo; R6 = H, halo, CH3, CF3; Ar1 = Ph, thienyl, thiazolyl, oxazolyl, pyridyl; X = O, S; Z = COOH, tetrazole, carboxamide] were prepared For instance, 2,4-dipropylresorcinol was converted to 2,4-dihydroxy-3,5-dipropyl-α,α,α-trifluoroacetophenone (CH2Cl2, TFAA, AlCl3) and subsequently treated with i. hydroxylamine•HCl, MeOH, reflux; ii. Ac2O; iii. pyridine, reflux which afforded 5,7-dipropyl-6-hydroxy-3-trifluoromethyl-1,2-benzisoxazole. The benzisoxazole was reacted with Me 2-bromo-2-phenylacetate (DMF, Cs2CO3) and the product saponified to give II. I are potent agonists of the peroxisome proliferator activated receptor and are useful in the treatment of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR-α and/or PPAR-γ mediated diseases.
IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 2-aryloxy-2-arylalkanoic acids for diabetes and lipid disorders)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 177 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L35 ANSWER 178 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:615623 CAPLUS
DOCUMENT NUMBER: 137:169517
TITLE: Oxazolyl-pyrazole derivatives as protein kinase inhibitors, their preparation and combinatorial libraries, and their pharmaceutical use in the treatment of cancer and other diseases and disorders
INVENTOR(S): Berta, Daniela; Felder, Eduard; Vulpetti, Anna; Villa, Marzia
PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062804	A1	20020815	WO 2002-EP995	20020128
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002246076	B2	20070614		
EP 1377589	A1	20040107	EP 2002-714136	20020128
EP 1377589	B1	20050907		
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NZ 527123	A	20050429	NZ 2002-527123	20020128
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ES 2248532	T3	20060316	ES 2002-714136	20020128
MX 2003006863	A	20031113	MX 2003-6863	20030731
US 20040180881	A1	20040916	US 2004-470859	20040415
US 7105535	B2	20060912		

PRIORITY APPLN. INFO.: GB 2001-2687 A 20010202
WO 2002-EP995 W 20020128

OTHER SOURCE(S): MARPAT 137:169517
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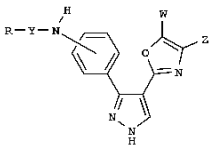
L35 ANSWER 178 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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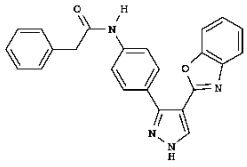


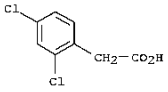
II

AB The method of treating protein kinase-linked diseases with oxazolyl-pyrazole derivs. I and their pharmaceutically acceptable salts is disclosed [wherein: R = H, alkyl, alkenyl, aryl, arylalkyl, (un)saturated cycloalkyl or cycloalkyloxy optionally condensed with 1 or 2 benzene rings, or optionally benzo-condensed 5- or 6-membered heterocyclyl or heterocyclylalkyl having 1 or 2 N/O/S atoms [all optionally substituted by one or more of: halo, NO2, cyano, OH, oxo, alkyl, alkoxyalkyl, perfluoroalkyl, (un)substituted aryl or 5- or 6-membered heterocyclyl having 1 or 2 N/O/S atoms, alkoxy, alkoxyalkyloxy, (un)substituted arylalkyloxy or aryloxy, alkylthio, alkylsulfonyl, arylthio, or arylsulfonyl, cycloalkyl, amino, alkylamino, dialkylamino, arylamino, alkylcarbonyl, alkyloxycarbonyl, alkylaminocarbonyl, aminocarbonyl, (un)substituted arylcarbonyl or heterocyclylcarbonyl, alkylcarbonylamino, alkyloxycarbonylamino, arylalkyloxycarbonylamino, arylcarbonylamino, aryloxycarbonylamino, carboxy, alkylcarbonyloxy, or arylcarbonyloxy]; Y = bond, CO, NHCO, SO2; WZ = benzo fusion, naphtho fusion, or an optionally benzocondensed 5- or 6-membered heterocycle having 1 or 2 N/O/S atoms, each optionally substituted by one or more of halo, nitro, cyano, alkyl, alkoxy, alkylsulfonyl, or aryl]. Also disclosed is a novel subset of I, including 382 individually named compds. I are useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity, such as cancer, cell proliferative disorders, viral infections, autoimmune diseases and neurodegenerative disorders. Eleven examples are given, including solid-phase preparation of several compds. I and intermediates, and

L35 ANSWER 178 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

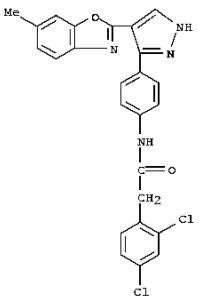
descriptions of 3 combinatorial libraries of 3874, 3172, and 2184 members, based on 4 claimed tables of reactants. For instance, Et 3-(3-nitrophenyl)pyrazole-4-carboxylate was bound to trityl chloride resin, sapond. with NaOH in MeOH, and amidated with o-aminophenol. The resultant N-(2-hydroxyphenyl)amide was cyclized by Mitsunobu reaction to give a 1,3-benzoxazole deriv., followed by redn. of the nitro group to amino using SnCl2, amidation with PhCH2CO2H, and resin cleavage with TFA, to give title compd. II. Inhibition assays against various kinases are described (no data).

IT 19719-28-9, 2,4-Dichlorophenylacetic acid
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
(combinatorial reactant; preparation of oxazolylpyrazole derivs. as protein kinase inhibitors, and their combinatorial libraries and use as anticancer agents)
RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)

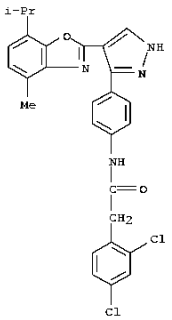


IT 448184-09-6P, N-[4-[4-(6-Methyl-1,3-benzoxazol-2-yl)pyrazol-3-yl]phenyl]-2,4-dichlorophenylacetamide 448185-98-6P, N-[4-[4-(4-Methyl-7-isopropyl-1,3-benzoxazol-2-yl)pyrazol-3-yl]phenyl]-2,4-dichlorophenylacetamide 448187-47-1P, N-[3-[4-(Naphth[2,3-d]-1,3-oxazol-2-yl)pyrazol-3-yl]phenyl]-2,4-dichlorophenylacetamide
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolylpyrazole derivs. as protein kinase inhibitors, and their combinatorial libraries and use as anticancer agents)
RN 448184-09-6 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[4-[4-(6-methyl-2-benzoxazolyl)-1H-pyrazol-3-yl]phenyl]- (CA INDEX NAME)

L35 ANSWER 178 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

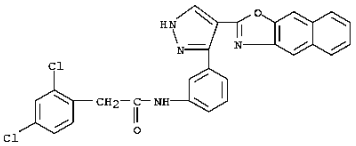


RN 448185-98-6 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[4-[4-[4-methyl-7-(1-methylethyl)-2-benzoxazolyl]-1H-pyrazol-3-yl]phenyl]- (CA INDEX NAME)



RN 448187-47-1 CAPLUS

L35 ANSWER 178 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzeneacetamide, 2,4-dichloro-N-[3-(4-naphth[2,3-d]oxazol-2-yl-1H-pyrazol-3-yl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 179 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:615605 CAPLUS
DOCUMENT NUMBER: 137:169539
TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Golec, Julian M. C.; Miller, Andrew; Knegtel, Ronald
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 335 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062789	A1	20020815	WO 2001-US51031	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1698627	A1	20060906	EP 2006-10798	20010914
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AU 2002246899	A1	20020819	AU 2002-246899	20011219
CA 2432303	A1	20020829	CA 2001-2432303	20011219
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
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US 6653300	B2	20031125		
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ES 2280313	T3	20070916	ES 2001-273861	20011219
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US 20030004164	A1	20030102	US 2001-34683	20011220
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AT 326463	T	20060615	AT 2001-994347	20011220

L35 ANSWER 179 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AT 353890	T	20070315	AT 2001-991439		20011220
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AU 2008252044	A1	20090122	AU 2008-252044		20081203

L35 ANSWER 179 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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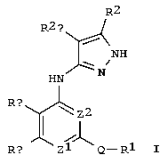
			US 2000-232795P	P	20000915
			AU 2001-296871	A3	20010914
			AU 2001-296875	A3	20010914
			AU 2001-90914	A	20010914
			AU 2001-90944	A3	20010914
			AU 2001-91013	A3	20010914
			AU 2001-94558	A3	20010914
			AU 2001-96871	T0	20010914
			AU 2001-96875	T0	20010914
			EP 2001-971082	A3	20010914
			JP 2002-526860	A3	20010914
			US 2001-952671	A3	20010914
			US 2001-953471	A3	20010914
			US 2001-955601	A3	20010914
			AU 2002-234047	A3	20011219
			AU 2002-34047	T0	20011219
			EP 2001-273861	A	20011219
			EP 2001-994323	A3	20011219
			JP 2002-551561	A3	20011219
			JP 2002-557938	A3	20011219
			JP 2002-559413	A3	20011219
			JP 2002-563142	A3	20011219
			JP 2002-565976	A3	20011219
			JP 2002-567928	A3	20011219
			US 2001-26966	A1	20011219

L35 ANSWER 179 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

			WO 2001-US49139	W	20011219
			WO 2001-US50312	W	20011219
			WO 2001-US51031	W	20011219
			JP 2002-551562	A3	20011220
			JP 2002-559414	A3	20011220
			US 2001-34019	A3	20011220
			US 2001-34683	A1	20011220
			IN 2003-KN795	A3	20030619
			US 2003-624800	A3	20030722
			US 2004-775699	A1	20040210
			JP 2004-366925	A3	20041217
			AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 137:169539

GI



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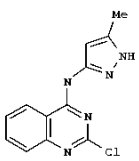
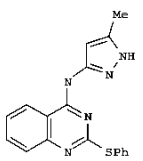


II



III

AB 285 Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(R6')2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un)substituted aliph., (hetero)aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6', R7 = independently H or aliph.; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6')2 = carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepd. However, the claims pertain only to 3-(2-amino-4-pyrimidinylamino)-1H-pyrazoles, i.e. Z1 = Z2 = N, and Q = NH.

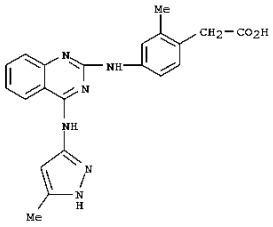
I are protein kinase inhibitors, esp. of Aurora-2 and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases assocd. with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

IT 438204-91-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438204-91-2 CAPLUS

CN Benzeneacetic acid, 2-methyl-4-[[4-[(5-methyl-1H-pyrazol-3-yl)amino]-2-quinazolinyl]amino]- (CA INDEX NAME)

L35 ANSWER 179 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

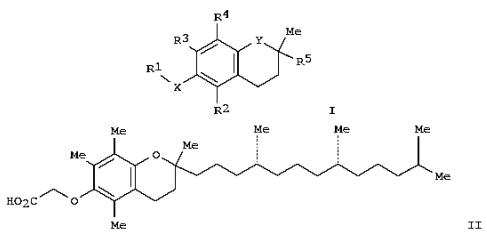
L35 ANSWER 180 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:595501 CAPLUS
DOCUMENT NUMBER: 137:140656
TITLE: Preparation of tocopherols, tocotrienols, other chromans and side chain derivs. as potential antiproliferative and proapoptotic agents
INVENTOR(S): Sanders, Bob G.; Kline, Kimberly; Yu, Weiping
PATENT ASSIGNEE(S): Research Development Foundation, USA
SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U. S. Ser. No. 502,592.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020107207	A1	20020808	US 2001-8066	20011105
US 6703384	B2	20040309		
US 6417223	B1	20020709	US 1999-404001	19990923
CN 1706838	A	20051214	CN 2005-10003855	19990923
CN 1318413	C	20070530		
US 6770672	B1	20040803	US 2000-502592	20000211
WO 2003039461	A2	20030515	WO 2002-US35147	20021101
WO 2003039461	A3	20031113		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002353971	A1	20030519	AU 2002-353971	20021101
US 20040097431	A1	20040520	US 2003-695275	20031028
US 7300954	B2	20071127		
US 20080161349	A1	20080703	US 2007-928991	20071030

PRIORITY APPLN. INFO.:
US 1998-101542P P 19980923
US 1999-404001 A2 19990923
US 2000-502592 A2 20000211
CN 1999-812829 A3 19990923
US 2001-8066 A 20011105
WO 2002-US35147 W 20021101
US 2003-695275 A3 20031028

OTHER SOURCE(S): MARPAT 137:140656
GI

L35 ANSWER 180 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



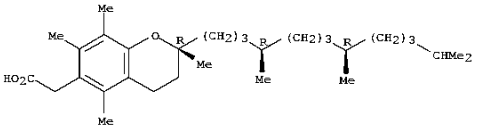
I

II

AB Derivs. of tocopherol, tocotrienol and other chromans of formula I (X and Y independently are oxygen, nitrogen or sulfur; when Y is nitrogen, nitrogen is substituted with R6 and R6 = H or Me; R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiol ester, saccharide, alkoxy-linked saccharide, amine, sulfonate, sulfate, phosphate, alc., ethers or nitrites; R2, R3 = hydrogen or R4; R4 = Me, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzyl ester, saccharide or amine; and R5 = alkenyl) were prepared as antiproliferative and proapoptotic agents for the potential treatment of cell proliferative diseases. Thus, α-tocopherol was treated with Me bromoacetate and NaOH in N, N-dimethylformamide to give II. II showed effective growth inhibitory properties (apoptotic inducing) in a wide variety of human cancer cell lines, including breast, prostate, cervical, and ovarian cancers with EC50 values ranging from 1-20 μg/mL.
IT 261929-71-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tocopherols, tocotrienols, other chromans and side chain derivs. as potential antiproliferative, proapoptotic agents for the treatment of cancer)
RN 261929-71-9 CAPLUS
CN 2H-1-Benzopyran-6-acetic acid, 3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 180 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

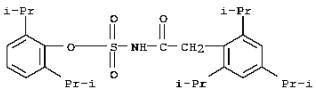
L35 ANSWER 181 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:594636 CAPLUS
DOCUMENT NUMBER: 137:135097
TITLE: Acyl sulfamides for treatment of obesity, diabetes and lipid disorders
INVENTOR(S): Jones, A. Brian; Acton, John J., III
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060388	A2	20020808	WO 2002-US3119	20020125
WO 2002060388	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434491	A1	20020808	CA 2002-2434491	20020125
AU 2002240235	A1	20020812	AU 2002-240235	20020125
AU 2002240235	B2	20060706		
EP 1357908	A2	20031105	EP 2002-706128	20020125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521119	T	20040715	JP 2002-560584	20020125
JP 4181408	B2	20081112		
US 20040073037	A1	20040415	US 2003-470483	20030729
US 6852738	B2	20050208		

PRIORITY APPLN. INFO.: US 2001-264955P P 20010130
WO 2002-US3119 W 20020125

OTHER SOURCE(S): MARPAT 137:135097
AB A class of acyl sulfamides comprises compds. that are potent ligands for PPARγ receptors and generally have antagonist or partial agonist activity. The compds. may be useful in the treatment, control or prevention of obesity, non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosia, vascular restenosis, inflammation, and other PPARγ receptor-mediated diseases, disorders and conditions, alone or in combination with one or more other compds. Other compds. are selected from insulin sensitizers, insulin or insulin mimetics, sulfonylureas, α-glucosidase inhibitors, cholesterol lowering agents, PPARδ agonists, antiobesity compds., an ileal bile acid transporter inhibitor, and agents intended for use in inflammatory

L35 ANSWER 181 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
conditions such as aspirin, nonsteroidal anti-inflammatory drugs, glucocorticoids, azulfidine, and cyclooxygenase-2 selective inhibitors.
IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acyl sulfamides and other drugs for treatment of metabolic disorders mediated by PPARγ receptors)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

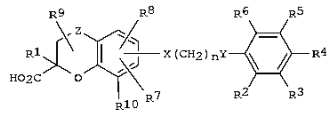
L35 ANSWER 182 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:575765 CAPLUS
DOCUMENT NUMBER: 137:140435
TITLE: Benzopyrancarboxylic acid derivatives with PPAR agonist activity for the treatment of diabetes and lipid disorders, and their preparation, pharmaceutical compositions, and use
INVENTOR(S): Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.; Desai, Ranjit C.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 42 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020103242	A1	20020801	US 2001-21667	20011029
US 6713508	B2	20040330		
CA 2427610	A1	20020808	CA 2001-2427610	20011026
WO 2002060434	A2	20020808	WO 2001-US49501	20011026
WO 2002060434	A3	20030619		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002248221	A1	20020812	AU 2002-248221	20011026
AU 2002248221	B2	20060817		
EP 1347755	A2	20031001	EP 2001-997102	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004517938	T	20040617	JP 2002-560626	20011026

PRIORITY APPLN. INFO.: US 2000-244698P P 20001031
WO 2001-US49501 W 20011026

OTHER SOURCE(S): MARPAT 137:140435
GI

L35 ANSWER 182 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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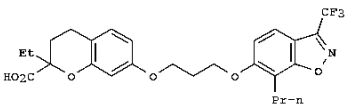
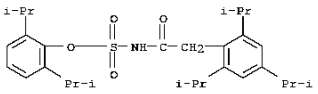


II

AB A class of benzopyrancarboxylic acid deriva. is disclosed, which comprises compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH2, CO; R1 = H, OH, halo, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R1 forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO2, CH2, (un)substituted NH; n = 1-6; R4 = (un)substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R3R4 or R4R5 = (un)substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their preparation is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH2O(CH2)3Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alkaline hydrolysis (100%), to give title compound II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a number of specific drugs, is claimed.
IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic compns. also containing; preparation of benzopyrancarboxylic acid

L35 ANSWER 182 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
derivs. as PPAR agonists for treatment of diabetes and lipid disorders)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L35 ANSWER 183 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:575069 CAPLUS
DOCUMENT NUMBER: 137:109292
TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Davies, Robert; Golec, Julian; Kay, David; Knegtel, Ronald; Patel, Sanjay
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059111	A2	20020801	WO 2001-US51120	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1698627	A1	20060906	EP 2006-10798	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2432131	A1	20020801	CA 2001-2432131	20011219
CA 2432131	C	20080708		
AU 2002245198	A1	20020806	AU 2002-245198	20011219
CA 2432303	A1	20020829	CA 2001-2432303	20011219
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
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L35 ANSWER 183 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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L35 ANSWER 183 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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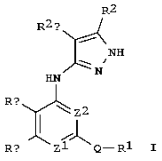
L35 ANSWER 183 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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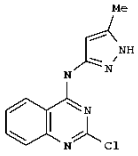

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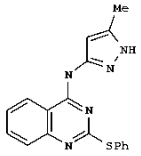

III

AB Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1
and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(6a)2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl;
R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6a, R7 = independently H or aliphatic; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6a)2 = carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2,
C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepared I are
protein kinase inhibitors, especially of Aurora-2 and GSK-3. For example, the
(pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases assocd. with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

IT 438204-91-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as
protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438204-91-2 CAPLUS
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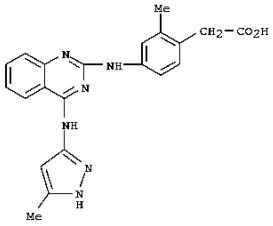

OS.CITING REF COUNT:	7	THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 184 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:555487 CAPLUS
DOCUMENT NUMBER: 137:125169
TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Golec, Julian; Miller, Andrew; Knegtel, Ronald
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057259	A2	20020725	WO 2001-US49401	20011219
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ES 2266095	T3	20070301	ES 2001-271061	20011219
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L35 ANSWER 184 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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US 20040167141	A1	20040826	US 2004-775699	20040210
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315
HK 1060349	A1	20070323	HK 2004-101996	20040317
JP 2005097322	A	20050414	JP 2004-366925	20041217
US 20070270444	A1	20071122	US 2006-369220	20060306
AU 2006201228	A1	20060413	AU 2006-201228	20060321
AU 2006201229	A1	20060413	AU 2006-201229	20060321
AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321
AU 2006201265	A1	20060427	AU 2006-201265	20060321
AU 2006201265	B2	20080904		
US 20060258658	A1	20061116	US 2006-492450	20060725
IN 2007KN01971	A	20090403	IN 2007-KN1971	20070531
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723
JP 2008115195	A	20080522	JP 2008-15681	20080125

L35 ANSWER 184 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

JP 2008189682	A	20080821	JP 2008-95581	20080401
JP 2008260767	A	20081030	JP 2008-95584	20080401
JP 2008222719	A	20080925	JP 2008-97620	20080403
JP 2008189687	A	20080821	JP 2008-98506	20080404
US 20080287444	A1	20081120	US 2008-109598	20080425
JP 2008201808	A	20080904	JP 2008-121723	20080507
JP 2008247920	A	20081016	JP 2008-121724	20080507
JP 2008247921	A	20081016	JP 2008-121727	20080507
AU 2008252044	A1	20090122	AU 2008-252044	20081203
JP 2009155352	A	20090716	JP 2009-101481	20090417
PRIORITY APPLN. INFO.:			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			US 2000-232795P	P 20000915
			AU 2001-296871	A3 20010914
			AU 2001-296875	A3 20010914
			AU 2001-90914	A 20010914
			AU 2001-90944	A3 20010914
			AU 2001-91013	A3 20010914
			AU 2001-94558	A3 20010914
			AU 2001-96871	T0 20010914
			AU 2001-96875	T0 20010914
			EP 2001-971082	A3 20010914
			JP 2002-526860	A3 20010914
			US 2001-952671	A3 20010914
			US 2001-953471	A3 20010914
			US 2001-955601	A3 20010914
			AU 2002-234047	A3 20011219
			AU 2002-34047	T0 20011219
			EP 2001-273861	A 20011219
			EP 2001-994323	A3 20011219
			JP 2002-551561	A3 20011219
			JP 2002-557938	A3 20011219
			JP 2002-559413	A3 20011219
			JP 2002-563142	A3 20011219

L35 ANSWER 184 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

JP 2002-565976	A3	20011219
JP 2002-567928	A3	20011219
US 2001-26966	A1	20011219
WO 2001-US49139	W	20011219
WO 2001-US49401	W	20011219
WO 2001-US50312	W	20011219
JP 2002-551562	A3	20011220
JP 2002-559414	A3	20011220
US 2001-34019	A3	20011220
US 2001-34683	A1	20011220
IN 2003-KN795	A3	20030619
IN 2003-KN797	A3	20030619
US 2003-624800	A3	20030722
US 2004-775699	A1	20040210
JP 2004-366925	A3	20041217
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 137:125169
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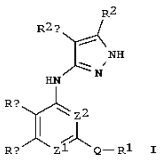
L35 ANSWER 184 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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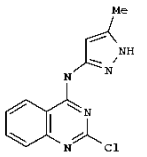

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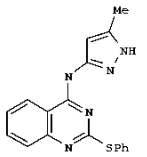


III

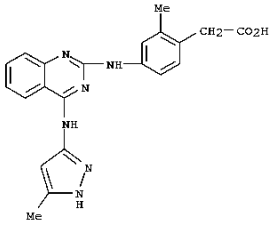
AB The title compds. I [Z1 = N, CR8; Z2 = N. CH; and at least one of Z1 and Z2 = N; Rb, Rc = TR3, LZR3; C2RbRc = (un)substituted fused (hetero)cycle; Q = NR4, O, S, etc.; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, carbocyclyl; T = a bond, alkylidene (un)interrupted by O, S, NR4, CO, etc.; Z = alkylidene; L = O, S, SO, SO2, etc.; R2, R2a = R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, etc.; R = H, (un)substituted aliphatic, (hetero)aryl, heterocyclyl; R4 = R7, COR7, SO2R7, etc.; W = CO, CO2, CONR6, etc.; R6, R7 = H, alkyl; or N(R6)2 or N(R7)2 = heterocyclyl, heteroaryl] were prepared For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in tert-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

IT 438204-91-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and

L35 ANSWER 184 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Alzheimer's disease)

RN 438204-91-2 CAPLUS

CN Benzeneacetic acid, 2-methyl-4-[[4-[(5-methyl-1H-pyrazol-3-yl)amino]-2-quinazolinyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 185 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:540258 CAPLUS
DOCUMENT NUMBER: 137:109267
TITLE: Preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors
INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S. Ser. No. 875,155.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20020094977	A1	20020718	US 2001-7407		20011204
US 6627636	B2	20030930			
US 20020013334	A1	20020131	US 2001-875155		20010606
PRIORITY APPLN. INFO.:			US 2000-211595P	P	20000615
			US 2001-875155	A2	20010606

OTHER SOURCE(S): MARPAT 137:109267
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

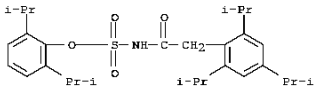
AB Title compds. I [X = O, S, SO, SO2, NR7; Z = HOCHCH2CH(OH)CH2CO2R3, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl, alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDl cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, and atherosclerosis (no data). A multistep synthesis of II is reported.

IT 166518-60-1, Avasimibe
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

RN 166518-60-1 CAPLUS

CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)

L35 ANSWER 185 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L35 ANSWER 186 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:521702 CAPLUS
DOCUMENT NUMBER: 137:93763
TITLE: Preparation of chiral pyrrolidine derivatives as VLA-4 inhibitors
INVENTOR(S): Nakayama, Atsushi; Machinaga, Nobuo; Yoneda, Yoshiyuki; Sugimoto, Yuichi; Chiba, Jun; Watanabe, Toshiyuki; Iimura, Shin
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 737 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053534	A1	20020711	WO 2001-JP11641	20011228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1483024	A	20011228	CN 2001-821484	20011228
CA 2430978	A1	20020711	CA 2001-2430978	20011228
AU 2002219555	A1	20020716	AU 2002-219555	20011228
AU 2002219555	B2	20061130		
EP 1346982	A1	20030924	EP 2001-272548	20011228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016608	A	20040629	BR 2001-16608	20011228
ZA 2003004059	A	20040706	ZA 2003-4059	20011228
CN 1699363	A	20051123	CN 2005-10073706	20011228
CN 100396680	C	20080625		
RU 2290403	C2	20061227	RU 2003-123115	20011228
JP 4212358	B2	20090121	JP 2002-554653	20011228
IN 2003DN00952	A	20070316	IN 2003-DN952	20030620
MX 2003005838	A	20030910	MX 2003-5838	20030626
NO 2003002994	A	20030827	NO 2003-2994	20030627
NO 326014	B1	20080901		
KR 884877	B1	20090223	KR 2003-708702	20030627
US 20040110945	A1	20040610	US 2003-451159	20030630
US 7157487	B2	20070102		
HK 1081545	A1	20090515	HK 2006-101636	20060208
PRIORITY APPLN. INFO.:			JP 2000-402890 A	20001228
			JP 2001-149923 A	20010518
			CN 2001-821484 A3	20011228

L35 ANSWER 186 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
WO 2001-JP11641 W 20011228

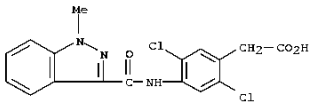
OTHER SOURCE(S): MARPAT 137:93763
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [WRXM; W = WAA1WB; WA = optionally substituted aryl; A1 = NR1, single bond, C(O); WB = is optionally substituted arylene; R = single bond, NH, OCH2, alkenylene; X = C(O), CH2; M = group represented by the general formula I; R11, R12, R13 each independently = hydrogen, hydroxyl, amino, halogeno; R14 = hydrogen, alkyl; Y = CH2O; Z = optionally substituted arylene; A2 = single bond; R10 = hydroxyl, alkoxy; Q = CH2, S, O, NH], salts thereof, and medicines containing the same are prepared as VLA-4 inhibitors. Title compds. or salts selectively inhibit the binding of cell adhesion mols. to VLA-4 and exhibit high oral absorbability, thus being useful as preventive and/or therapeutic drugs for inflammatory diseases, autoimmune diseases, cancerous metastasis, bronchial asthma, nasal occlusion, diabetes, inflammatory enteric disease, arthritis , etc. The Title compound II was prepared from Et 4-amino-3-chlorophenylacetate, indoline, and Me [(4S)-fluoro-(2S)-pyrrolidinylmethoxy]cyclohexylcarbonate and the title compound III was prepared from Me 3-hydroxy-4-nitrophenylacetate, Ph isothiocyanate, and Me 4-[(4S)-fluoro-(2S)-pyrrolidinylmethoxy]benzoate.

IT 441718-02-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of chiral pyrrolidine derivs. as VLA-4 inhibitors)

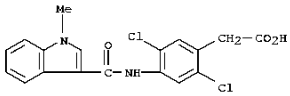
RN 441718-02-1 CAPLUS
CN Benzeneacetic acid, 2,5-dichloro-4-[[(1-methyl-1H-indazol-3-yl)carbonyl]amino]- (CA INDEX NAME)



IT 441715-25-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chiral pyrrolidine derivs. as VLA-4 inhibitors)

RN 441715-25-9 CAPLUS
CN Benzeneacetic acid, 2,5-dichloro-4-[[(1-methyl-1H-indol-3-yl)carbonyl]amino]- (CA INDEX NAME)

L35 ANSWER 186 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(14 CITINGS)
REFERENCE COUNT: 143 THERE ARE 143 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 187 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:487556 CAPLUS
DOCUMENT NUMBER: 137:47221
TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Davies, Robert; Everitt, Simon; Kay, David; Knegtel, Ronald; Patel, Sanjay
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050065	A2	20020627	WO 2001-US49140	20011219
WO 2002050065	A3	20021024		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1698627	A1	20060906	EP 2006-10798	20010914
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CA 2432799	A1	20020627	CA 2001-2432799	20011219
CA 2432799	C	20080819		
AU 2002034047	A	20020701	AU 2002-34047	20011219
CA 2432303	A1	20020829	CA 2001-2432303	20011219
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
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AU 2002255452	A1	20020904	AU 2002-255452	20011219
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001297619	A1	20020912	AU 2001-297619	20011219
AU 2001297619	B2	20060608		
US 20030004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 20030055068	A1	20030320	US 2001-26967	20011219
US 6989385	B2	20060124		
US 20030078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 20030105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
EP 1345922	B1	20060531		
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EP 1345925	A2	20030924	EP 2001-985059	20011219
EP 1345925	B1	20060517		
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EP 1355905	A1	20031029	EP 2001-273861	20011219
EP 1355905	B1	20070221		
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NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004516291	T	20040603	JP 2002-551561	20011219
JP 4160389	B2	20081001		
JP 2004518743	T	20040624	JP 2002-565976	20011219
HU 2004000639	A2	20040628	HU 2004-639	20011219
JP 2004519479	T	20040702	JP 2002-567928	20011219
JP 4234435	B2	20090304		
HU 2004000842	A2	20040728	HU 2004-842	20011219
US 20040214814	A1	20041028	US 2001-26992	20011219
CN 1549812	A	20041124	CN 2001-822105	20011219
NZ 526473	A	20050624	NZ 2001-526473	20011219
NZ 526469	A	20051028	NZ 2001-526469	20011219
AT 327989	T	20060615	AT 2001-271061	20011219
AT 326460	T	20060615	AT 2001-985059	20011219
AT 326461	T	20060615	AT 2001-993360	20011219
AT 326462	T	20060615	AT 2001-994510	20011219
EP 1702920	A1	20060920	EP 2006-11799	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 340172	T	20061015	AT 2001-994323	20011219
ES 2265446	T3	20070216	ES 2001-985059	20011219
ES 2265450	T3	20070216	ES 2001-993360	20011219
ES 2265452	T3	20070216	ES 2001-994510	20011219
ES 2266095	T3	20070301	ES 2001-271061	20011219
AT 354573	T	20070315	AT 2001-273861	20011219
ES 2272567	T3	20070501	ES 2001-994323	20011219
ES 2280313	T3	20070916	ES 2001-273861	20011219
CN 100340555	C	20071003	CN 2001-822136	20011219
CN 100408573	C	20080806	CN 2001-822135	20011219
CN 100436452	C	20081126	CN 2001-822102	20011219
US 20030004164	A1	20030102	US 2001-34683	20011220
US 6656939	B2	20031202		
US 20030022885	A1	20030130	US 2001-34019	20011220
US 6727251	B2	20040427		
AT 326463	T	20060615	AT 2001-994347	20011220
AT 353890	T	20070315	AT 2001-991439	20011220
TW 290551	B	20071201	TW 2001-90131846	20011225
ZA 2003004468	A	20040624	ZA 2003-4468	20030609
ZA 2003004469	A	20040624	ZA 2003-4469	20030609
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ZA 2003004472	A	20040625	ZA 2003-4472	20030609
ZA 2003004474	A	20040625	ZA 2003-4474	20030609
NO 2003002671	A	20030818	NO 2003-2671	20030612
NO 2003002704	A	20030821	NO 2003-2704	20030613
IN 2003KN00795	A	20050204	IN 2003-KN795	20030619
IN 2003KN00794	A	20061027	IN 2003-KN794	20030619
MX 2003005606	A	20031006	MX 2003-5606	20030620
MX 2003005609	A	20031006	MX 2003-5609	20030620
MX 2003005610	A	20031006	MX 2003-5610	20030620
KR 875091	B1	20081222	KR 2003-708427	20030620
US 20040224944	A1	20041111	US 2003-624800	20030722
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125
US 20040132781	A1	20040708	US 2003-736426	20031215
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210
US 7427681	B2	20080923		
HK 1060346	A1	20061201	HK 2004-101882	20040315
HK 1060347	A1	20061201	HK 2004-101883	20040315
JP 2005097322	A	20050414	JP 2004-366925	20041217
US 20070270444	A1	20071122	US 2006-369220	20060306
AU 2006201228	A1	20060413	AU 2006-201228	20060321
AU 2006201229	A1	20060413	AU 2006-201229	20060321
AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321
AU 2006201265	A1	20060427	AU 2006-201265	20060321
AU 2006201265	B2	20080904		
US 20060258658	A1	20061116	US 2006-492450	20060725
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723
JP 2008115195	A	20080522	JP 2008-15681	20080125
JP 2008189682	A	20080821	JP 2008-95581	20080401
JP 2008260767	A	20081030	JP 2008-95584	20080401
JP 2008222719	A	20080925	JP 2008-97620	20080403
JP 2008189687	A	20080821	JP 2008-98506	20080404
US 20080287444	A1	20081120	US 2008-109598	20080425
JP 2008201808	A	20080904	JP 2008-121723	20080507
JP 2008247920	A	20081016	JP 2008-121724	20080507
JP 2008247921	A	20081016	JP 2008-121727	20080507
AU 2008252044	A1	20090122	AU 2008-252044	20081203
JP 2009155352	A	20090716	JP 2009-101481	20090417

PRIORITY APPLN. INFO.:

US 2000-257887P	P	20001221
US 2001-286949P	P	20010427
US 2000-232795P	P	20000915
AU 2001-296871	A3	20010914
AU 2001-296875	A3	20010914
AU 2001-90914	A	20010914
AU 2001-90944	A3	20010914
AU 2001-91013	A3	20010914
AU 2001-94558	A3	20010914
AU 2001-96871	T0	20010914
AU 2001-96875	T0	20010914
EP 2001-971082	A3	20010914
JP 2002-526860	A3	20010914
US 2001-952671	A3	20010914
US 2001-953471	A3	20010914
US 2001-955601	A3	20010914
AU 2002-234047	A3	20011219
AU 2002-34047	T0	20011219
EP 2001-273861	A	20011219
EP 2001-994323	A3	20011219
JP 2002-551561	A3	20011219
JP 2002-557938	A3	20011219
JP 2002-559413	A3	20011219
JP 2002-563142	A3	20011219
JP 2002-565976	A3	20011219
JP 2002-567928	A3	20011219

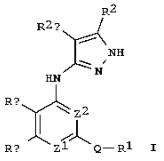
L35 ANSWER 187 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

US 2001-26966	A1	20011219
WO 2001-US49139	W	20011219
WO 2001-US49140	W	20011219
WO 2001-US50312	W	20011219
JP 2002-551562	A3	20011220
JP 2002-559414	A3	20011220
US 2001-34019	A3	20011220
US 2001-34683	A1	20011220
IN 2003-KN795	A3	20030619
US 2003-624800	A3	20030722
US 2004-775699	A1	20040210
JP 2004-366925	A3	20041217
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 137:47221

GI

L35 ANSWER 187 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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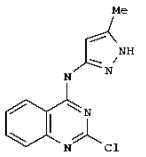

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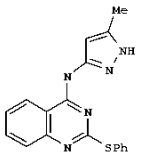


III

AB Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(6a)2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6a, R7 = independently H or aliphatic; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6a)2 = carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepared I are protein kinase inhibitors, especially of Aurora-2 and GSK-3. For example, the

L35 ANSWER 187 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

(pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases assocd. with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

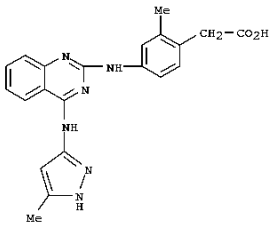
IT 438204-91-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438204-91-2 CAPLUS

CN Benzeneacetic acid, 2-methyl-4-[[4-[(5-methyl-1H-pyrazol-3-yl)amino]-2-quinazolinyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 46 THERE ARE 46 CAPLUS RECORDS THAT CITE THIS RECORD (70 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 188 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:486185 CAPLUS

DOCUMENT NUMBER: 137:63256

TITLE: Preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa.

INVENTOR(S): Nazare, Marc; Will, David William; Peyman, Anuschirwan; Matter, Hans; Zoller, Gerhard; Gerlach, Uwe

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: Eur. Pat. Appl., 101 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

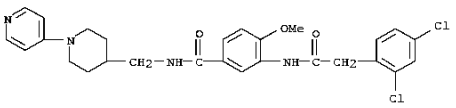
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1217000	A1	20020626	EP 2000-128477	20001223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2432572	A1	20020704	CA 2001-2432572	20011215
WO 2002051831	A1	20020704	WO 2001-EP14842	20011215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002219193	A1	20020708	AU 2002-219193	20011215
AU 2002219193	B2	20060608		
EP 1349847	A1	20031008	EP 2001-272016	20011215
EP 1349847	B1	20050420		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300306	A	20031015	EE 2003-306	20011215
BR 2001016473	A	20040113	BR 2001-16473	20011215
JP 2004516320	T	20040603	JP 2002-552926	20011215
JP 4238029	B2	20090311		
HU 2004001053	A2	20040928	HU 2004-1053	20011215
NZ 526615	A	20041126	NZ 2001-526615	20011215
AT 293617	T	20050515	AT 2001-272016	20011215
ES 2240339	T3	20051016	ES 2001-272016	20011215
US 20020198195	A1	20021226	US 2001-23933	20011221
US 6953857	B2	20051011		
ZA 2003004094	A	20040423	ZA 2003-4094	20030527
MX 2003005398	A	20030925	MX 2003-5398	20030616
IN 2003CN00957	A	20050422	IN 2003-CN957	20030617
NO 2003002820	A	20030821	NO 2003-2820	20030619
US 20050165058	A1	20050728	US 2005-39107	20050119
US 7067665	B2	20060627		

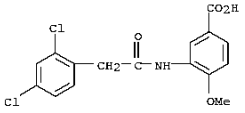
PRIORITY APPLN. INFO.:

EP 2000-128477	A	20001223
WO 2001-EP14842	W	20011215
US 2001-23933	A3	20011221

L35 ANSWER 188 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 137:63256
AB RQXQ1WUVGM [R = (substituted) aryl, heteroaryl; Q, Q1 = bond, CO, O, S, imino, carbonylimino, SO, SO2, (substituted) alkylene, etc.; X = bond, heteroaryl, (substituted) alkylene, heteroalkylene; W = (substituted) aryl, heteroaryl, mono-, polycyclic group; U, G = bond, (CH2)m, (CH2)mO(CH2)n, (CH2)mCO(CH2)n, (CH2)mS(CH2)n, etc.; m, n = 0-6; V = bond, (substituted) alkylene, aryl, heteroaryl, cyclic group; M = H, alkyl, (substituted) alkylaminocarbonyl, aryl, heteroaryl, cyclic group; with provisos], were prepared Thus, 3-[2-(2,4-dichlorophenyl)ethoxy]-4-methoxybenzoic acid, N-NEM, 1-(pyridin-4-ylmethyl)piperazine, and TOTU were stirred in DMF to give [3-[2-(2,4-dichlorophenyl)ethoxy]-4-methoxyphenyl](4-pyridin-4-ylmethylpiperazin-1-yl)methanone. The latter inhibited factor Xa with Ki = 0.600 μM.
IT 438570-99-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa)
RN 438570-99-1 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[2-methoxy-5-[[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



IT 438571-26-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa)
RN 438571-26-7 CAPLUS
CN Benzoic acid, 3-[[2-(2,4-dichlorophenyl)acetyl]amino]-4-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

L35 ANSWER 188 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(2 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 189 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:314767 CAPLUS
DOCUMENT NUMBER: 136:340676
TITLE: Preparation of benzimidazole derivatives as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis
INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032422	A2	20020425	WO 2001-IB1942	20011015
WO 2002032422	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2426487	A1	20020425	CA 2001-2426487	20011015
AU 2001094122	A	20020429	AU 2001-94122	20011015
US 20020077329	A1	20020620	US 2001-977761	20011015
US 20020107273	A1	20020808	US 2001-977621	20011015
US 6710054	B2	20040323		
BR 2001014758	A	20030701	BR 2001-14758	20011015
EP 1326606	A2	20030716	EP 2001-974609	20011015
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EE 200300188	A	20031015	EE 2003-188	20011015
JP 2004511518	T	20040415	JP 2002-535660	20011015
HU 2003003766	A2	20040428	HU 2003-3766	20011015
HU 2003003766	A3	20050728		
AT 320428	T	20060415	AT 2001-978702	20011015
EP 1666480	A1	20060607	EP 2006-110920	20011015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ES 2258554	T3	20060901	ES 2001-978702	20011015
ZA 2003002722	A	20040408	ZA 2003-2722	20030408
NO 2003001658	A	20030610	NO 2003-1658	20030410
MX 2003003448	A	20030714	MX 2003-3448	20030416
BG 107732	A	20040130	BG 2003-107732	20030416
ZA 2003002991	A	20040416	ZA 2003-2991	20030416
US 20040181059	A1	20040916	US 2004-771696	20040204
US 7141580	B2	20061128		
US 20070155732	A1	20070705	US 2006-556523	20061103
US 7479564	B2	20090120		
JP 2007277255	A	20071025	JP 2007-154590	20070611
PRIORITY APPLN. INFO.:			US 2000-241825P P	20001019
			EP 2001-978702 A3	20011015

L35 ANSWER 189 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

JP 2002-536282	A3	20011015
US 2001-977621	A3	20011015
WO 2001-IB1942	W	20011015
US 2004-771696	A3	20040204

OTHER SOURCE(S): MARPAT 136:340676
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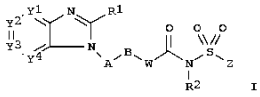
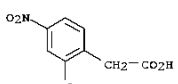


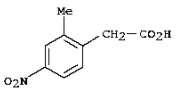
I

AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene, cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic aromatic heterocycle, were prepared as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[({[(3,4-dichlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepared and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.
IT 73088-11-6P, 2-(2-Chloro-4-nitrophenyl)acetic acid
415912-62-8P, 2-(2-Methyl-4-nitrophenyl)acetic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)
RN 73088-11-6 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-nitro- (CA INDEX NAME)



RN 415912-62-8 CAPLUS

L35 ANSWER 189 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzeneacetic acid, 2-methyl-4-nitro- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 190 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:107923 CAPLUS
DOCUMENT NUMBER: 136:151166
TITLE: Preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases
INVENTOR(S): Snow, Roger John; Cardozo, Mario; Goldberg, Daniel; Hammach, Abdelhakim; Morwick, Tina; Moss, Neil; Patel, Usha R.; Prokopowicz, Anthony S.; Takahashi, Hidenori; Tschantz, Matt Aaron; Wang, Xiao-Jun
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 679,156.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020016460	A1	20020207	US 2001-921509	20010802
US 6506769	B2	20030114		
US 20030166929	A1	20030904	US 2002-292026	20021112
US 6770639	B2	20040803		

PRIORITY APPLN. INFO.: US 1999-157922P P 19991006
US 2000-679156 A2 20001005
US 2001-921509 A3 20010802

OTHER SOURCE(S): CASREACT 136:151166; MARPAT 136:151166
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ar1 = (un)substituted (non)aromatic carbocyclyl, heteroaryl, heterocyclyl; X = NH, N(alkyl), O, etc.; Y = NR15, S, O; Ra = H, alkyl, alkenyl, etc.; R4 and R5 together with the atoms to which they are attached = II, III (wherein R6 = alkyl, H; R7 = alkyl, H; R8 = H, alkyl, etc.; R9 = H, CN, etc.)], useful as inhibitors of certain protein tyrosine kinases and are thus useful for treating diseases associated with such kinases, for example, diseases resulting from inappropriate cell proliferation, which include autoimmune diseases, chronic inflammatory diseases, allergic diseases, transplant rejection and cancer, as well as conditions resulting from cerebral ischemia, such as stroke, were prepared All exemplified compds. I were evaluated in the tyrosine kinase assay using a kinase such a p56lck and were found to have IC50's less than 10 μM. Methods of preparation are claimed and 29 example prepns.

L35 ANSWER 190 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
are included. E.g., a multi-step synthesis of the imidazoisoquinolinedione IV was given. Claimed methods include: a method of making I wherein X is N-R15 and Ar1, R4, R5, R15and Ra are as defined in claim 1, said process comprising: (a) reacting a phenylenediamine with Ar1NCS in a suitable solvent at about ambient to reflux temp. for .apprx.3 to 24 h to provide a possibly substituted N-(o-aminophenyl)thiourea (b) reacting this product with a suitable activating agent chosen from 1,3-dicyclohexylcarbodiimide (DCC) and mercuric oxide in a suitable solvent at about ambient to reflux temp. Also, a method of making I wherein X is S, Y is NH and Ar1, R4, R5 and Ra are as defined in claim 1, said process comprising: (a) reacting an aniline with Ar1NCS in a suitable solvent at about ambient to reflux temp. for .apprx.3 to 24 h to form a thiourea; (b) reacting this product under cyclizing conditions in a suitable solvent at about reflux temp. Also, a method of making V wherein R15, R8 and R9 are as described in claim 1, said method comprising: (a) reacting 2,6-dichloro-3-nitrobenzonitrile with NHR15 in a suitable solvent optionally in a pressure flask and at .apprx.0 to 80°, to provide 2-R15NH-3-nitro-6-chlorobenzonitriles, and subsequently reacting these compds. with ketoester R9C(O)CHR8CO2Et in the presence of a suitable base in a suitable solvent, at about ambient temp. to form 2-NC-3-R15NH-4-O2NC6H2CR8(C(O)R9)CO2Et (b) hydrolyzing this product by reacting with aq. acid, and cyclizing at about reflux temp.; followed by reducing the cyclized product in a suitable solvent. Also, a method of making VI wherein Ra, R8, R9 and Ar1 are as described in claim 1, said method comprising: (a) reacting a phenylenediamine with Br2 in a suitable solvent at ambient temp. to provide a brominated ring product; (b) reacting this product with Ar1NCS in a suitable solvent at about ambient to reflux temp. for .apprx.3 to 24 h and subsequently reacting the product with a suitable activating agent chosen from DCC and mercuric oxide in a suitable solvent at about ambient to reflux temp. to form VI with Ra = Br; (c) cross-coupling to introduce Ra in place of Br in the presence of a suitable catalyst in a suitable solvent at .apprx.100°.
IT 333455-63-3P, 1H-Imidazo[4,5-h]isoquinoline-6-acetic acid, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-7-methyl-9-oxo- 333455-69-9P, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-N-ethyl-8,9-dihydro-1,7-dimethyl-9-oxo- 333455-71-3P, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-N-(phenylmethyl)- 333455-72-4P, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-N-[2-(diethylamino)ethyl]-8,9-dihydro-1,7-dimethyl-9-oxo- 333455-73-5P, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-N-(2-phenylethyl)- 333455-75-7P, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-N-[3-(diethylamino)propyl]-8,9-dihydro-1,7-dimethyl-9-oxo- 333455-76-8P, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-N-[2-(4-morpholinyl)ethyl]-9-oxo- 333455-83-7P, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide,

L35 ANSWER 190 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-N-(2-pyridinylmethyl)- 333455-85-9P, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazoisoquinolinones as inhibitors of tyrosine kinases)
RN 333455-63-3 CAPLUS
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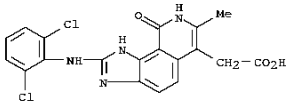
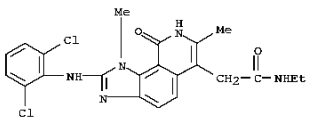


RN 333455-69-9 CAPLUS
CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-N-ethyl-8,9-dihydro-1,7-dimethyl-9-oxo- (CA INDEX NAME)



RN 333455-71-3 CAPLUS
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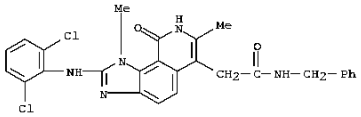
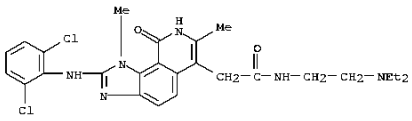
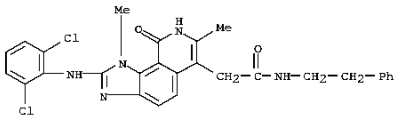


RN 333455-72-4 CAPLUS
CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide,

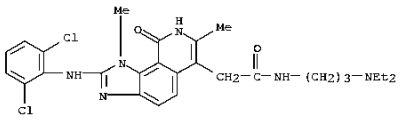
L35 ANSWER 190 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
2-[(2,6-dichlorophenyl)amino]-N-[2-(diethylamino)ethyl]-8,9-dihydro-1,7-dimethyl-9-oxo- (CA INDEX NAME)



RN 333455-73-5 CAPLUS
CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-N-(2-phenylethyl)- (CA INDEX NAME)

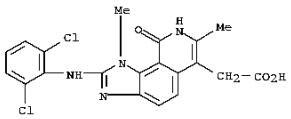


RN 333455-75-7 CAPLUS
CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-N-[3-(diethylamino)propyl]-8,9-dihydro-1,7-dimethyl-9-oxo- (CA INDEX NAME)



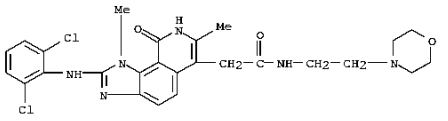
RN 333455-76-8 CAPLUS
CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-N-[2-(4-morpholinyl)ethyl]-9-oxo- (CA INDEX NAME)

L35 ANSWER 190 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

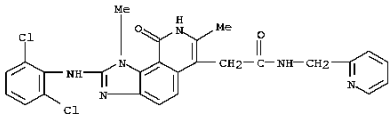


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

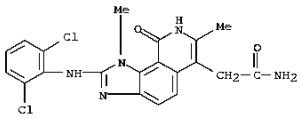
L35 ANSWER 190 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 333455-83-7 CAPLUS
CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-N-(2-pyridinylmethyl)- (CA INDEX NAME)



RN 333455-85-9 CAPLUS
CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo- (CA INDEX NAME)



IT 333458-92-7, 1H-Imidazo[4,5-h]isoquinoline-6-acetic acid, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases)
RN 333458-92-7 CAPLUS
CN 1H-Imidazo[4,5-h]isoquinoline-6-acetic acid, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo- (CA INDEX NAME)

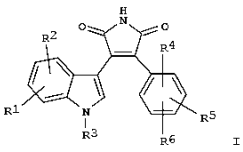
L35 ANSWER 191 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:107338 CAPLUS
DOCUMENT NUMBER: 136:167378
TITLE: Preparation of 3-indolyl-4-phenyl-1H-pyrrole-2,5-dione derivatives as inhibitors of glycogen synthase kinase-3beta for therapeutic agents
INVENTOR(S): Gong, Leyi; Grupe, Andrew; Peltz, Gary Allen
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010158	A2	20020207	WO 2001-EP8293	20010718
WO 2002010158	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417277	A1	20020207	CA 2001-2417277	20010718
EP 1307447	A2	20030507	EP 2001-974083	20010718
EP 1307447	B1	20041215		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012965	A	20030708	BR 2001-12965	20010718
HU 2003001431	A2	20030828	HU 2003-1431	20010718
JP 2004505078	T	20040219	JP 2002-515887	20010718
JP 3984157	B2	20071003		
NZ 523462	A	20040924	NZ 2001-523462	20010718
AT 284885	T	20050115	AT 2001-974083	20010718
CN 1185229	C	20050119	CN 2001-813406	20010718
ES 2233691	T3	20050616	ES 2001-974083	20010718
US 20020052397	A1	20020502	US 2001-916706	20010727
US 6479490	B2	20021112		
US 20020188018	A1	20021212	US 2002-139410	20020506
ZA 2003000216	A	20040408	ZA 2003-216	20030108
IN 2003CN00126	A	20050408	IN 2003-CN126	20030121
NO 2003000328	A	20030122	NO 2003-328	20030122
MX 2003000695	A	20030604	MX 2003-695	20030123
HK 1058670	A1	20050506	HK 2004-101445	20040227

PRIORITY APPLN. INFO.:
US 2000-221058P P 20000727
WO 2001-EP8293 W 20010718
US 2001-916706 A1 20010727

OTHER SOURCE(S): MARPAT 136:167378
GI

L35 ANSWER 191 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

AB The title compds. [I; R1, R2 = H, alkyl, halogen, haloalkyl, alkylthio, HO, alkoxy, cyano, nitro, amino, acylamino, monoalkylamino, or dialkylamino; R3 represents hydrogen, alkyl, cycloalkyl, heteroalkyl, CHO, alkylcarbonyl, or (un)substituted phenyl; R4, R5 = H, alkyl, halogen, haloalkyl, alkylthio, hydroxy, alkoxy, cyano, nitro, amino, acylamino, monoalkylamino, or dialkylamino; R6 = heteroalkyl, heterocyclyl, heterocyclylalkyl, heteroalkyl-substituted heterocyclyl, heteroalkyl-substituted cycloalkyl, heterosubstituted cycloalkyl, OR8, -S(O)nR8 (wherein n = an integer from 0 to 2; and R8 is heteroalkyl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl), NR9R10 (wherein R9 = hydrogen, alkyl; R10 = heterosubstituted cycloalkyl, heteroalkyl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl), or -X-(alkylene)-Y-Z (wherein X = a covalent bond, O, NH, or S(O)n; where n = an integer from 0 to 2; Y = O, NH, or S and Z = heteroalkyl or SiR1(R12)(R13) (where R11, R12, R13 are independently hydrogen or alkyl)), or R6 together with adjacent R4 forms a methylenedioxy or ethylenedioxy group] or pharmaceutically acceptable salts thereof are prepared Owing to the inhibitory activity against glycogen synthase kinase-3β (GSK-3β), these compds. may be used for the treatment of GSK-3β mediated diseases. More specifically, they are used for the treatment of GSK-3β mediated diseases selected from Alzheimer's disease, obesity, diabetes, atherosclerotic cardiovascular disease, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, cancer, allergy, and asthma in a mammal. The present inhibitor of GSK-3β is also used for the treatment of a disease characterized by an excess of CD4+Th2 cytokines, which is asthma, allergy or allergic rhinitis or for the treatment of a disease characterized by an excess IgE production, which is asthma, allergy or allergic rhinitis. The GSK-3β inhibitor is preferably at least 10 fold more selective for GSK-3β relative to PKC. Thus, Mitsunobu reaction of Me 3-hydroxyphenylacetate with 2-chloroethanol using Ph3P and diisopropyl azodicarboxylate in THF at room temperature overnight gave Me 3-(2-chloroethoxy)phenylacetate which was saponified with aqueous LiOH and treated with AcOH to give 3-(2-chloroethoxy)phenylacetic acid (II) which was converted into 3-(1-methylindol-3-yl)-4-[3-(2-aminoethyloxy)-phenyl]-1H-pyrrole-2,5-dione (III) in 4 steps. III in vitro showed IC50 of 0.02 μM against GSK-3β.

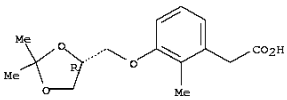
L35 ANSWER 191 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

IT 396095-22-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (indolylphenyl)-1H-pyrroledione derivs. as inhibitors of glycogen synthase kinase-3β for therapeutic agents)

RN 396095-22-0 CAPLUS

CN Benzeneacetic acid, 3-[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 192 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:107318 CAPLUS

DOCUMENT NUMBER: 136:151163

TITLE: Preparation of indazole derivatives as JNK enzyme inhibitors

INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.

PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 412 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010137	A2	20020207	WO 2001-US23890	20010730
WO 2002010137	A3	20020425		
WO 2002010137	A9	20030206		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1313711	A2	20030528	EP 2001-957332	20010730
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AU 2001279089	B2	20060202	AU 2001-279089	20010730
KR 873541	B1	20081211	KR 2003-701429	20030130
ZA 2003000886	A	20050309	ZA 2003-886	20030131
PRIORITY APPLN. INFO.:			US 2000-221799P P	20000731
			WO 2001-US23890 W	20010730

OTHER SOURCE(S): MARPAT 136:151163

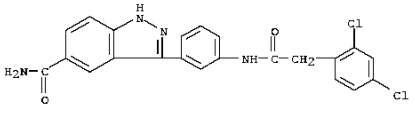
AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9, -SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values ≤0.5 μM in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included. [This abstr. record is one of 2 records for this document necessitated by the large no. of index entries required to fully index the document and publication system constraints.]

L35 ANSWER 192 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

IT 395106-57-7P, 3-[3-[2-(2,4-Dichlorophenyl)acetylamino]phenyl]-1H-indazole-5-carboxamide 395106-60-2P, 3-[3-[2-(2-Chloro-4-fluorophenyl)acetylamino]phenyl]-1H-indazole-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazole derivs. as JNK enzyme inhibitors)

RN 395106-57-7 CAPLUS

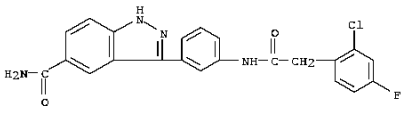
CN 1H-Indazole-5-carboxamide, 3-[3-[[2-(2,4-dichlorophenyl)acetyl]amino]phenyl]- (CA INDEX NAME)



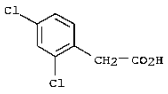
RN 395106-60-2 CAPLUS

CN 1H-Indazole-5-carboxamide, 3-[3-[[2-(2-chloro-4-fluorophenyl)acetyl]amino]phenyl]- (CA INDEX NAME)

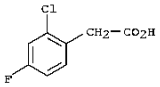
L35 ANSWER 192 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IT 19719-28-9, 2,4-Dichlorophenylacetic acid 177985-32-9
, 2-Chloro-4-fluorophenylacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of indazole derivs. as JNK enzyme inhibitors)
RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)



RN 177985-32-9 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-fluoro- (CA INDEX NAME)



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 193 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:10452 CAPLUS
DOCUMENT NUMBER: 136:69820
TITLE: Preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators
INVENTOR(S): Mcgee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi
PATENT ASSIGNEE(S): Tularik Inc., USA; Japan Tobacco, Inc.
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

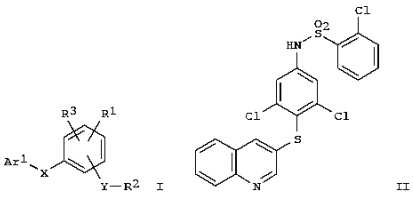
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000633	A1	20020103	WO 2001-US20756	20010627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412723	A1	20020103	CA 2001-2412723	20010627
US 20020169185	A1	20021114	US 2001-894980	20010627
US 6583157	B2	20030624		
EP 1296967	A1	20030402	EP 2001-950669	20010627
EP 1296967	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012115	A	20030429	BR 2001-12115	20010627
HU 2003001482	A2	20030929	HU 2003-1482	20010627
HU 2003001482	A3	20051228		
JP 2004501905	T	20040122	JP 2002-505381	20010627
NZ 523229	A	20041029	NZ 2001-523229	20010627
CN 1243741	C	20060301	CN 2001-812017	20010627
AU 2001271637	B2	20060504	AU 2001-271637	20010627
AT 327984	T	20060615	AT 2001-950669	20010627
ES 2265435	T3	20070216	ES 2001-950669	20010627
IL 153461	A	20071031	IL 2001-153461	20010627
US 20030171399	A1	20030911	US 2002-278851	20021021
MX 2002012708	A	20030922	MX 2002-12708	20021218
ZA 2002010283	A	20050721	ZA 2002-10283	20021219
NO 2002006156	A	20030225	NO 2002-6156	20021220
NO 325448	B1	20080505		
KR 771286	B1	20071029	KR 2002-717927	20021228
IN 2002MN01890	A	20050204	IN 2002-MN1890	20021230
HK 1052351	A1	20061103	HK 2003-104574	20030626
US 20040176409	A1	20040909	US 2003-719997	20031120

PRIORITY APPLN. INFO.: US 2000-214810P P 20000628

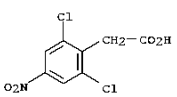
L35 ANSWER 193 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

US 1998-73042P	P	19980129
US 2001-894980	A1	20010627
WO 2001-US20756	W	20010627
US 2002-278851	B1	20021021

OTHER SOURCE(S): MARPAT 136:69820
GI



AB The title compds. [I; Ar1 = (un)substituted 2-benzothiazolyl or quinolinyl; X = O, CO, CHR10, NR11, S(O)k; Y = NR12SO2; R1 = H, halo, alkyl, etc.; R2 = (un)substituted aryl; R3 = halo, alkoxy; R10 = H, CN, alkyl; R11 = H, alkyl; R12 = H, alkyl; k = 0-2], useful in the treatment or prevention of a condition or disorder mediated by PPARγ such as diabetes, obesity, hypercholesterolemia, rheumatoid arthritis and atherosclerosis, were prepared Thus, reacting 3,5-dichloro-4-(quinolin-3-ylsulfanyl)aniline (preparation given) with 2-chlorobenzenesulfonyl chloride in the presence of pyridine and catalytic amount of DMAP in THF/CH2Cl2 afforded 78% II which showed IC50 of < 1 μM against PPARγ ligand binding.
IT 88135-31-3, (2,6-Dichloro-4-nitrophenyl)acetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators)
RN 88135-31-3 CAPLUS
CN Benzeneacetic acid, 2,6-dichloro-4-nitro- (CA INDEX NAME)



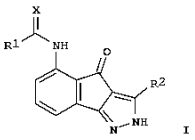
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD

L35 ANSWER 193 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(6 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 194 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:731369 CAPLUS
DOCUMENT NUMBER: 135:288778
TITLE: Preparation of indeno[1,2-c]pyrazol-4-ones as inhibitors of cyclin dependent kinases
INVENTOR(S): Nugiel, David A.; Carini, David J.; Dimeo, Susan V.; Yue, Eddy W.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: U.S. Pat. Appl. Publ., 104 pp., Cont.-in-part of U.S. Ser. No. 639,618.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20010027195	A1	20011004	US 2000-731304	20001206
US 6407103	B2	20020618		
US 6413957	B1	20020702	US 2000-639618	20000815
CA 2420164	A1	20020502	CA 2000-2420164	20001020
AU 2001012168	A	20020506	AU 2001-12168	20001020
EP 1414804	A1	20040506	EP 2000-973682	20001020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 2004524277	T	20040812	JP 2002-537713	20001020
PRIORITY APPLN. INFO.:			US 1998-82476P	P 19980421
			US 1999-295078	B1 19990420
			US 2000-639618	A2 20000815
			WO 2000-US28952	W 20001020

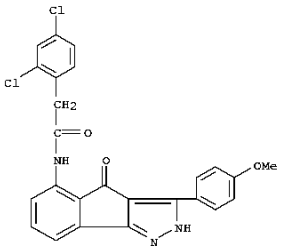
OTHER SOURCE(S): MARPAT 135:288778
GI



I

AB The present invention relates to the synthesis of a new class of indeno[1,2-c]pyrazol-4-ones of formula [X = O, S, (un)substituted NH; R1 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, NH2, C3-10

L35 ANSWER 194 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
membered carbocyclyl, 3-10 membered heterocycle contg. 1-4 heteroatoms selected from O, N, and S; R2 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, (CF2)mCF3, C3-10 membered carbocyclyl, 3-10 membered heterocycle contg. 1-4 heteroatoms selected from O, N, and S; wherein m = 0, 1-4]. These compds. are potent inhibitors of the class of enzymes known as cyclin dependent kinases, which relate to the catalytic subunits cdk1-9 and their regulatory subunits know as cyclins A-H. This invention also provides a novel method of treating cancer or other proliferative diseases by administering a therapeutically effective amt. of one of these compds. or a pharmaceutically acceptable salt form thereof. Alternatively, cancer or other proliferative diseases can be treated by administering a therapeutically effective combination of one of the compds. of the present invention and one or more other known anti-cancer or anti-proliferative agents (no data). Thus, hydrogenation of di-Me 3-nitrophthalate over 5% Pd-C in methanol in a Parr shaker at 50 psi for 2 h followed by acetylation with Ac2O in pyridine at 25° for 2 h gave 79% di-Me 3-acetamidophthalate which was treated with NaH in DMF and cyclocondensed with 4-methoxyacetophenone at 90° for 20 min to give 30% 2-(4-methoxybenzoyl)-4-acetamidoindane-2,3-dione. Cyclocondensation of the latter triketone with hydrazine hydrate in the presence of p-TsOH in ethanol under reflux for 2 h gave I (R1 = Me, X = O, R2 = 4-methoxyphenyl).
IT 247148-60-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indeno[c]pyrazolones as inhibitors of cyclin dependent kinases)
RN 247148-60-3 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[2,4-dihydro-3-(4-methoxyphenyl)-4-oxoindeno[1,2-c]pyrazol-5-yl]- (CA INDEX NAME)



L35 ANSWER 194 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L35 ANSWER 195 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:713343 CAPLUS
DOCUMENT NUMBER: 135:272894
TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070734	A2	20010927	WO 2001-US8336	20010315
WO 2001070734	A3	20020314		
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2400168	A1	20010927	CA 2001-2400168	20010315
AU 2001050850	A	20011003	AU 2001-50850	20010315
EP 1263756	A2	20021211	EP 2001-924171	20010315
EP 1263756	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
BR 2001009469	A	20030429	BR 2001-9469	20010315
JP 2003528097	T	20030924	JP 2001-568935	20010315
AT 260272	T	20040315	AT 2001-924171	20010315
NZ 521245	A	20040430	NZ 2001-521245	20010315
ES 2215893	T3	20041016	ES 2001-924171	20010315
US 20020013341	A1	20020131	US 2001-811116	20010316
US 6495565	B2	20021217		
IN 2002MN01075	A	20050304	IN 2002-MN1075	20020808
HK 1049334	A1	20040716	HK 2003-101437	20030226
PRIORITY APPLN. INFO.:			US 2000-190183P	P 20000317
			US 2000-235467P	P 20000926
			US 2000-252062P	P 20001120
			WO 2001-US8336	W 20010315

OTHER SOURCE(S): MARPAT 135:272894
AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for

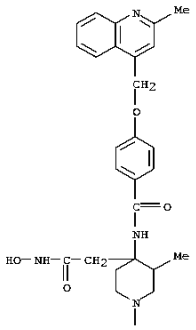
L35 ANSWER 195 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.;
R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepd. as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepd. by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester. [This abstr. record is one of 2 records for this document necessitated by the large no. of index entries required to fully index the document and publication system constraints.]

IT 362698-48-4P 362700-76-3P 362700-77-4P
362701-00-6P 362701-01-7P 362702-88-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)

RN 362698-48-4 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-1,3-dimethyl-4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]- (CA INDEX NAME)

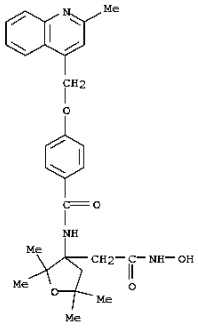
PAGE 1-A



L35 ANSWER 195 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 2-A

RN 362700-76-3 CAPLUS
CN 3-Furanacetamide, tetrahydro-N-hydroxy-2,2,5,5-tetramethyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]- (CA INDEX NAME)

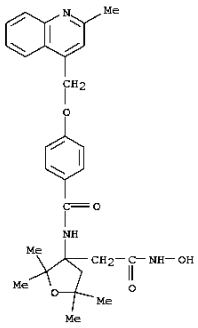


RN 362700-77-4 CAPLUS
CN 3-Furanacetamide, tetrahydro-N-hydroxy-2,2,5,5-tetramethyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-, 2,2,2-trifluoroacetate (1:1)
(CA INDEX NAME)

CM 1

CRN 362700-76-3
CMF C28 H33 N3 O5

L35 ANSWER 195 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

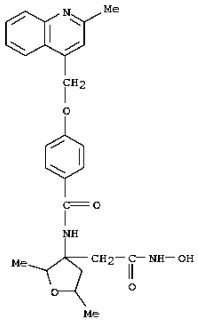


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 362701-00-6 CAPLUS
CN 3-Furanacetamide, tetrahydro-N-hydroxy-2,5-dimethyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]- (CA INDEX NAME)

L35 ANSWER 195 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

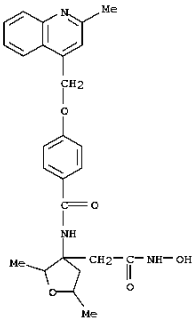


RN 362701-01-7 CAPLUS
CN 3-Furanacetamide, tetrahydro-N-hydroxy-2,5-dimethyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 362701-00-6
CMF C26 H29 N3 O5

L35 ANSWER 195 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



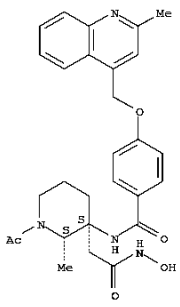
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 362702-88-3 CAPLUS
CN 3-Piperidineacetamide, 1-acetyl-N-hydroxy-2-methyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 195 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



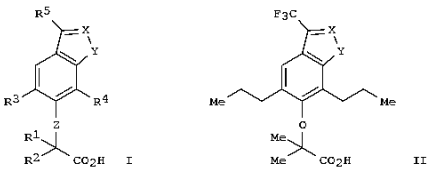
OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 196 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:617987 CAPLUS
DOCUMENT NUMBER: 135:180757
TITLE: Preparation of 1,2-benzoxazolyloxyacetic acids and analogs as PPAR agonists for treatment of diabetes and lipid disorders
INVENTOR(S): Liu, Kun; Xu, Libo; Jones, A. Brian
PATENT ASSIGNEE(S): Merck & Co. Inc., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060807	A1	20010823	WO 2001-US4636	20010214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400021	A1	20010823	CA 2001-2400021	20010214
AU 2001038214	A	20010827	AU 2001-38214	20010214
AU 784722	B2	20060601		
EP 1259494	A1	20021127	EP 2001-910624	20010214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003523336	T	20030805	JP 2001-560192	20010214
PRIORITY APPLN. INFO.:			US 2000-183593P	P 20000218
			WO 2001-US4636	W 20010214

OTHER SOURCE(S): MARPAT 135:180757
GI



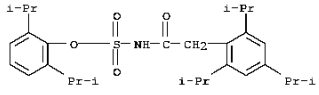
AB The title compds. (I) [wherein R1 and R2 = independently H, F,

L35 ANSWER 196 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

(halo)alkyl, (halo)alkenyl, (halo)alkynyl; or R1 and R2 may form a cycloalkyl group; R3 and R4 = independently (fluoro)alkyl, (fluoro)alkenyl, (fluoro)alkynyl, or Cl; X = N or CR; Y = O, S, nor NR; Z = O or S; R = independently H or optionally fluoro- or alkoxy-substituted (cyclo)alkyl(oxy), alkenyl(oxy), or alkynyl(oxy); R5 = H or (un)substituted alkyl, alkenyl, alkynyl, (hetero)aryl(oxy), heterocyclyl(oxy), etc.; and pharmaceutically acceptable salts and prodrugs thereof] were prepd. For example, 2,4-dihydroxy-3,5-dipropyl-1',1',1'-trifluoroacetophenone oxime was acetylated and then treated with pyridine and TEA to give 5,7-dipropyl-6-hydroxy-3-trifluoromethyl-1,2-benzisoxazole. Etherification with Me α-bromoisobutyrate in the presence of Cs2CO3 in DMF, followed by sapon., afforded the 1,2-benzoxazolyloxyacetic acid (II). I are potent agonists of peroxisome proliferator activated receptor (PPAR) α and/or γ and are useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPARα and/or γ mediated diseases, disorders, and conditions (no data).

IT 166518-60-1, Avasimibe
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration with; preparation of benzisoxazolyloxyacetic acid PPAR agonists via cyclization of dihydroxyacetophenone oximes for treatment of diabetes and lipid disorders)

RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

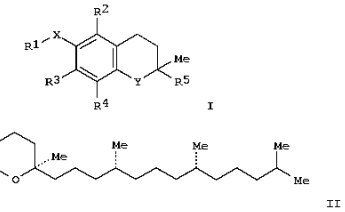
L35 ANSWER 197 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:597976 CAPLUS
DOCUMENT NUMBER: 135:166941
TITLE: Preparation of tocopherols, tocotrienols, other chroman and side chain derivatives that induce cell apoptosis for therapeutic use as antiproliferative agents
INVENTOR(S): Sanders, Robert G.; Kline, Kimberly; Hurley, Laurence;
Gardner, Robb; Menchaca, Marla; Yu, Weiping; Ramanan, Puthucode N.; Liu, Shenquan; Israel, Karen
PATENT ASSIGNEE(S): Research Development Foundation, USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058889	A1	20010816	WO 2001-US4168	20010209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6770672	B1	20040803	US 2000-502592	20000211
CA 2399802	A1	20010816	CA 2001-2399802	20010209
EP 1254130	A1	20021106	EP 2001-909008	20010209
EP 1254130	B1	20080102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004504268	T	20040212	JP 2001-558439	20010209
NZ 520798	A	20040528	NZ 2001-520798	20010209
AU 2001236805	B2	20050714	AU 2001-236805	20010209
RU 2263672	C2	20051110	RU 2002-124135	20010209
IL 151108	A	20060801	IL 2001-151108	20010209
KR 847678	B1	20080723	KR 2002-710387	20020810

PRIORITY APPLN. INFO.: US 2000-502592 A 20000211
US 1998-101542P P 19980923
US 1999-404001 A2 19990923
WO 2001-US4168 W 20010209

OTHER SOURCE(S): MARPAT 135:166941
GI

L35 ANSWER 197 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

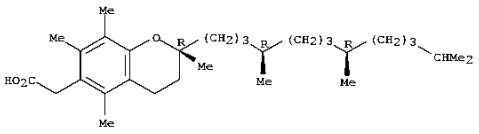
II

AB Tocopherol analogs, such as I [X = O, NH, S; Y = O, NH, S; R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxyl, carboxamide, thiocarboxyl, etc.; R2, R3, R4 = H, Me, benzyl, carboxyl, carboxamide, amine, saccharide; R5 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxyl, carboxamide], were prepared for pharmaceutical use as antiproliferative agents which induce cell apoptosis for treatment of cancers and diseases involving cell proliferation, such as autoimmune diseases, psoriasis, etc.. Thus, (R,R,R)-α-tocopherol derivative II was prepared in 88% yield by condensation of (R,R,R)-α-tocopherol and BrCH2CO2Me in DMF using NaOH followed by hydrolysis with 5 N HCl. The prepared tocopherol analogs were tested for their ability to induce apoptosis in a number of cancer cell lines, such as breast, cervical, colon, prostate, etc.

IT 261929-71-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tocopherols, tocotrienols, other chromans that induce cell apoptosis for therapeutic use as antiproliferative agents)
RN 261929-71-9 CAPLUS
CN 2H-1-Benzopyran-6-acetic acid, 3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 197 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 198 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:521913 CAPLUS
DOCUMENT NUMBER: 135:107323
TITLE: Preparation of aminothiazole inhibitors of cyclin dependent kinases
INVENTOR(S): Kim, Kyoung S.; Kimball, S. David; Cai, Zhen-wei; Rawlins, David B.; Misra, Raj N.; Poss, Michael A.; Webster, Kevin R.; Hunt, John T.; Han, Wen-ching
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 164 pp., Cont.-in-part of U.S. 6,040,321.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6262096	B1	20010717	US 1999-464511	19991215
US 6040321	A	20000321	US 1998-176239	19981021
US 6214852	B1	20010410	US 2000-616629	20000726
US 6515004	B1	20030204	US 2000-727957	20001201
CA 2394538	A1	20010621	CA 2000-2394538	20001206
WO 2001044217	A1	20010621	WO 2000-US33037	20001206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016420	A	20020820	BR 2000-16420	20001206
EP 1240153	A1	20020918	EP 2000-983935	20001206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003516981	T	20030520	JP 2001-544707	20001206
HU 2003001213	A2	20030828	HU 2003-1213	20001206
HU 2003001213	A3	20090330		
IL 149757	A	20080120	IL 2000-149757	20001206
CA 2394544	A1	20010621	CA 2000-2394544	20001207
CA 2394552	A1	20010621	CA 2000-2394552	20001207
WO 2001044241	A1	20010621	WO 2000-US33113	20001207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2001044242	A1	20010621	WO 2000-US33501	20001207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				

L35 ANSWER 198 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000016424	A	20020820	BR 2000-16424	20001207
EP 1240165	A1	20020918	EP 2000-982481	20001207
EP 1240165	B1	20050504		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1240166	A1	20020918	EP 2000-990204	20001207
EP 1240166	B1	20050216		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

SI 20975	A	20030228	SI 2000-20060	20001207
JP 2003516987	T	20030520	JP 2001-544732	20001207
EE 200200306	A	20030616	EE 2002-306	20001207
HU 2003000974	A2	20030828	HU 2003-974	20001207
HU 2003000974	A3	20090330		
HU 2003001032	A2	20030828	HU 2003-1032	20001207
HU 2003001032	A3	20090330		
JP 2003525872	T	20030902	JP 2001-544731	20001207
NZ 519120	A	20040326	NZ 2000-519120	20001207
AU 774381	B2	20040624	AU 2001-19506	20001207
AT 289306	T	20050315	AT 2000-990204	20001207
AT 294800	T	20050515	AT 2000-982481	20001207
ES 2236034	T3	20050716	ES 2000-990204	20001207
ES 2241678	T3	20051101	ES 2000-982481	20001207
AU 783719	B2	20051201	AU 2001-27264	20001207
EG 24168	A	20080910	EG 2000-1523	20001209
TW 265930	B	20061111	TW 2000-89126395	20001211
TW 273103	B	20070211	TW 2000-89126788	20001214
US 20010004639	A1	20010621	US 2000-746059	20001222
US 6392053	B2	20020521		
US 20010006976	A1	20010705	US 2000-746060	20001222
US 6414156	B2	20020702		
US 20020137778	A1	20020926	US 2001-839751	20010420
US 6521759	B2	20030218		
US 20020072609	A1	20020613	US 2002-67723	20020205
US 6613911	B2	20030902		
US 20020099217	A1	20020725	US 2002-100129	20020318
US 6639074	B2	20031028		
IN 2002MN00673	A	20050304	IN 2002-MN673	20020524
IN 2002MN00674	A	20050304	IN 2002-MN674	20020524
ZA 2002004349	A	20030901	ZA 2002-4349	20020530
ZA 2002004356	A	20031007	ZA 2002-4356	20020530
NO 2002002817	A	20020814	NO 2002-2817	20020613
NO 323726	B1	20070702		
MX 2002005870	A	20030128	MX 2002-5870	20020613
MX 2002005879	A	20030128	MX 2002-5879	20020613
NO 2002002864	A	20020813	NO 2002-2864	20020614
HK 1049661	A1	20051007	HK 2003-100935	20030207
US 20030216440	A1	20031120	US 2003-407779	20030404
US 20040063767	A1	20040401	US 2003-639272	20030812
US 6897321	B2	20050524		

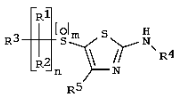
PRIORITY APPLN. INFO.: US 1997-65195P P 19971112

L35 ANSWER 198 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

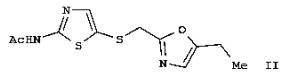
			US 1998-176239	A2 19981021
			US 1999-464511	A2 19991215
			US 2000-616627	A2 20000726
			US 2000-616629	A 20000726
			WO 2000-US33037	W 20001206
			WO 2000-US33113	W 20001207
			WO 2000-US33501	W 20001207
			US 2000-746059	A3 20001222
			US 2000-746060	A3 20001222
			US 2002-67723	A3 20020205

OTHER SOURCE(S): MARPAT 135:107323

GI



I



II

AB The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example cancer, inflammation and arthritis. E.g., a multi-step synthesis of N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide II which showed IC50 of < 50 μM against cdc2/cyclin B1 kinase, against cdk2/cyclin E kinase, and against cdk4/cyclin D1 kinase, was given.

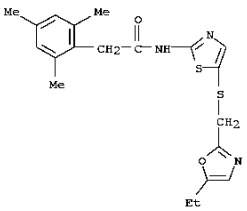
IT 224436-23-1P

L35 ANSWER 198 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aminothiazole inhibitors of cyclin dependent kinases)

RN 224436-23-1 CAPLUS

CN Benzeneacetamide, N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]-2,4,6-trimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 199 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:283949 CAPLUS

DOCUMENT NUMBER: 134:311218

TITLE: Synthesis and use of heterocyclic sodium/proton exchange inhibitors

INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu, Khehyong; Atwal, Karnail S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027107	A2	20010419	WO 2000-US27461	20001002
WO 2001027107	A3	20020124		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6887870	B1	20050503	US 2000-669298	20000925
CA 2388813	A1	20010419	CA 2000-2388813	20001002
EP 1224183	A2	20020724	EP 2000-968723	20001002
EP 1224183	B1	20051228		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

BR 2000014725	A	20030617	BR 2000-14725	20001002
HU 2003000195	A2	20030728	HU 2003-195	20001002
HU 2003000195	A3	20030929		
JP 2003527331	T	20030916	JP 2001-530325	20001002
NZ 517668	A	20040924	NZ 2000-517668	20001002
AT 314364	T	20060115	AT 2000-968723	20001002
ES 2254236	T3	20060616	ES 2000-968723	20001002
IN 2002MN00354	A	20050318	IN 2002-MN354	20020322
ZA 2002002479	A	20040727	ZA 2002-2479	20020327
MX 2002003626	A	20030922	MX 2002-3626	20020410
NO 2002001717	A	20020610	NO 2002-1717	20020411
US 20050137216	A1	20050623	US 2005-46993	20050131
US 7326705	B2	20080205		

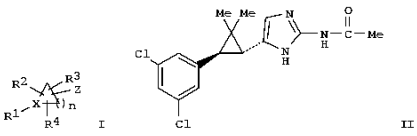
PRIORITY APPLN. INFO.:

US 1999-158755P	P	19991012
US 2000-669298	A3	20000925
WO 2000-US27461	W	20001002

OTHER SOURCE(S): MARPAT 134:311218

GI

L35 ANSWER 199 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

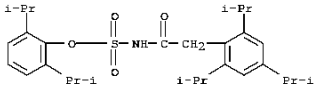


I II

AB Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)3Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding α-chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, β-adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

IT 166518-60-1, Avasimibe
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(pharmaceuticals containing; synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



L35 ANSWER 199 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

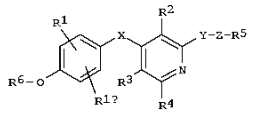
=> D IBIB ABS HITSTR L35 150-174

L35 ANSWER 150 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:912945 CAPLUS
DOCUMENT NUMBER: 139:395820
TITLE: Preparation of pyridine-based selective thyroid receptor β agonists
INVENTOR(S): Zhang, Minsheng; Hangeland, Jon; Caringal, Yolanda; Friends, Todd
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

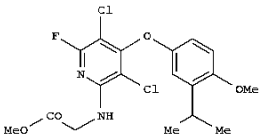
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003094845	A2	20031120	WO 2003-US14222	20030507
WO 2003094845	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003225305	A1	20031111	AU 2003-225305	20030507
US 20040039028	A1	20040226	US 2003-431269	20030507
US 6747048	B2	20040608		
PRIORITY APPLN. INFO.:			US 2002-378497P P	20020508
			WO 2003-US14222 W	20030507

OTHER SOURCE(S): MARPAT 139:395820
GI

L35 ANSWER 150 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



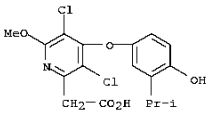
II

AB Novel pyridine-based thyroid receptor ligands (shown as I; variables defined below; e.g. II) and pharmaceutical compns. containing I as selective agonists of thyroid receptor β (no data) are claimed. For I: X is O, S, S(O), SO2, CR8R8' or NR8; Y is NR8, O, CH2 or S; Z is a bond or (un)substituted C1-4 alkyl; addnl. details are given in the claims. A method is provided for preventing, inhibiting or treating diseases or disorders associated with metabolism dysfunction or which are dependent upon the expression of a T3 regulated gene (no data), wherein a compound I is administered in a therapeutically effective amount. Although the methods of preparation are not claimed, 57 example prepns. of I and characterization data for .apprx.200 more I are included.

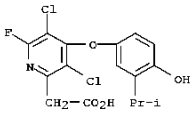
IT 627082-35-3P 627082-38-6P 627083-65-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridine-based selective thyroid receptor β agonists)

RN 627082-35-3 CAPLUS
CN 2-Pyridineacetic acid, 3,5-dichloro-4-[4-hydroxy-3-(1-methylethyl)phenoxy]-6-methoxy- (CA INDEX NAME)

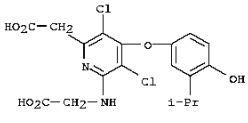
L35 ANSWER 150 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 627082-38-6 CAPLUS
CN 2-Pyridineacetic acid, 3,5-dichloro-6-fluoro-4-[4-hydroxy-3-(1-methylethyl)phenoxy]- (CA INDEX NAME)



RN 627083-65-2 CAPLUS
CN 2-Pyridineacetic acid, 6-[(carboxymethyl)amino]-3,5-dichloro-4-[4-hydroxy-3-(1-methylethyl)phenoxy]- (CA INDEX NAME)



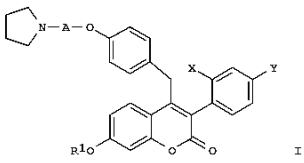
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 151 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:855919 CAPLUS
DOCUMENT NUMBER: 139:350634
TITLE: Preparation of benzopyranone compounds as inhibitors of interleukin 6 release, antitumor agents, etc.
INVENTOR(S): McKie, Jeffrey A.; Bhagwat, Shripad S.; Renaud, Johanne; Missbach, Martin
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA; Novartis A.-G.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003089422	A1	20031030	WO 2003-US12283	20030418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6620838	B1	20030916	US 2002-125965	20020419
US 20040092572	A1	20040513	US 2003-412997	20030414
CA 2482986	A1	20031030	CA 2003-2482986	20030418
AU 2003239155	A1	20031103	AU 2003-239155	20030418
AU 2003239155	B2	20081204		
EP 1497277	A1	20050119	EP 2003-733871	20030418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006504629	T	20060209	JP 2003-586143	20030418
NZ 536291	A	20060929	NZ 2003-536291	20030418
MX 2004010433	A	20050819	MX 2004-10433	20041022
PRIORITY APPLN. INFO.:			US 2002-125965 A	20020419
			US 2003-412997 A	20030414
			WO 2003-US12283 W	20030418

OTHER SOURCE(S): MARPAT 139:350634
GI

L35 ANSWER 151 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

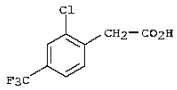


I

AB The title compds. I [A = (CH2)n; n = 2 to 4; R1 = H, COR2, etc.; R2 = alkyl, etc.; X = H, halo, etc.; Y = halo, etc.] are prepared I are useful for treating a bone-resorbing disease, cancer, arthritis or an estrogen-related condition such as breast cancer, osteoporosis, endometriosis, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, and adverse reproductive effects associated with exposure to environmental chems. or natural hormonal imbalances. Compds. of this invention inhibit both MCF-7 breast cancer and BG-1 ovarian carcinoma cell proliferation; they showed IC50 values of 1.4 nM to 13.6 nM against BG-1 ovarian carcinoma cells and IC50 values of 3 nM to 13.6 nM against MCF-7 breast cancer cells.

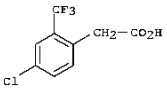
IT 601513-26-2P 601513-31-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzopyranone compds. as inhibitors of interleukin 6 release, and antitumor agents)

RN 601513-26-2 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-(trifluoromethyl)- (CA INDEX NAME)



RN 601513-31-9 CAPLUS
CN Benzeneacetic acid, 4-chloro-2-(trifluoromethyl)- (CA INDEX NAME)

L35 ANSWER 151 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 152 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:818314 CAPLUS
DOCUMENT NUMBER: 139:297051
TITLE: Medicinal composition comprising ACAT inhibitor and insulin resistance improving agent
INVENTOR(S): Inaba, Toshimori; Fujiwara, Toshihiko
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

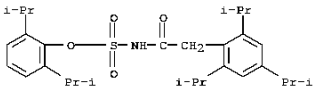
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084572	A1	20031016	WO 2003-JP4296	20030403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481379	A1	20031016	CA 2003-2481379	20030403
AU 2003236365	A1	20031020	AU 2003-236365	20030403
BR 2003008871	A	20050104	BR 2003-8871	20030403
EP 1493448	A1	20050105	EP 2003-745697	20030403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1655822	A	20050817	CN 2003-812567	20030403
JP 2004002365	A	20040108	JP 2003-101076	20030404
US 20050119314	A1	20050602	US 2004-955896	20040930
PRIORITY APPLN. INFO.:			JP 2002-103134 A	20020405
			WO 2003-JP4296 W	20030403

AB It is intended to provide a medicinal composition for preventing or treating arteriosclerosis or diseases caused by arteriosclerosis which comprises an ACAT inhibitor and an insulin resistance improving agent. For example, tablets were formulated containing 5-[[4-[(6-methoxy-1-methyl-1H-benzimidazol-2-yl)methoxy]phenyl]methyl]-2,4-thiazolidinedione hydrochloride 50, N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide hemisulfate 10, lactose 113, starch 25, and Mg stearate 2 mg/tablet.

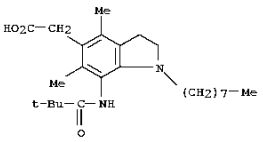
IT 166518-60-1, CI 1011 189198-30-9
608510-47-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal composition comprising ACAT inhibitor and insulin resistance improving agent)

RN 166518-60-1 CAPLUS

L35 ANSWER 152 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



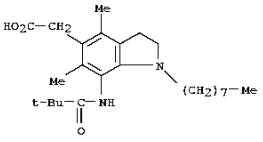
RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)



RN 608510-47-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

CRN 7664-93-9
CMF H2 O4 S

L35 ANSWER 152 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

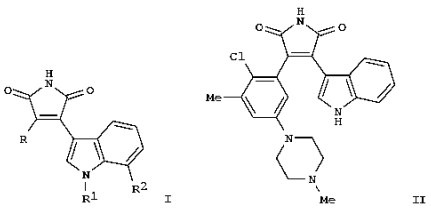
L35 ANSWER 153 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:796695 CAPLUS
DOCUMENT NUMBER: 139:307678
TITLE: Preparation of indolylmaleimides for treating diseases
or disorders mediated by T lymphocytes and/or PKC
INVENTOR(S): Evenou, Jean-Pierre; Von Matt, Peter; Wagner, Juergen;
Zenke, Gerhard
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082859	A1	20031009	WO 2003-EP3470	20030402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2477774	A1	20031009	CA 2003-2477774	20030402
AU 2003224031	A1	20031013	AU 2003-224031	20030402
AU 2003224031	B2	20070628		
EP 1490355	A1	20041229	EP 2003-720413	20030402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008979	A	20050104	BR 2003-8979	20030402
CN 1639153	A	20050713	CN 2003-805343	20030402
CN 100351251	C	20071128		
JP 2005527563	T	20050915	JP 2003-580325	20030402
JP 4247125	B2	20090402		
NZ 535613	A	20070727	NZ 2003-535613	20030402
RU 2340610	C2	20081210	RU 2004-132203	20030402
IN 2004CN02172	A	20060303	IN 2004-CN2172	20040929
MX 2004009632	A	20050111	MX 2004-9632	20041001
US 20050119274	A1	20050602	US 2004-510027	20041001
KR 886521	B1	20090302	KR 2004-715591	20041001
NO 2004004613	A	20041026	NO 2004-4613	20041026
ZA 2004006545	A	20060531	ZA 2004-6545	20060327
US 20070032507	A1	20070208	US 2006-546690	20061012
US 7358253	B2	20080415		
US 20070037826	A1	20070215	US 2006-546693	20061012
US 7235555	B2	20070626		
KR 2007043900	A	20070425	KR 2007-707917	20070406
US 20080108628	A1	20080508	US 2007-977565	20071025
US 20080146578	A1	20080619	US 2008-34675	20080221
US 7534808	B2	20090519		

L35 ANSWER 153 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
PRIORITY APPLN. INFO.:

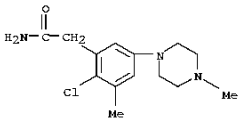
GB 2002-7729	A	20020403
GB 2003-3323	A	20030213
WO 2003-EP3470	W	20030402
KR 2004-715591	A3	20041001
US 2004-510027	A1	20041001
US 2006-546690	A1	20061012

OTHER SOURCE(S): MARPAT 139:307678
GI



AB The title compds. [I; R1 = H, Me, Et, iso-Pr; R2 = H, halo, alkoxy, alkyl;
R = substituted Ph, 1-naphthyl, 4-pyrimidinyl, 4-quinolinyl, 1-isoquinolinyl] which are useful in the treatment and/or prevention of diseases or disorders mediated by T lymphocytes and/or PKC, e.g. acute or chronic rejection of organ or tissue allo- or xenografts, graft vs. host diseases, atherosclerosis, vascular occlusion due to vascular injury such as angioplasty, restenosis, obesity, syndrome X, impaired glucose tolerance, polycystic ovary syndrome, hypertension, heart failure, chronic obstructive pulmonary disease, CNS diseases such as Alzheimer disease or amyotrophic lateral sclerosis, cancer, infectious diseases such as AIDS, septic shock or adult respiratory distress syndrome, ischemia/reperfusion injury e.g. myocardial infraction, stroke, gut ischemia, renal failure or hemorrhage shock, or traumatic shock, e.g. traumatic brain injury, were prepared The
compds. I are also useful in the treatment and/or prevention of T-cell mediated acute or chronic inflammatory diseases or disorders or autoimmune
diseases e.g. rheumatoid arthritis, osteoarthritis, systemic lupus erythematosus, Hashimoto's thyroiditis, multiple sclerosis, myasthenia gravis, diabetes type I or II and the disorders associated therewith, e.g. angiopathy, diabetic proliferative retinopathy, diabetic

L35 ANSWER 153 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
macular edema, nephropathy, neuropathy and dawn phenomenon, respiratory diseases such as asthma or inflammatory lung injury, inflammatory liver injury, inflammatory glomerular injury, cutaneous manifestations of immunol.-mediated disorders or illnesses, inflammatory and hyperproliferative skin diseases (such as psoriasis, atopic dermatitis, allergic contact dermatitis, irritant contact dermatitis and further eczematous dermatitises, seborrheic dermatitis), inflammatory eye diseases, e.g., Sjoegren's syndrome, keratoconjunctivitis or uveitis, inflammatory bowel disease, Crohn's disease or ulcerative colitis. Thus, reacting 2-[2-chloro-3-methyl-5-(4-methylpiperazin-1-yl)phenyl]acetamide (prepn. given) with 3-indoleglyoxylate in the presence of tert-BuOK in THF afforded II. The compds. I showed IC50 of ≤ 1 μM against different isoforms of PKC. Pharmaceutical compn. comprising the compd. I is claimed.
IT 611235-15-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolylmaleimides for treating diseases or disorders mediated by T lymphocytes and/or PKC)
RN 611235-15-5 CAPLUS
CN Benzeneacetamide, 2-chloro-3-methyl-5-(4-methyl-1-piperazinyl)- (CA INDEX
NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

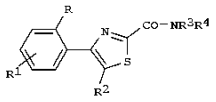
L35 ANSWER 154 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:757691 CAPLUS
DOCUMENT NUMBER: 139:276886
TITLE: Thiazole derivatives having cb1-antagonistic, agonistic or partial agonistic activity
INVENTOR(S): Lange, Josephus H. M.; Kruse, Cornelis G.; Herremans, Arnoldus H. J.; Van Stuivenberg, Herman H.; Dijksman, Jessica A. R.; McCreary, Andrew C.
PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078413	A1	20030925	WO 2003-EP50063	20030317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2462692	A1	20030925	CA 2003-2462692	20030317
AU 2003219164	A1	20030929	AU 2003-219164	20030317
AU 2003219164	B2	20080717		
BR 2003006150	A	20041019	BR 2003-6150	20030317
EP 1492779	A1	20050105	EP 2003-714960	20030317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1592744	A	20050309	CN 2003-801558	20030317
JP 2005529855	T	20051006	JP 2003-576419	20030317
RU 2301804	C2	20070627	RU 2004-114263	20030317
US 20040266841	A1	20041230	US 2004-490546	20040323
US 7342032	B2	20080311		
MX 2004004741	A	20040802	MX 2004-4741	20040519
ZA 2004004742	A	20050829	ZA 2004-4742	20040615
IN 2004CN02038	A	20060224	IN 2004-CN2038	20040914
NO 2004004319	A	20041012	NO 2004-4319	20041012

PRIORITY APPLN. INFO.:
EP 2002-76481 A 20020318
WO 2003-EP50063 W 20030317

OTHER SOURCE(S): MARPAT 139:276886
GI

L35 ANSWER 154 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



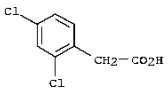
I

AB The present invention relates to a group of thiazole derivs. which are potent antagonists, agonists or partial agonists of the cannabinoid CB1-receptor. The compds. are I wherein R = H and substituents X defined as (un)branched C1-3alkyl or alkoxy, OH, halo, CF3, CF3S, CF3O, NO2, NH2, mono(di)alkylC1-2amino, mono(di)C1-2amido, (un)branched C1-3alkoxycarbonyl, CF3SO2, sulfamoyl, (un)branched alkylC1-3sulfonyl, carboxyl, CN, carbamoyl, (un)branched dialkylC1-3aminosulfonyl, (un)branched monoalkylC1-3aminosulfonyl and acetyl; R1 = H or 1-4 substituents X as defined above; R2 = Ph, thienyl, pyridyl, pyrimidinyl all of which have 1-4 substituents X as defined above; R3 = H, (un)branched C1-10alkyl or cycloalkylalkyl or Ph, PhCH2, PHCH2CH2 in which aromatic rings may have 1-5 substituents Z (Z = (in)dependently C1-3alkyl, alkoxy, OH, halo, CF3, CF3S, CF3O, NO2, NH2, mono(di)C1-2amino, mono(di)alkyl(C1-2)amido, (un)branched C1-3alkylsulfonyl, dimethylsulfamido, carboxyl, CF3SO2, CN, (un)branched alkoxycarbonyl, carbamoyl, sulfamoyl, and acetyl), pyridyl, thienyl; R4 = (un)branched C1-10alkyl or cycloalkylalkyl, (un)branched C1-10alkoxy, C3-8cycloalkyl, C5-10bicycloalkyl, C6-10tricycloalkyl, (un)branched C3-10alkenyl, C5-8cycloalkenyl, which can have ≥1 heteroatoms of O, N, S and which may be substituted with OH, 1-3 Me groups, Et, 1-3F, or R4 = Ph, PhCH2, PhCH2CH2 in which the aromatic rings my have 1-5 substituents Z as defined above, R4 = pyridyl, thienyl etc. For example, 4-chlorobenzyl chloride and 2,4-dichlorobenzonitrile in an Et2O solution containing Mg and I were reacted to give 2-(chlorophenyl)-1-(2,4-dichlorophenyl)ethanone which was brominated with Br and subsequently reacted with Et thiooxamate to give Et 5-(4-chlorophenyl)-4-(2,4-chlorophenyl)thiazole-2-carboxylate which was the reactant for the preparation of a number of compds. 5-(4-Chlorophenyl)-4-(2,4-chlorophenyl)thiazole-2-carboxylate reacted with 1-aminopiperidine to give 5-(4-chlorophenyl)-4-(2,4-chlorophenyl)-N-(1-piperidinyl)thiazole-2-carboxamide.

IT 19719-28-9, 2,4-Dichlorophenylacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazole derivs. as cb1 antagonists)

RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)

L35 ANSWER 154 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 155 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:757688 CAPLUS
DOCUMENT NUMBER: 139:261306
TITLE: Preparation of 3-[4-[(2S)-4-methyl-3,4-dihydro-2H-1,4-benzoxazin-2-ylmethoxy]benzoylamino]phenylacetic acid derivatives as prostaglandin DP receptor antagonists
INVENTOR(S): Iwahashi, Maki; Kobayashi, Kaoru; Nambu, Fumio
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078409	A1	20030925	WO 2003-JP2635	20030306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479352	A1	20030925	CA 2003-2479352	20030306
AU 2003221325	A1	20030929	AU 2003-221325	20030306
EP 1486491	A1	20041215	EP 2003-710260	20030306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008518	A	20050222	BR 2003-8518	20030306
CN 1656085	A	20050817	CN 2003-811495	20030306
CN 100378083	C	20080402		
NZ 535309	A	20060526	NZ 2003-535309	20030306
RU 2329256	C2	20080720	RU 2004-130837	20030306
ZA 2004007461	A	20050701	ZA 2004-7461	20040916
NO 2004003894	A	20041217	NO 2004-3894	20040917
MX 2004009095	A	20041206	MX 2004-9095	20040920
US 20050222216	A1	20051006	US 2005-507885	20050517
US 7351705	B2	20080401		

PRIORITY APPLN. INFO.:
JP 2002-76456 A 20020319
WO 2003-JP2635 W 20030306

OTHER SOURCE(S): MARPAT 139:261306
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

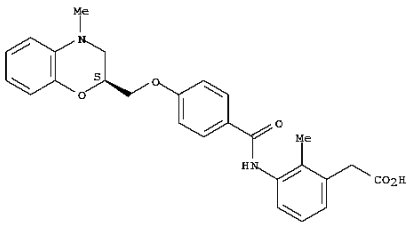
AB The title benzoxazine derivs. with general formula of I [wherein R1 = H, alkyl, alkenyl, or PhCH2; E = CO, SO2, or CH2; R2 and R3 = independently halo, alkoxy, OH, trihalomethyl, CN, Ph, Py, NO2, or (un)substituted

L35 ANSWER 155 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
alkyl; R4 = H, alkyl, or PhCH2; R5 = alkoxy, halo, OH, trihalomethyl, NO2,
Ph, PhO, oxo, acyl, CN, (un)substituted alkyl, amino, or SO2H; ring W and ring J = independently (hetero)cyclohydrocarbyl; G = alkylene, alkenylene,
or alkynylene, etc.; m = 0-4; n = 0-4; p = 0-11; etc.] are prepd. as prostaglandin DP receptor antagonists. I are useful in preventing and/or treating allergic diseases (allergic nephritis, allergic conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, etc.), systemic mast cell disease, systemic mast cell activation failure, anaphylactic shock, respiratory tract contraction, urticaria, eczema, diseases assocd. with itch (atopic dermatitis, urticaria, etc.), diseases (cataract, retinal detachment, inflammation, infection, sleep disorder, etc.) secondarily caused by behaviors assocg. itch (scratching, beating, etc.), inflammation, chronic obstructive pulmonary disease, ischemic reperfusion injury, cerebrovascular disorder, rheumatoid arthritis, pleuritis, ulcerative colitis, and so on (no data). For example, 3-aminophenylacetic acid Me ester (prepn. given) was reacted with 4-[(2S)-4-methyl-3,4-dihydro-2H-1,4-benzoxazin-2-ylmethoxy]benzoyl chloride (prepn. given) in CH2Cl2 in the presence of pyridine to give II. I showed affinity towards prostaglandin DP receptor with Ki of <10 μM in guinea pig. Formulations contg. I as an active ingredient were also described.

IT 603107-40-0P 603107-43-3P 603107-79-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminophenylacetic acid derivs. as prostaglandin DP receptor antagonists)

RN 603107-40-0 CAPLUS
CN Benzeneacetic acid, 3-[[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]amino]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

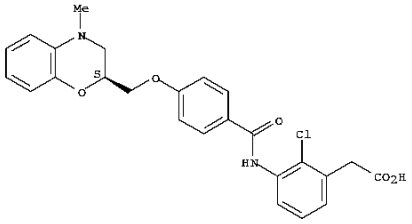


L35 ANSWER 155 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 155 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

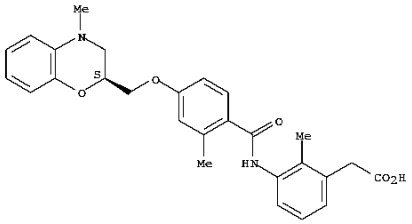
RN 603107-43-3 CAPLUS
CN Benzeneacetic acid, 2-chloro-3-[[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 603107-79-5 CAPLUS
CN Benzeneacetic acid, 3-[[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]-2-methylbenzoyl]amino]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



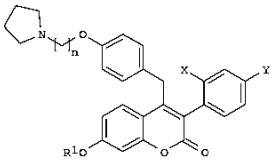
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

L35 ANSWER 156 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:730534 CAPLUS
DOCUMENT NUMBER: 139:261167
TITLE: Preparation of benzopyranones for inhibiting interleukin-6
INVENTOR(S): Mckie, Jeffrey A.; Bhagwat, Shripad S.; Renaud, Johanne; Missbach, Martin
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6620838	B1	20030916	US 2002-125965	20020419
US 20040092572	A1	20040513	US 2003-412997	20030414
CA 2482986	A1	20031030	CA 2003-2482986	20030418
WO 2003089422	A1	20031030	WO 2003-US12283	20030418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003239155	A1	20031103	AU 2003-239155	20030418
AU 2003239155	B2	20081204		
EP 1497277	A1	20050119	EP 2003-733871	20030418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1659159	A	20050824	CN 2003-813696	20030418
CN 100436440	C	20081126		
JP 2006504629	T	20060209	JP 2003-586143	20030418
NZ 536291	A	20060929	NZ 2003-536291	20030418
ZA 2004008662	A	20070131	ZA 2004-8662	20030418
MX 2004010433	A	20050819	MX 2004-10433	20041022
US 20070015817	A1	20070118	US 2006-523373	20060918
PRIORITY APPLN. INFO.:			US 2002-125965	A2 20020419
			US 2003-412997	A 20030414
			WO 2003-US12283	W 20030418

OTHER SOURCE(S): MARPAT 139:261167
GI

L35 ANSWER 156 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



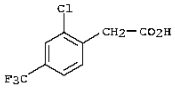
I

AB The title benzopyranones [I; n = 2-4; R1 = H, COR2, CO2R2, etc.; R2 = alkyl, aryl, arylalkyl, etc.; X = H, halo, CF3; Y = halo, CF3], useful for treating a bone-resorbing disease, cancer, arthritis or an estrogen-related condition such as breast cancer, osteoporosis and endometriosis, were prepared E.g., a 4-step synthesis of I [n = 2; R1 = H; X = Cl; Y = CF3] (starting from tert-Bu acetate and 3-chloro-4-iodobenzotrifluoride) which showed IC50 of 0.4 nM against IL-6, was given. The compds. I, wherein R1 = H, can be prepared by demethylation of the corresponding phenolic Me ether. Pharmaceutical composition comprising the compound I was claimed.

IT 601513-26-2P 601513-31-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzopyranones for inhibiting interleukin-6)

RN 601513-26-2 CAPLUS

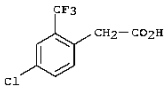
CN Benzeneacetic acid, 2-chloro-4-(trifluoromethyl)- (CA INDEX NAME)



RN 601513-31-9 CAPLUS

CN Benzeneacetic acid, 4-chloro-2-(trifluoromethyl)- (CA INDEX NAME)

L35 ANSWER 156 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 157 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:656587 CAPLUS
DOCUMENT NUMBER: 139:197374
TITLE: Preparation of nicotinamides useful as PDE4 inhibitors for treating diseases including inflammatory, allergic and respiratory diseases
INVENTOR(S): Bailey, Simon; Gautier, Elisabeth Colette Louise; Henderson, Alan John; Magee, Thomas Victor; Marfat, Anthony; Mathias, John Paul; McLeod, Dale Gordon; Monaghan, Sandra Marina; Stammen, Blanda Luzia Christa
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

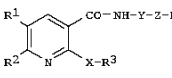
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068235	A1	20030821	WO 2003-IB439	20030203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475712	A1	20030821	CA 2003-2475712	20030203
AU 2003245711	A1	20030904	AU 2003-245711	20030203
EP 1476158	A1	20041117	EP 2003-739392	20030203
EP 1476158	B1	20071114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007564	A	20041221	BR 2003-7564	20030203
JP 2005522450	T	20050728	JP 2003-567417	20030203
CN 1652782	A	20050810	CN 2003-808186	20030203
NZ 534197	A	20070126	NZ 2003-534197	20030203
AT 378049	T	20071115	AT 2003-739392	20030203
ES 2292988	T3	20080316	ES 2003-739392	20030203
US 20030220361	A1	20031127	US 2003-360100	20030206
US 20030220366	A1	20031127	US 2003-361062	20030206
US 6949573	B2	20050927		
US 20040224975	A1	20041111	US 2004-865263	20040609
US 7060717	B2	20060613		
IN 2004DN02070	A	20050401	IN 2004-DN2070	20040719
MX 2004007737	A	20041015	MX 2004-7737	20040810
NO 2004003793	A	20041021	NO 2004-3793	20040910
US 20060014780	A1	20060119	US 2005-229395	20050916
ZA 2004005803	A	20060531	ZA 2004-5803	20060316

PRIORITY APPLN. INFO.: GB 2002-3196 A 20020211
GB 2002-20999 A 20020910

L35 ANSWER 157 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

GB 2002-24453	A	20021021
GB 2002-27139	A	20021120
US 2002-361991P	P	20020305
GB 2002-20984	A	20020910
US 2002-414247P	P	20020926
US 2002-414304P	P	20020926
GB 2002-24454	A	20021021
US 2002-425406P	P	20021112
US 2002-425474P	P	20021112
GB 2002-27140	A	20021120
US 2002-433330P	P	20021213
US 2002-433336P	P	20021213
WO 2003-IB439	W	20030203
US 2003-361062	A3	20030206
US 2004-865263	A1	20040609

OTHER SOURCE(S): MARPAT 139:197374
GI



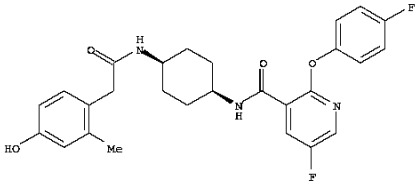
I

AB The invention relates to nicotinamides (shown as I; variables defined below; e.g. anti-2-(benzo[1,3]dioxol-5-yloxy)-N-[4-(2-hydroxybenzoylamino)cyclohexyl]nicotinamide) and to processes for the preparation of, intermediates used in the preparation of, compns. containing and the uses of, such derivs. The nicotinamide derivs. according to the present invention are phosphodiesterase-4 inhibitors and are useful in numerous diseases, disorders and conditions, in particular inflammatory, allergic, respiratory diseases, disorders and conditions, as well as wounds. For I:

R1 and R2 = H, halo, cyano, (C1-C4)alkyl and (C1-C4)alkoxy; X is -O-, -S- or -NH-; R3 = Ph, naphthyl, heteroaryl and (C3-C8)cycloalkyl or the bicyclic groups benzodioxol-5-yl, benzofuran-5-yl, benzofuran-6-yl, indan-5-yl; Y = 4-HNcyclohexyl, piperidin-1,4-diyl,

L35 ANSWER 157 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
8-azabicyclo[3.2.1]octane-3,8-diyl, and 4-R5Ncyclohexyl wherein in each the N is bonded to Z in I and R5 = (C1-C4)alkyl and phenyl(C1-C4)alkyl.
Z
= C(O), C(O)NH, SO2, SO2NH, C(O)CH2NHSO2, SO2NHC(O), C(O)CH2NHC(O)
wherein
the left end is bonded to Y and the other end to R4; or alternatively Y-Z together = 4-NHC(O)cyclohexyl; R4 = Ph, naphthyl heteroaryl and (C3-C8)cycloalkyl, (un)substituted (C1-C6)alkyl; addnl. details including provisos are given in the claims. The antiinflammatory properties of 72 examples of I are demonstrated by their ability to inhibit TNFα release from human peripheral blood mononuclear cells, e.g. IC50 = 0.014 nM for syn-2-(3,4-difluorophenoxy)-5-fluoro-N-[4-(2-hydroxy-5-methylbenzoylamino)cyclohexyl]nicotinamide. About 200 example prepns. of I and 75 of intermediates are included. For example, to prep. anti-2-[(benzo[1,3]dioxol-5-yl)oxy]-N-[4-[(2-hydroxybenzoyl)amino]cyclohexyl]nicotinamide (160.7 mg), 2-hydroxybenzoic acid (0.767 mmol), 1-hydroxybenzotriazole hydrate (1.15 mmol) and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (1.15 mmol) were stirred in DMF (5 mL) under an atm. of N2 at room temp. for 1.5 h. Anti-N-(4-aminocyclohexyl)-2-[(benzo[1,3]dioxol-5-yl)oxy]nicotinamide hydrochloride (0.767 mmol; prepn. given) and N-methylmorpholine (0.767 mmol) were then added, and the reaction mixt. stirred at room temp. for a further 18 h.
IT 582331-11-1P, 5-Fluoro-N-[cis-4-[[(4-hydroxy-2-methylphenyl)acetyl]amino]cyclohexyl]-2-(4-fluorophenoxy)nicotinamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of nicotinamides useful as PDE4 inhibitors for
treating diseases including inflammatory, allergic and respiratory diseases)
RN 582331-11-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-fluoro-2-(4-fluorophenoxy)-N-[cis-4-[[2-(4-hydroxy-2-methylphenyl)acetyl]amino]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD

L35 ANSWER 157 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(6 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 158 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:656585 CAPLUS
DOCUMENT NUMBER: 139:197373
TITLE: Nicotinamide PDE4 inhibitors in combination with tiotropium muscarinic receptor antagonists for treating inflammatory, allergic and respiratory diseases
INVENTOR(S): Bailey, Simon; Gautier, Elisabeth Colette Louise; Henderson, Alan John; Mathias, John Paul; McLeod, Dale
Gordon, Monaghan, Sandra Marina; Stammen, Blanda Luzia
Christa
PATENT ASSIGNEE(S): Pfizer Limited, UK; Magee, Thomas Victor; Marfat, Anthony; Pfizer Inc.; et al.
SOURCE: PCT Int. Appl., 254 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

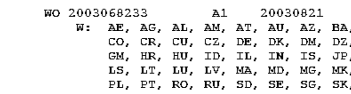
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068233	A1	20030821	WO 2003-IB378	20030203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003201745	A1	20030904	AU 2003-201745	20030203
US 20030220361	A1	20031127	US 2003-360100	20030206
US 20030220366	A1	20031127	US 2003-361062	20030206
US 6949573	B2	20050927		
US 20040224975	A1	20041111	US 2004-865263	20040609
US 7060717	B2	20060613		
US 20060014780	A1	20060119	US 2005-229395	20050916
ZA 2004005803	A	20060531	ZA 2004-5803	20060316

PRIORITY APPLN. INFO.:		
GB 2002-3196	A	20020211
GB 2002-20984	A	20020910
GB 2002-24454	A	20021021
GB 2002-27140	A	20021120
US 2002-361991P	P	20020305
GB 2002-20999	A	20020910
US 2002-414247P	P	20020926
US 2002-414304P	P	20020926

L35 ANSWER 158 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

GB 2002-24453	A	20021021
US 2002-425406P	P	20021112
US 2002-425474P	P	20021112
GB 2002-27139	A	20021120
US 2002-433330P	P	20021213
US 2002-433336P	P	20021213
WO 2003-IB378	W	20030203
US 2003-361062	A3	20030206
US 2004-865263	A1	20040609

OTHER SOURCE(S): MARPAT 139:197373
GI

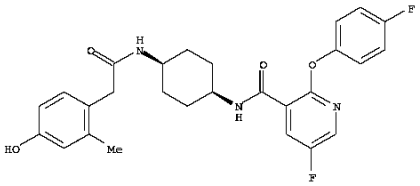


I

AB The invention relates to a combination of nicotinamides (shown as I; variables defined below; e.g. anti-2-(benzo[1,3]dioxol-5-yloxy)-N-[4-(2-hydroxybenzoylamino)cyclohexyl]nicotinamide) and tiotropium or a derivative
thereof, compns. containing them and the uses of, such combinations. The nicotinamide deriva. according to the present invention are phosphodiesterase-4 inhibitors and are useful in numerous diseases, disorders and conditions, in particular inflammatory, allergic, respiratory diseases, disorders and conditions, as well as wounds. For I:
R1 and R2 = H, halo, cyano, (C1-C4)alkyl and (C1-C4)alkoxy; X is -O-, -S- or -NH-; R3 = Ph, naphthyl, heteroaryl and (C3-C8)cycloalkyl or the bicyclic groups benzodioxol-5-yl, benzofuran-5-yl, benzofuran-6-yl, indan-5-yl; Y = 4-HNcyclohexyl, piperidin-1,4-diyl, 8-azabicyclo[3.2.1]octane-3,8-diyl, and 4-R5Ncyclohexyl wherein in each the N is bonded to Z in I and R5 = (C1-C4)alkyl and phenyl(C1-C4)alkyl.
Z
= C(O), C(O)NH, SO2, SO2NH, C(O)CH2NHSO2, SO2NHC(O), C(O)CH2NHC(O)
wherein
the left end is bonded to Y and the other end to R4; or alternatively Y-Z together = 4-NHC(O)cyclohexyl; R4 = Ph, naphthyl heteroaryl and (C3-C8)cycloalkyl, (un)substituted (C1-C6)alkyl; addnl. details including provisos are given in the claims. The antiinflammatory properties of 72 examples of I are demonstrated by their ability to inhibit TNFα release from human peripheral blood mononuclear cells, e.g. IC50 = 0.014 nM for syn-2-(3,4-difluorophenoxy)-5-fluoro-N-[4-(2-hydroxy-5-methylbenzoylamino)cyclohexyl]nicotinamide. About 200 example prepns. of I and 75 of intermediates, the same as in WO 03/068235 A1, are included.

L35 ANSWER 158 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 582331-11-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; nicotinamide PDE4 inhibitors in combination with tiotropium muscarinic receptor antagonists for treating inflammatory, allergic and respiratory diseases)
RN 582331-11-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-fluoro-2-(4-fluorophenoxy)-N-[cis-4-[[2-(4-hydroxy-2-methylphenyl)acetyl]amino]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 159 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:656421 CAPLUS
DOCUMENT NUMBER: 139:197489
TITLE: Preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents
INVENTOR(S): Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 81 pp., Cont.-in-part of U.S. Ser. No. 153,454.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030158232	A1	20030821	US 2002-294525	20021114
US 6967212	B2	20051122		
US 20030092736	A1	20030515	US 2002-153454	20020522
US 20050124661	A1	20050609	US 2004-12810	20041215
PRIORITY APPLN. INFO.:			US 2001-294380P	P 20010530
			US 2002-153454	A2 20020522
			US 2002-294525	A3 20021114

OTHER SOURCE(S): MARPAT 139:197489
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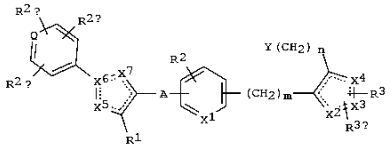

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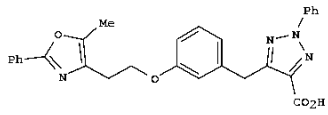
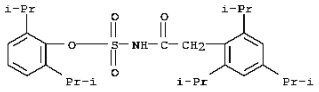


II

L35 ANSWER 159 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH2)x, (CH2)x1, (CH2)x2O(CH2)x3; x = 1-5; x1 = 2-5; x2, x3 = 0-5; ≥1 of x2, x3 ≠ 0; X1 = CH, N; X2, X3, X4, X5, X7 = C, N, O, S; in each of X1-X7, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b and R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3, R3a = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, etc.; Y = CO2R4, 1-tetrazolyl, P(O)(OR4a)R5, P(O)(OR4a)2; R4 = H, alkyl, prodrug ester; R4a = H, prodrug ester; R5 = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor-γ (PPARγ) and stimulators of peroxisome proliferator activated receptor-α (PPARα). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPARα and to PPARγ ligand binding domains with IC50 = 69 nM.
IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



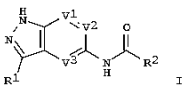
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 160 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:610427 CAPLUS
DOCUMENT NUMBER: 139:164790
TITLE: Preparation of indazoles as protein kinase inhibitors
INVENTOR(S): Binch, Hayley; Brenchley, Guy; Golec, Julian M. C.; Knegtel, Ronald; Mortimore, Michael; Patel, Sanjay; Rutherford, Alistair
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064397	A1	20030807	WO 2003-US2096	20030123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473986	A1	20030807	CA 2003-2473986	20030123
US 20040009968	A1	20040115	US 2003-350806	20030123
US 7041687	B2	20060509		
EP 1467972	A1	20041020	EP 2003-708869	20030123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005524631	T	20050818	JP 2003-564020	20030123
CN 1812973	A	20060802	CN 2003-805612	20030123
AU 2003212833	B2	20080221	AU 2003-212833	20030123
MX 2004007126	A	20050331	MX 2004-7126	20040723
NO 2004003531	A	20041025	NO 2004-3531	20040824
JP 2006176530	A	20060706	JP 2006-1918	20060106
AU 2008202214	A1	20080605	AU 2008-202214	20080520
PRIORITY APPLN. INFO.:			US 2002-351597P	P 20020125
			AU 2003-212833	A3 20030123
			JP 2003-564020	A3 20030123
			WO 2003-US2096	W 20030123

OTHER SOURCE(S): MARPAT 139:164790
GI

L35 ANSWER 160 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

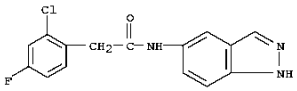


I

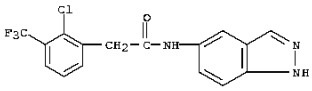
AB The title compds. [I; R1 = halo, CN, (un)substituted NH2, etc.; R2 = (CH2)2Ph, (un)substituted CH2Ph, CH2(1- or 2-naphthyl), etc.; V1-V3 = N, (un)substituted CH; with proviso] and pharmaceutically acceptable salts which are inhibitors of protein kinase, particularly inhibitors of AKT, PKA, PDK1, p70S6K, or ROCK kinase, mammalian protein kinases involved in proliferative and neurodegenerative disorders, were prepared Thus, reacting 5-aminoindazole with 3-chlorophenylacetic acid in the presence of HOBt, EDC.HCl and N-methylmorpholine in DMF afforded 42% 2-(3-chlorophenyl)-N-(1H-indazol-5-yl)acetamide which showed Ki of < 1 μM against ROCK kinase.

IT 574725-29-4P 574725-30-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazoles as protein kinase inhibitors)

RN 574725-29-4 CAPLUS
CN Benzeneacetamide, 2-chloro-4-fluoro-N-1H-indazol-5-yl- (CA INDEX NAME)



RN 574725-30-7 CAPLUS
CN Benzeneacetamide, 2-chloro-N-1H-indazol-5-yl-3-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

L35 ANSWER 160 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 161 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:202511 CAPLUS
DOCUMENT NUMBER: 138:226765
TITLE: Medicinal compositions containing angiotensin II receptor antagonists
INVENTOR(S): Sada, Toshio; Inaba, Toshimori
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

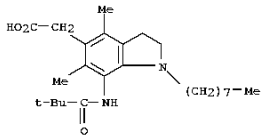
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020315	A1	20030313	WO 2002-JP8629	20020827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2459017	A1	20030313	CA 2002-2459017	20020827
AU 2002328569	A1	20030318	AU 2002-328569	20020827
AU 2002328569	B2	20050922		
AU 2002328569	B9	20051027		
JP 2003146907	A	20030521	JP 2002-246112	20020827
EP 1421953	A1	20040526	EP 2002-762874	20020827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012254	A	20041019	BR 2002-12254	20020827
HU 2004001696	A2	20041129	HU 2004-1696	20020827
CN 1589154	A	20050302	CN 2002-821364	20020827
NZ 531346	A	20051028	NZ 2002-531346	20020827
IN 2004KN00235	A	20060407	IN 2004-KN235	20040219
US 20040198788	A1	20041007	US 2004-789340	20040226
ZA 2004001603	A	20041019	ZA 2004-1603	20040226
MX 2004001878	A	20040615	MX 2004-1878	20040227
NO 2004001291	A	20040527	NO 2004-1291	20040326
PRIORITY APPLN. INFO.:			JP 2001-257435 A	20010828
			WO 2002-JP8629 W	20020827

AB Disclosed are medicinal compns. for administering an angiotensin II receptor antagonist and an ACAT inhibitor either at the same time or sep. at a certain interval. The compns. are effective for the prevention and treatment of arteriosclerosis and cardiac ischemia. For example, tablets were formulated containing olmesartan 50, N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide 10, lactose 113, starch 25, and Mg stearate 2 mg/each.

IT 189198-30-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L35 ANSWER 161 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(medicinal compns. contg. angiotensin II receptor antagonist and ACAT inhibitor)

RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)



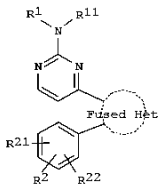
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 162 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:5951 CAPLUS
DOCUMENT NUMBER: 138:73265
TITLE: Preparation of (pyrimidyl)(phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compounds with therapeutic uses
INVENTOR(S): Biftu, Tesfaye; Colletti, Steven L.; Mcintyre, Charles J.; Schmatz, Dennis M.; Feng, Dennis D.; Doherty, James B.; Liang, Gui-Bai; Liverton, Nigel J.; Beresis, Richard; Berger, Richard; Claremon, David A.; Kovacs, Ernest W.; Qian, Xiaoxia
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000682	A1	20030103	WO 2002-US19507	20020621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2450555	A1	20030103	CA 2002-2450555	20020621
AU 2002322273	A1	20030108	AU 2002-322273	20020621
US 20040176396	A1	20040909	US 2003-477367	20031112
US 7196095	B2	20070327		
PRIORITY APPLN. INFO.:			US 2001-300748P P	20010625
			WO 2002-US19507 W	20020621

OTHER SOURCE(S): MARPAT 138:73265
GI

L35 ANSWER 162 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

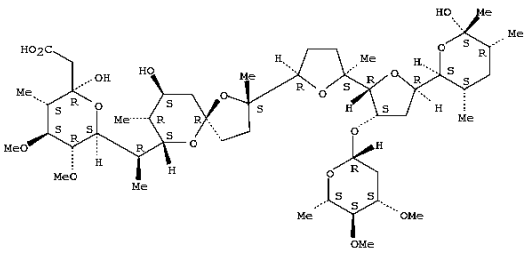
AB (pyrimidyl)(phenyl)substituted fused heteroaryl compds. (shown as I; variables define below; e.g. (2-(4-fluorophenyl)-3-(2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl)imidazo[1,2-a]pyridin-7-yl)methanol) and pharmaceutically acceptable salts thereof are useful in the treatment of cytokine mediated diseases such as arthritis and in the treatment and/or prevention of protozoal diseases such as coccidiosis. I suppress TNF-α in monocytes and also IL-1β, IL-6 and PGE2 production with IC50 <5 μM. The 'Fused Het' in I may be optionally substituted radicals derived from imidazo[1,2-a]pyridine, imidazo[1,2-a]pyrimidine, imidazo[2,1-b]thiazole, benzimidazole, etc. R1 is H, -C1-6alkyl, -C(O)(C1-6alkyl), -C(O)-C1-6-alkylaryl, -C0-4alkylaryl, -C0-4alkylindanyl, -C0-4alkylimidazolyl, -C0-4alkylthiazolyl, -C0-4alkylpyrazolyl, -C0-4alkyloxadiazolyl, -C0-4-alkyl-C3-6-cycloalkyl, -C0-4alkyl-C1-4-alkoxy, -C1-4-alkyl-N(C0-4-alkyl)(-C0-4-alkyl), -C1-4-alkyl-N(-C0-4alkyl)-CO-C1-4-alkoxy, -C1-4-alkylpiperidinyl, -C0-4alkyltriazolyl, -C1-4-alkylimidazothiazolyl, -C1-4-alkylbenzimidazolyl, -C1-4-alkylbenzothiazolyl, -C1-4-alkylbenzotetrahydrofuranyl, -C1-4-alkylbenzodioxolyl, -C1-4-alkyl-(heterocycloC4O2alkyl), -C1-4-alkyl-(heterocycloC5O1alkyl), -C1-4-alkyltetrahydrofuran, or -C1-4-alkyloxetanyl; R11 is H or -C1-6-alkyl; or R1 and R11, together with the N to which they are attached, form a morpholinyl; R2, R21, R22 each independently is H, halogen, or -C1-4alkyl;. Although the methods of preparation are not claimed, many example prepns. are included.

IT 84878-61-5, Maduramicin 113378-31-7, Semduramicin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined with (pyrimidyl)(phenyl)substituted fused heteroaryl compds. as anticoccidial agents for poultry)

RN 84878-61-5 CAPLUS
CN 2H-Pyran-2-acetic acid, 6-[(1R)-1-[(2S,5R,7S,8R,9S)-2-[(2S,2'R,3'S,5R,5'R)-3'-[(2,6-dideoxy-3,4-di-O-methyl-β-L-arabino-hexopyranosyl)oxy]octahydro-2-methyl-5'-[(2S,3S,5R,6S)-tetrahydro-6-hydroxy-3,5,6-trimethyl-2H-pyran-2-yl][2,2'-bifuran]-5-yl]-9-hydroxy-2,8-dimethyl-1,6-dioxaspiro[4.5]dec-7-yl]ethyl]tetrahydro-2-hydroxy-4,5-dimethoxy-3-methyl-, ammonium salt (1:1), (2R,3S,4S,5R,6S)- (CA INDEX

L35 ANSWER 162 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
NAME)

Absolute stereochemistry.

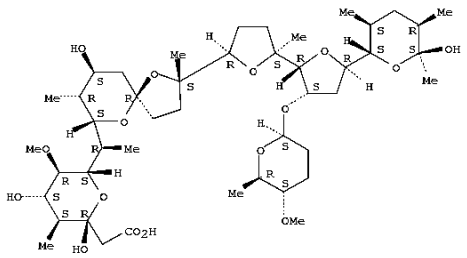


● NH_3

RN 113378-31-7 CAPLUS
CN 2H-Pyran-2-acetic acid, tetrahydro-2,4-dihydroxy-6-[(1R)-1-[(2S,5R,7S,8R,9S)-9-hydroxy-2,8-dimethyl-2-[(2S,2'R,3'S,5R,5'R)-octahydro-2-methyl-5'-[(2S,3S,5R,6S)-tetrahydro-6-hydroxy-3,5,6-trimethyl-2H-pyran-2-yl]-3'-[[(2S,5S,6R)-tetrahydro-5-methoxy-6-methyl-2H-pyran-2-yl]oxy][2,2'-bifuran]-5-yl]-1,6-dioxaspiro[4.5]dec-7-yl]ethyl]-5-methoxy-3-methyl-, (2R,3S,4S,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 162 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



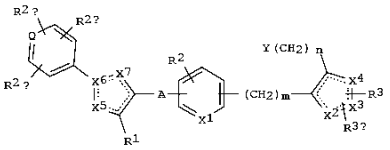
OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 163 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:927185 CAPLUS
DOCUMENT NUMBER: 138:24716
TITLE: Preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents
INVENTOR(S): Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096358	A2	20021205	WO 2002-US16633	20020523
WO 2002096358	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2449160	A1	20021205	CA 2002-2449160	20020523
AU 2002259306	A1	20021209	AU 2002-259306	20020523
AU 2002259306	B2	20070208		
EP 1390363	A2	20040225	EP 2002-729306	20020523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TR 200400650	T3	20040621	TR 2004-650	20020523
HU 2004001504	A2	20041129	HU 2004-1504	20020523
HU 2004001504	A3	20080528		
JP 2004536070	T	20041202	JP 2002-592871	20020523
TW 235061	B	20050701	TW 2002-91111100	20020524
MX 2003010997	A	20040227	MX 2003-10997	20031128
NO 327089	B1	20090420	NO 2003-5312	20031128
PRIORITY APPLN. INFO.:			US 2001-294380P P	20010530
			WO 2002-US16633 W	20020523

OTHER SOURCE(S): MARPAT 138:24716
GI

L35 ANSWER 163 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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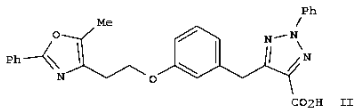
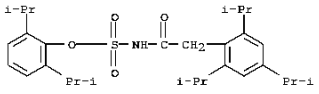


II

AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH2)x, (CH2)x1, (CH2)x2O(CH2)x3; x = 1-5; x1 = 2-5; x2, x3 = 0-5; ≥1 of x2, x3 ≠ 0; X1 = CH, N; X2, X3, X4, X5, X7 = C, N, O, S; in each of X1-X7, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b and R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3, R3a = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, alkyl(halo)aryloxycarbonyl, alkoxy(halo)aryloxycarbonyl, cycloalkylaryloxycarbonyl, cycloalkyloxyaryloxycarbonyl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, heteroarylheteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroaryloxycarbonyl, cycloheteroalkyloxycarbonyl, heteroarylalkyl, aminocarbonyl, substituted aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aryloxyarylalkyl, alkynyloxycarbonyl, haloalkoxyaryloxycarbonyl, alkoxycarbonylaryloxycarbonyl, aryloxyaryloxycarbonyl, arylsulfinylarylcarbonyl, etc.; Y = CO2R4, 1-tetrazolyl, P(O)(OR4a)R5, P(O)(OR4a)2; R4 = H, alkyl, prodrug ester; R4a = H, prodrug ester; R5 = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor-γ (PPARγ) and stimulators of peroxisome proliferator activated receptor-α (PPARα). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPARα and to PPARγ ligand binding domains with IC50 = 69 nM.

IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

L35 ANSWER 163 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



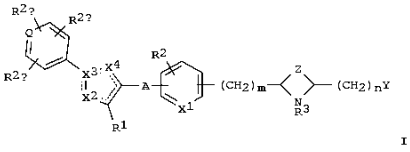
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 164 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:927184 CAPLUS
DOCUMENT NUMBER: 138:14048
TITLE: Preparation of oxazolylethoxyphenylprolines and related compounds as antidiabetic and antiobesity agents.
INVENTOR(S): Cheng, Peter T.; Jeon, Yoon; Wang, Wei
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096357	A2	20021205	WO 2002-US16628	20020523
WO 2002096357	A3	20030925		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030092697	A1	20030515	US 2002-153342	20020522
US 7105556	B2	20060912		
CA 2449006	A1	20021205	CA 2002-2449006	20020523
AU 2002310141	A1	20021209	AU 2002-310141	20020523
EP 1401433	A2	20040331	EP 2002-737192	20020523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005506954	T	20050310	JP 2002-592870	20020523
HU 2006000226	A2	20061128	HU 2006-226	20020523
US 20060189598	A1	20060824	US 2006-406799	20060419
US 7452907	B2	20081118		
PRIORITY APPLN. INFO.:			US 2001-294505P P	20010530
			US 2002-153342 A3	20020522
			WO 2002-US16628 W	20020523

OTHER SOURCE(S): MARPAT 138:14048
GI

L35 ANSWER 164 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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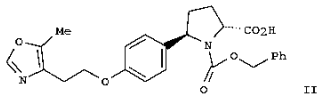


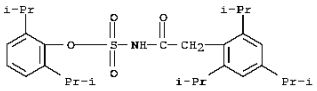
II

AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH2)x, (CH2)x1, with an alkenyl or alkynyl bond in the chain, (CH2)x2O(CH2)x3; x = 1-5; x1 = 2-5; x2, x3 = 0-5; provided that ≥1 of x2 and x3 ≠ 0; X1 = CH, N; X2 = C, N, O, S; X3 = C, N; X4 = C, N, O, S provided that ≥1 of X2, X3, X4 = N; in each of X1-X4, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3 = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, heteroaryloxycarbonylamino, heteroarylheteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroaryloxycarbonyl, cycloheteroalkyloxycarbonyl, aryloxyheteroarylalkyl, heteroarylalkyloxyarylalkyl, arylarylalkyl, arylalkenylarylalkyl, arylaminoarylalkyl, etc.; Y = CO2R4, 1-tetrazolyl, P(O)(OR4a)R5, P(O)(OR4a)2; R4 = H, alkyl, prodrug ester; R4a = H, prodrug ester; R5 = alkyl, aryl; Z = (CH2)x4, (CH2)x5, (CH2)x6O(CH2)x7; x4 = 1-5; x5 = 2-5; x6, x7 = 0-4], were prepared as antidiabetic and antiobesity agents (no data). Thus, the title compound (II) was prepared in 6 steps.

IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of oxazolylethoxyphenylprolines and related compds. as antidiabetic and antiobesity agents)

RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)

L35 ANSWER 164 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

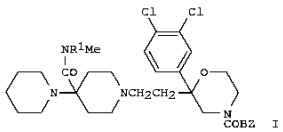
L35 ANSWER 165 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:906215 CAPLUS
DOCUMENT NUMBER: 137:384848
TITLE: Novel piperidinylpiperidinecarboxamides as antagonists of human neurokinin receptors
INVENTOR(S): Emonds-alt, Xavier; Proietto, Vincenzo
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094821	A1	20021128	WO 2002-FR1663	20020517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2824828	A1	20021122	FR 2001-6691	20010521
FR 2824828	B1	20050520		
CA 2445631	A1	20021128	CA 2002-2445631	20020517
AU 2002313065	A1	20021203	AU 2002-313065	20020517
AU 2002313065	B2	20070628		
EE 200300553	A	20040216	EE 2003-553	20020517
EP 1395582	A1	20040310	EP 2002-738257	20020517
EP 1395582	B1	20050504		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009877	A	20040608	BR 2002-9877	20020517
CN 1518549	A	20040804	CN 2002-812452	20020517
CN 1249059	C	20060405		
JP 2004529968	T	20040930	JP 2002-591494	20020517
HU 2004001563	A2	20041228	HU 2004-1563	20020517
AT 294798	T	20050515	AT 2002-738257	20020517
NZ 529206	A	20050930	NZ 2002-529206	20020517
ES 2242032	T3	20051101	ES 2002-738257	20020517
IL 158660	A	20080106	IL 2002-158660	20020517
TW 258480	B	20060721	TW 2002-91110506	20020520
ZA 2003008344	A	20041027	ZA 2003-8344	20031027
MX 2003010133	A	20050331	MX 2003-10133	20031106
IN 2003DN01831	A	20051104	IN 2003-DN1831	20031106
BG 108341	A	20041230	BG 2003-108341	20031110
US 20040180890	A1	20040916	US 2003-477682	20031112
HK 1060129	A1	20050923	HK 2004-103130	20040504
US 20080261976	A1	20081023	US 2008-131999	20080603

PRIORITY APPLN. INFO.:

FR 2001-6691	A	20010521
WO 2002-FR1663	W	20020517
US 2003-477682	B1	20031112

L35 ANSWER 165 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 137:384848
GI

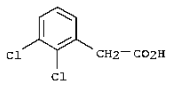


I

AB Title compds. I [R1 = H, Me; Z = Ph, 2,3-Cl2C6H3, 2,6-Cl2C6H3; B = bond, CH2] were prepared and show strong affinity both for human NK2 receptors of neurokinin A and for human NK3 receptors of neurokinin B and are antagonists of said receptors. Thus, I [R1 = Me, Z = Ph, B = bond] was prepared by reductive amination of 2-[4-benzoyl-2-(3,4-dichlorophenyl)morpholin-2-yl]acetaldehyde (II) with 4-(N,N-dimethylcarbamoyl)4-4piperidnopiperidine (III). II was obtained by benzoylating 2-[2-(3,4-dichlorophenyl)morpholin-2-yl]ethyl benzoate, ester hydrolysis, and reduction to the aldehyde. III was obtained by treating 1-benzyl-4-piperidnone with piperidine-HCl and NaCN, hydrolyzing to the amide, N-methylating, and debenzylating. I [R1 = Me, Z = Ph, B = bond] had Ki 4X10-11 M for inhibition of [125I]His-NKA to clone human NK2 receptors.

IT 10236-60-9P, 2,3-Dichlorophenylacetic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel piperidinylpiperidinecarboxamides as antagonists of human neurokinin receptors)

RN 10236-60-9 CAPLUS
CN Benzeneacetic acid, 2,3-dichloro- (CA INDEX NAME)

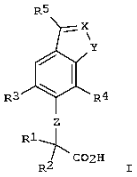


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 166 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:889587 CAPLUS
DOCUMENT NUMBER: 137:370080
TITLE: Preparation of benzisoxazolyloxyacetic acids for treatment of diabetes and lipid disorders
INVENTOR(S): Liu, Kun; Xu, Libo; Jones, A. Brian
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 782,856, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020173663	A1	20021121	US 2001-932834	20010817
US 6569879	B2	20030527		
PRIORITY APPLN. INFO.:			US 2000-183593P P	20000218
			US 2001-782856 B2	20010214

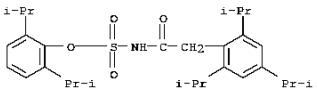
OTHER SOURCE(S): MARPAT 137:370080
GI



I

AB Title compds. [I; R1, R2 = H, F, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl; R1R2C = cycloalkyl; R3, R4 = alkyl, alkenyl, alkynyl, Cl; X = N, CR; Y = O, S, NR; Z = O, S; R = H, (substituted) alkyl, alkenyl, alkynyl; R5 = H, alkyl, alkenyl, alkynyl, aryl, alkoxy, alkenyloxy, alkynyloxy, aryl, cycloalkyl, heteroaryl, etc.; with provisos], were prepared as PPARα and/or PPARγ agonists and are therefore useful in the treatment, control or prevention of non-insulin dependent diabetes mellitus, hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, etc. (no data). Thus, 5,7-dipropyl-6-OH-3-CF3-1,2-benzisoxazole (preparation given) was stirred with
Me α-bromoisobutyrate and Cs2CO3 in DMF for 7 days at 60° to

L35 ANSWER 166 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
give Me 2-[(5,7-dipropyl-3-CF3-1,2-benzisoxazol-6-yl)oxy]-2-methylpropionate.
IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of benzisoxazolyloxyacetic acids for treatment of diabetes and lipid disorders)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)

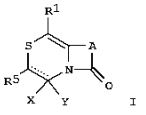


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

L35 ANSWER 167 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:888746 CAPLUS
DOCUMENT NUMBER: 138:4599
TITLE: Preparation of fused imidazolidine derivatives as inhibitors of cartilage matrix degradation
INVENTOR(S): Funabashi, Yasunori; Takizawa, Masayuki; Morimoto, Shinji; Notoya, Kohei
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 940 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

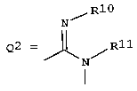
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092606	A1	20021121	WO 2002-JP4640	20020514
WO 2002092606	A8	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002255352	A1	20021125	AU 2002-255352	20020514
JP 2003034691	A	20030207	JP 2002-139642	20020515
PRIORITY APPLN. INFO.:			JP 2001-144608 A	20010515
			WO 2002-JP4640 W	20020514

OTHER SOURCE(S): MARPAT 138:4599
GI

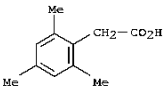


I

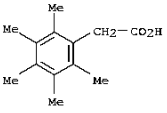
II



L35 ANSWER 167 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB The title compds. I [R1 = (S)nR2, etc.; n = 0 - 2; R2 = H, (un)substituted
hydrocarbon, etc.; R5 = H, (un)substituted hydrocarbon, etc.; the moiety represented by II in I is Q1, etc.; R6 = H, (un)substituted hydrocarbon, etc.; A = Q2, etc.; R10 = H, ZR15, etc.; Z = SO2, etc.; R15 = (un)substituted hydrocarbon, etc.; R11 = H, (un)substituted hydrocarbon] are prepared A process for preparing I is disclosed. Compds. of this invention in vitro at 0.1 μM gave 20% to 55% inhibition of MMP-13 production Formulations are given.
IT 4408-60-0P, 2,4,6-Trimethylphenylacetic acid
53546-75-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused imidazolidine derivs. as inhibitors of cartilage matrix degradation)
RN 4408-60-0 CAPLUS
CN Benzeneacetic acid, 2,4,6-trimethyl- (CA INDEX NAME)



RN 53546-75-1 CAPLUS
CN Benzeneacetic acid, 2,3,4,5,6-pentamethyl- (CA INDEX NAME)



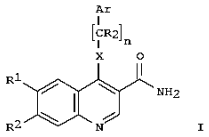
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 168 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:888714 CAPLUS
DOCUMENT NUMBER: 137:384765
TITLE: Preparation of novel 4-anilinoquinoline-3-carboxamides as JAK3 kinase inhibitors
INVENTOR(S): Larsson, Joakim; Sjoe, Peter
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092571	A1	20021121	WO 2002-SE875	20020506
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446717	A1	20021121	CA 2002-2446717	20020506
AU 2002306038	A1	20021125	AU 2002-306038	20020506
EP 1387830	A1	20040211	EP 2002-733657	20020506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300544	A	20040216	EE 2003-544	20020506
CN 1507434	A	20040623	CN 2002-809722	20020506
CN 1286815	C	20061129		
BR 2002009431	A	20040803	BR 2002-9431	20020506
NZ 529302	A	20040827	NZ 2002-529302	20020506
JP 2004533452	T	20041104	JP 2002-589457	20020506
HU 2004001339	A2	20041228	HU 2004-1339	20020506
RU 2281940	C2	20060820	RU 2003-131679	20020506
IN 2003DN01739	A	20090123	IN 2003-DN1739	20031023
ZA 2003008350	A	20050127	ZA 2003-8350	20031027
MX 2003010207	A	20040310	MX 2003-10207	20031107
BG 108325	A	20041130	BG 2003-108325	20031107
US 20040248923	A1	20041209	US 2003-477254	20031110
US 7037925	B2	20060502		
US 20060173034	A1	20060803	US 2006-368914	20060306

PRIORITY APPLN. INFO.:
SE 2001-1675 A 20010511
WO 2002-SE875 W 20020506
US 2003-477254 A3 20031110

OTHER SOURCE(S): MARPAT 137:384765
GI

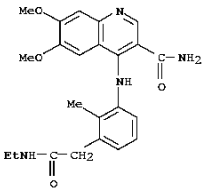


I

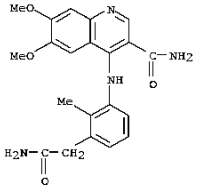
AB The title compds. [I; n = 0-1; X = NR3, O; Ar = (un)substituted Ph, indolyl, pyrazolyl, etc.; R = H, alkyl; R1, R2 = H, halo, NO2, etc.; or R1 and R2 are linked together as OCH2O or OCH2CH2O] which are JAK3 kinase inhibitors, useful in treating asthma, host vs. graft rejection/transplantation or rheumatoid arthritis, were prepared E.g., a 7-step synthesis of I [X = NH; n = 0; Ar = 3-(hydroxymethyl)-2-methylphenyl; R1 = OCH2Ph; R2 = OMe], starting from 4-nitroguaiacol potassium salt, was given. The exemplified compds. I showed IC50 of < 25 μM in JAK3 HTRF assay.

IT 476190-58-6P, 4-[3-[2-(Ethylamino)-2-oxoethyl]-2-methylanilino]-6,7-dimethoxy-3-quinolinecarboxamide 476190-62-2P, 4-[3-(2-Amino-2-oxoethyl)-2-methylanilino]-6,7-dimethoxy-3-quinolinecarboxamide 476190-66-6P,
4-[3-[2-[(2-Hydroxyethyl)amino]-2-oxoethyl]-2-methylanilino]-6,7-dimethoxy-3-quinolinecarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 4-anilinoquinoline-3-carboxamides as JAK3 kinase inhibitors)

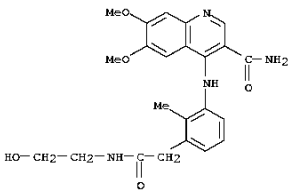
RN 476190-58-6 CAPLUS
CN 3-Quinolinecarboxamide, 4-[[3-[2-(ethylamino)-2-oxoethyl]-2-methylphenyl]amino]-6,7-dimethoxy- (CA INDEX NAME)



RN 476190-62-2 CAPLUS
CN 3-Quinolinecarboxamide, 4-[[3-(2-amino-2-oxoethyl)-2-methylphenyl]amino]-6,7-dimethoxy- (CA INDEX NAME)

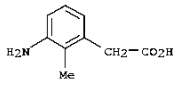


RN 476190-66-6 CAPLUS
CN 3-Quinolinecarboxamide, 4-[[3-[2-[(2-hydroxyethyl)amino]-2-oxoethyl]-2-methylphenyl]amino]-6,7-dimethoxy- (CA INDEX NAME)



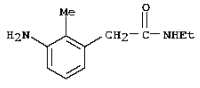
IT 23876-07-5, 2-(3-Amino-2-methylphenyl)acetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of novel 4-anilinoquinoline-3-carboxamides as JAK3 kinase inhibitors)

RN 23876-07-5 CAPLUS
CN Benzeneacetic acid, 3-amino-2-methyl- (CA INDEX NAME)

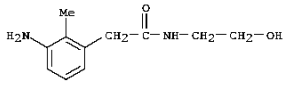


IT 476194-24-8P, 2-(3-Amino-2-methylphenyl)-N-ethylacetamide 476194-26-0P, 2-(3-Amino-2-methylphenyl)-N-(2-hydroxyethyl)acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel 4-anilinoquinoline-3-carboxamides as JAK3 kinase inhibitors)

RN 476194-24-8 CAPLUS
CN Benzeneacetamide, 3-amino-N-ethyl-2-methyl- (CA INDEX NAME)



RN 476194-26-0 CAPLUS
CN Benzeneacetamide, 3-amino-N-(2-hydroxyethyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

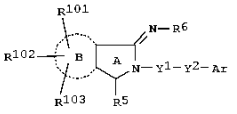
L35 ANSWER 169 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:832759 CAPLUS
DOCUMENT NUMBER: 137:353062
TITLE: Preparation of 2-iminopyrrolidine derivatives as thrombin receptor antagonists
INVENTOR(S): Suzuki, Shuichi; Kotake, Makoto; Miyamoto, Mitsuaki; Kawahara, Tetsuya; Kajiwara, Akiharu; Hishinuma, Ieharu; Okano, Kazuo; Miyazawa, Syuhei; Clark, Richard; Ozaki, Fumihiro; Sato, Nobuaki; Shinoda, Masanobu; Kamada, Atsushi; Tsukada, Itaru; Matsuura, Fumiyoshi; Naoe, Yoshimitsu; Terauchi, Taro; Oohashi, Yoshiaki; Ito, Osamu; Tanaka, Hiroshi; Musya, Takashi; Kogushi, Motoji; Kawada, Tsutomu; Matsuoka, Toshiyuki; Kobayashi, Hiroko; Chiba, Kenichi; Kimura, Akifumi; Ono, Naoto
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 948 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085855	A1	20021031	WO 2002-JP3961	20020419
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446924	A1	20021031	CA 2002-2446924	20020419
AU 2002255269	A1	20021105	AU 2002-255269	20020419
AU 2002255269	B2	20070315		
EP 1391451	A1	20040225	EP 2002-724628	20020419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008985	A	20040309	BR 2002-8985	20020419
CN 1503784	A	20040609	CN 2002-808565	20020419
CN 1243735	C	20060301		
HU 2004000467	A2	20050228	HU 2004-467	20020419
EP 1614680	A2	20060111	EP 2005-22069	20020419
EP 1614680	A3	20060201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
CN 1733725	A	20060215	CN 2005-10080404	20020419
CN 100402499	C	20080716		
RU 2270192	C2	20060220	RU 2003-133664	20020419
CN 1754880	A	20060405	CN 2005-10080403	20020419

L35 ANSWER 169 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CN 1321996	C	20070620		
JP 3795458	B2	20060712	JP 2002-583382	20020419
NZ 528820	A	20070126	NZ 2002-528820	20020419
AT 425964	T	20090415	AT 2002-720534	20020419
NO 2003004632	A	20031219	NO 2003-4632	20031016
MX 2003009497	A	20040524	MX 2003-9497	20031016
ZA 2003008064	A	20050207	ZA 2003-8064	20031016
KR 749794	B1	20070817	KR 2003-713674	20031018
IN 2003DN01719	A	20051014	IN 2003-DN1719	20031020
US 20050004204	A1	20050106	US 2004-475188	20040609
US 7244730	B2	20070717		
AU 2005202135	A1	20050609	AU 2005-202135	20050517
AU 2005202135	B2	20071115		
KR 749795	B1	20070817	KR 2005-709505	20050526
US 20050245592	A1	20051103	US 2005-158941	20050622
JP 2006206595	A	20060810	JP 2006-41270	20060217
JP 2006225393	A	20060831	JP 2006-41255	20060217
HK 1086269	A1	20081107	HK 2006-106324	20060601
IN 2006KN03260	A	20080801	IN 2006-KN3260	20061107
PRIORITY APPLN. INFO.:			JP 2001-121829	A 20010419
			JP 2001-269422	A 20010905
			AU 2002-255269	A3 20020419
			CN 2002-808565	A3 20020419
			EP 2002-724628	A3 20020419
			JP 2002-583382	A3 20020419
			WO 2002-JP3961	W 20020419
			KR 2003-713674	A3 20031018
			IN 2003-DN1719	A3 20031020
			US 2004-475188	A1 20040609

OTHER SOURCE(S): MARPAT 137:353062
GI



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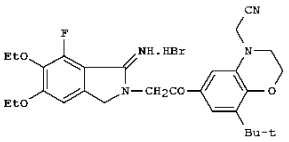
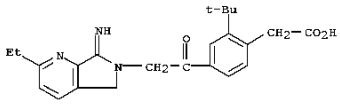


II

AB 2-Iminopyrrolidine derivs. including 2,3-dihydro-1H-isoindole and 6,7-dihydro-5H-pyrrolo[3,4-b]pyridine represented by the general formula (I) or salts thereof [wherein B = (un)substituted aromatic hydrocarbon or aromatic heterocyclic ring optionally containing 1 or 2 N atom(s); R101, R102, R103 = H, cyano, halo, each (un)substituted C1-6 alkyl, C2-8 alkenyl, C2-8 alkynyl, acyl, CO2H, CONH2, C1-6 alkoxycarbonyl, C1-6 alkylaminocarbonyl, HO, C1-6 alkoxy, C3-8 cycloalkyloxy, NH2, C1-6 alkylamino, C3-8 cycloalkylamino, acylamino, ureido, sulfonylamino, sulfonyl, SO2NH2, or C3-8 cycloalkyl, etc.; Y1 = a single bond, (CH2)m, each (un)substituted CH, CH2, NH, CONH, or SO2NH, CH2CO, SO, SO2, CO (wherein m = an integer of 1-3); Y2 = a single bond, O, N, (CH2)m, each (un)substituted CH, CH2, or C(:NOH), CO, SO, SO2; Ar = H, (un)substituted Ph] are prepared. These compds. are thrombin receptor antagonists, in particular thrombin PAR1 receptor antagonists and are useful as blood platelet aggregation inhibitors and proliferation inhibitors of smooth muscle cell, endothelial cell, fibroblast, kidney cell, osteosarcoma cell, muscle cell, cancer cell, and/or glial cell and for the treatment and/or prevention of thrombosis, vascular restenosis, deep vein thrombosis, lung embolism, cerebral infarction, heart disease, disseminated intravascular coagulation syndrome, hypertension, inflammation, rheumatism, asthma, glomerulonephritis, osteoporosis, nerve disease, and/or malignant tumor. Thus, [6-[(1-imino-1,3-dihydroisoindol-2-yl)acetyl]-2,3-dihydrobenz[1,4]oxazin-4-yl]acetonitrile derivative (II) in vitro showed IC50 of 0.017 μM for inhibiting the binding of [3H]Ala-(4-fluoro)Phe-Arg-(cyclohexyl)Ala-homoArg-Tyr-NH2 to thrombin receptor of human blood platelet, that of 0.29 μM for inhibiting the human blood platelet aggregation induced by thrombin, and that of 0.0061 μM for inhibiting the proliferation of rat smooth cell.

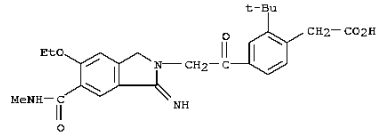
IT 474547-37-0P 474547-49-4P 474547-64-3P

L35 ANSWER 169 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
474627-28-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydroisoindole and dihydro-5H-pyrrolo[3,4-b]pyridine derivs. as thrombin receptor antagonists and remedies and/or preventives for diseases)
RN 474547-37-0 CAPLUS
CN Benzeneacetic acid, 2-(1,1-dimethylethyl)-4-[2-(2-ethyl-5,7-dihydro-7-imino-6H-pyrrolo[3,4-b]pyridin-6-yl)acetyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

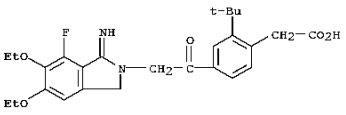
RN 474547-49-4 CAPLUS
CN Benzeneacetic acid, 2-(1,1-dimethylethyl)-4-[2-[5-ethoxy-1,3-dihydro-1-imino-6-[(methylamino)carbonyl]-2H-isoindol-2-yl]acetyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

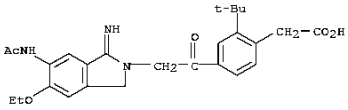
RN 474547-64-3 CAPLUS
CN Benzeneacetic acid, 4-[2-(5,6-diethoxy-7-fluoro-1,3-dihydro-1-imino-2H-isoindol-2-yl)acetyl]-2-(1,1-dimethylethyl)-, hydrobromide (1:1) (CA INDEX NAME)

L35 ANSWER 169 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● HBr

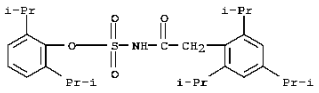
RN 474627-28-6 CAPLUS
CN Benzeneacetic acid,
4-[2-[6-(acetylamino)-5-ethoxy-1,3-dihydro-1-imino-2H-isoindol-2-yl]acetyl]-2-(1,1-dimethylethyl)-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)
REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 170 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 166518-60-1, Avasimibe
RL: BSU (Biological study, unclassified); BIOL (Biological study) (as ACAT inhibitor; novel pharmaceutical compns. for modulating angiogenesis through intracellular free cholesterol-caveolin1-eNOS-NO pathway)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



L35 ANSWER 170 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:814859 CAPLUS
DOCUMENT NUMBER: 137:336300
TITLE: Novel pharmaceutical compositions for modulating angiogenesis through intracellular free cholesterol-caveolin1-eNOS-NO pathway
INVENTOR(S): Balligand, Jean-Luc; Feron, Olivier
PATENT ASSIGNEE(S): Universite Catholique de Louvain, Belg.
SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of Appl. No. PCT/EP00/07731.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020156123	A1	20021024	US 2002-68965	20020211
EP 1076091	A1	20010214	EP 1999-870171	19990809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001011038	A2	20010215	WO 2000-EP7731	20000809
WO 2001011038	A3	20011213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20040110684	A1	20040610	US 2003-651024	20030829
PRIORITY APPLN. INFO.:			EP 1999-870171 A	19990809
			WO 2000-EP7731 A2	20000809
			US 2002-68965 A2	20020211

AB The present invention provides pharmaceutical compns., including amino acid sequences, for the modulation of angiogenesis through the tackling of the intracellular free cholesterol-caveolin1-eNOS-NO pathway. 3-Hydroxy-3-methylglutaryl CoA (HMGCoA) reductase inhibition promotes endothelial nitric synthase activation through a decrease in caveolin abundance. Atorvastatin promotes NO production by decreasing caveolin-1 expression in EC, regardless of the level of extracellular LDL-cholesterol. The findings of the invention highlight the therapeutic potential of inhibiting cholesterol synthesis in peripheral cells to correct NO-dependent endothelial dysfunction associated with hypercholesterolemia, and possibly other diseases. The invention also developes different techniques to evaluate angiogenesis in vitro, ex vivo and in vivo, and used them to test the possibility to modulate NO-dependent angiogenesis by altering caveolin abundance.

L35 ANSWER 171 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:813924 CAPLUS
DOCUMENT NUMBER: 137:311200
TITLE: Preparation of 2,1-oxazoline and 1,2-pyrazoline-based inhibitors of dipeptidyl peptidase IV
INVENTOR(S): Sulsky, Richard B.; Robl, Jeffrey A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083128	A1	20021024	WO 2002-US10936	20020405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020183367	A1	20021205	US 2002-107279	20020326
US 6573287	B2	20030603		
CA 2444465	A1	20021024	CA 2002-2444465	20020405
AU 2002254557	A1	20021028	AU 2002-254557	20020405
AU 2002254557	B2	20070118		
EP 1377288	A1	20040107	EP 2002-723791	20020405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004532220	T	20041021	JP 2002-580932	20020405
HU 2004001423	A2	20041129	HU 2004-1423	20020504
HU 2004001423	A3	20080328		
PRIORITY APPLN. INFO.:			US 2001-283438P P	20010412
			WO 2002-US10936 W	20020405

OTHER SOURCE(S): MARPAT 137:311200
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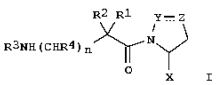
L35 ANSWER 171 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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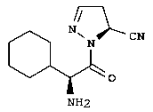


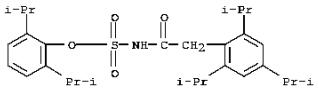
II

AB The invention describes dipeptidyl peptidase IV (DP 4) inhibiting compds. I [n is 0 or 1; X is H or CN; Y is N, NH or O; Z is CH2 when Y is O or NH, with Y-Z forming a single bond, and Z is CH when Y is N, with Y-Z forming a double bond; R1-R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, bicycloalkylalkyl, alkylthioalkyl, arylalkylthioalkyl, cycloalkenyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl or cycloheteroalkylalkyl, which may be substituted; R1 may combine with R3 or R4 to form a ring (CR5R6)2-6 or (CR7R8)3-6, resp., where R5-R8 = H, OH, alkoxy, alkyl, aryl, etc.] and their pharmaceutically-acceptable salts or prodrug esters. A method is also provided for treating diabetes and related diseases, employing a DP 4 inhibitor I, optionally in combination with other therapeutic agents, including an antidiabetic, hypolipidemic, or anti-obesity agent. Thus, coupling of sultam-protected 1,2-pyrazoline-3-carboxamide with (S)-N-(tert-butoxycarbonyl)cyclohexylglycine (HOAt, Et3N, and EDAC in CH2Cl2), followed by sultam cleavage with methanolic ammonia, amide conversion to nitrile using imidazole, and deprotection, afforded II.TFA.

IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipid modulating agent; preparation of oxazoline and pyrazoline-based inhibitors of dipeptidyl peptidase IV)

RN 166518-60-1 CAPLUS

CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



L35 ANSWER 171 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 172 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:793403 CAPLUS
DOCUMENT NUMBER: 137:310931
TITLE: Preparation of phenylalkanoic acid derivatives as preventive or remedial agents for digestive tract diseases
INVENTOR(S): Horizoe, Tatsuo; Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshihiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Miyashita, Sadakazu; Hihara, Taro; Seiki, Takashi; Clark, Richard; Harada, Hitoshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002080899	A1	20021017	WO 2002-JP3006	20020327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002242989	A1	20021021	AU 2002-242989	20020327
PRIORITY APPLN. INFO.:			JP 2001-101465 A	20010330
			JP 2001-105131 A	20010403
			WO 2002-JP3006 W	20020327

OTHER SOURCE(S): MARPAT 137:310931
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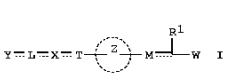


I

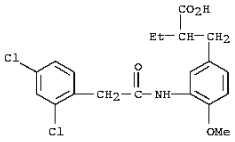
AB Disclosed is a preventive/remedy for digestive tract or inflammatory diseases, which contains as the active ingredient a novel carboxylic acid derivative represented by the following formula [I; R1 = H, OH, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 hydroxyalkyl, C1-6 hydroxyalkoxy, C1-6 hydroxyalkylthio, C1-6 aminoalkyl, C1-6 aminoalkoxy, C1-6 aminoalkylthio, C2-12 alkoxyalkyl, C3-7 cycloalkyl, C3-7 cycloalkyloxy, C3-7 cycloalkylthio, C2-6 alkenyl, C2-6 alkenyloxy, or C2-6 alkenylthio, etc.; L = a single or double bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = a single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = 2,4-dioxothiazolidin-5-yl, 2,4-dioxothiazolidin-5-ylidene, carboxy, (un)substituted CONH2; X = O, (un)substituted C2-6 alkenylene, hydroxymethylene, CO, CS, N-(un)substituted CONH, NHCO, SO2NH, NHSO2, or NHCONH (Q = O, S); Y = (un)substituted C5-12 arom. hydrocarbyl or C3-7 aliph. hydrocarbyl optionally contg. ≥1 heteroatoms; ring Z = C5-6 arom. hydrocarbyl; Y = (un)substituted arom. hydrocarbon group optionally contg. ≥1 heteroatoms; some provisos given], a salt of the deriv., or a hydrate of either. The above digestive tract diseases include (1) inflammatory digestive tract diseases such as ulcerous colitis, Crohn's disease, pancreatitis, and gastritis, (2) digestive tract proliferative diseases such as digestive tract benign rumors, digestive tract polyp, hereditary (genetic) polyposis syndromes, colon cancer, rectum cancer, and stomach cancer, and (3) digestive tract ulcerous diseases such as duodenal ulcer, stomach ulcer, esophagus ulcer, regurgitant esophagitis, stress ulcer or erosion, erosion caused by drugs, and Zollinger-Ellison syndromes. The above inflammatory diseases include arthritic rheumatism, multiple sclerosis, immunodeficiency, cachexia, osteoarthritis, osteoporosis, asthma, and allergy. The compds. I are triple agonists for PPAR (peroxisome proliferator-activated receptor) α, β, and γ subtype. Thus, 2-isopropoxy-3-[4-methoxy-3-[[[4-(trifluoromethyl)benzyl]amino]carbonyl]phenyl]propanoic acid in vitro showed the transcription activity for PPARα, β, and γ with EC50 of 0.08, 2.513, and 0.382 μM, resp., in CV-1 cell. (2S)-3-[3-[[(2,4-dichlorobenzoyl)amino]methyl]-4-methoxyphenyl]-2-isopropoxypropanoic acid at 1 mg/kg/day p.o. for 3 days showed a disease activity index based on diarrhea, bloody excrement, and wt. loss (DAI) of 2.0±0.3 in mice suffering from colitis induced by dextran sulfate sodium salt vs. 2.8±0.2 for the control group and 2.1±0.3 for the mice treated with rosiglitazone at 30 mg/kg/day. Many compds. prepd. do not possess the thiazolidine skeleton and thereby may completely avoid toxicity such as liver disorder which was noted in the past as a problem for compds. having PPARγ agonist activity.

IT 334012-70-3P 334012-72-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalkanoic acid derivs. as peroxisome proliferator-activated receptor agonists and remedial or preventive agents for digestive tract or inflammatory diseases)

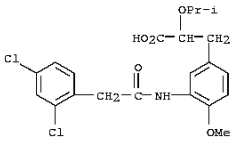
RN 334012-70-3 CAPLUS

CN Benzenepropanoic acid, 3-[[2-(2,4-dichlorophenyl)acetyl]amino]-α-ethyl-4-methoxy- (CA INDEX NAME)

L35 ANSWER 172 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 334012-72-5 CAPLUS
CN Benzenepropanoic acid, 3-[[2-(2,4-dichlorophenyl)acetyl]amino]-4-methoxy-α-(1-methylethoxy)- (CA INDEX NAME)



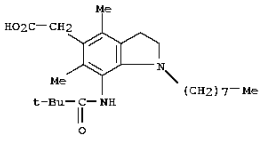
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 173 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:716126 CAPLUS
DOCUMENT NUMBER: 137:252985
TITLE: Medicinal compositions containing bile acid transporter inhibitor and cholesterol acyltransferase inhibitors
INVENTOR(S): Inaba, Toshimori
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

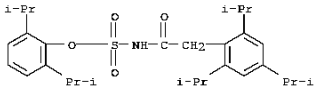
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072147	A1	20020919	WO 2002-JP2311	20020312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002236307	A1	20020924	AU 2002-236307	20020312
JP 2002338496	A	20021127	JP 2002-67841	20020313
PRIORITY APPLN. INFO.:			JP 2001-72050	A 20010314
			WO 2002-JP2311	W 20020312

AB Disclosed are medicinal compns. for administering an ileal bile acid transporter inhibitor and a cholesterol acyltransferase (ACAT) inhibitor either at the same time or sep. at a certain interval. The effect of oral administration of both 4-[3-[(1-(3,5-difluorophenyl)ethylamino)-(4-methoxyphenyl)methyl]phenylamino]-3-hydroxy-3-cyclobutene-1,2-dione (I) and N-(1-octyl-5-carboxymethyl-4,6-dimethylindoline-7-yl)-2,2-dimethylpropaneamide (II) on blood serum triglyceride was prepared Also, a tablet containing I 50, II 30, lactose 368, corn starch 50, magnesium stearate 2 mg was prepared
IT 189198-30-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypolipemic compns. containing bile acid transporter inhibitor and cholesterol acyltransferase inhibitors)
RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)

L35 ANSWER 173 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IT 166518-60-1, CI-1011
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypolipemic compns. containing bile acid transporter inhibitor and cholesterol acyltransferase inhibitors)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



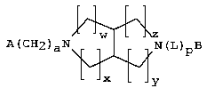
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 174 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:695987 CAPLUS
DOCUMENT NUMBER: 137:232638
TITLE: Preparation of bicyclic diamines as CCR2 and CCR3 chemokine receptor antagonists for treating/preventing diseased associated with monocyte, lymphocyte or leukocyte accumulation
INVENTOR(S): Colon-Cruz, Roberto; Didiuk, Mary Theresa; Duffy, Erin Maureen; Garigipati, Ravi Shanker; Lau, Wan Fang; McDonald, Wayne Scott
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070523	A1	20020912	WO 2002-IB238	20020124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440803	A1	20020912	CA 2002-2440803	20020124
AU 2002225290	A1	20020919	AU 2002-225290	20020124
EP 1368354	A1	20031210	EP 2002-715643	20020124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007952	A	20040727	BR 2002-7952	20020124
JP 2004529113	T	20040924	JP 2002-569843	20020124
US 20030008893	A1	20030109	US 2002-93273	20020306
US 6821964	B2	20041123		
MX 2003008109	A	20031212	MX 2003-8109	20030905
US 20050234090	A1	20051020	US 2004-989764	20041115
PRIORITY APPLN. INFO.:			US 2001-273984P	P 20010307
			WO 2002-IB238	W 20020124
			US 2002-93273	A1 20020306

OTHER SOURCE(S): MARPAT 137:232638
GI

L35 ANSWER 174 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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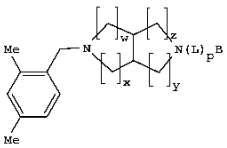
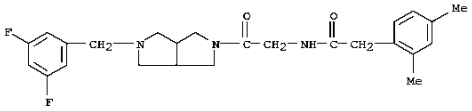


II

AB Chemokine receptor antagonists, in particular, bicyclic diamines (shown as
I; e.g.
N-[2-[5-(2,4-dimethylbenzyl)hexahydropyrrolo[3,4-c]pyrrol-2-yl]-2-oxoethyl]-3-trifluoromethylbenzamide) that act as antagonists of chemokine
CCR2 and CCR3 receptors including pharmaceutical compns. and uses thereof to treat or prevent diseases associated with monocyte accumulation, lymphocyte accumulation or leukocyte accumulation are described herein. In I, A is a substituted or unsubstituted (C1-C6)alkyl, substituted or unsubstituted (C2-C6)alkenyl, substituted or unsubstituted partially saturated
or fully saturated (C3-C6)cycloalkyl, substituted or unsubstituted partially
saturated or fully saturated 5 to 6 membered heterocyclic ring, substituted or
unsubstituted aryl, or substituted or unsubstituted heteroaryl group. A is 0-3; w, x, y and z are each independently 0-4 with provisos; p is 0 or 1. L is a linking group selected from -(CH2)q-X-, where X is NH, O, or oxo and q is 0-4, -S(O)r-(CH2)t-NH-, where r is 0-2 and t is 0-4, -(aryl)-NH-, -(heteroaryl)-NH-, and an amino acid residue where the amino N of said amino acid residue is attached to B and the carbonyl of said amino acid residue is attached to the ring N. B is a substituted or unsubstituted (C1-C6)alkylcarbonyl, arylcarbonyl, (C1-C6)alkoxycarbonyl, aryloxycarbonyl, (C1-C6)alkylsulfonyl, arylsulfonyl, (C1-C6)alkylthiocarbonyl, arylthiocarbonyl, (C1-C6)alkylcarbamoyl, arylcarbamoyl, (C1-C6)alkyl-C(:NH)-, substituted or unsubstituted aryl-C(:NH)-, or a protecting group;. Although the methods of preparation are
not claimed, several example prepns. are included and about 1500 specific compds. are listed with their HPLC retention times and CI-MS mol. wts. In

L35 ANSWER 174 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

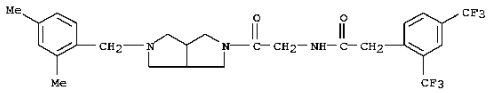


OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

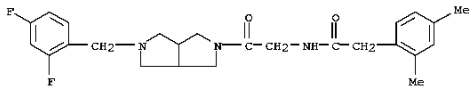
L35 ANSWER 174 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
general, the compds. listed in the Examples provided CCR2 activity based on chemotaxis from .apprx.5 to .apprx.100% inhibition at 1 μM concn. Compds. II (R = Me) provided higher activity for inhibition of binding to its CCR2 receptor and showed less activity for inhibition of binding to the CCR3 receptor. Whereas, compds. II (R = Cl) provided higher activity for inhibition of binding to the CCR3 receptor and less activity for binding to the CCR2 receptor.

IT 455911-72-5P, 2-(2,4-Bis-trifluoromethylphenyl)-N-[2-[5-(2,4-dimethylbenzyl)hexahydropyrrolo[3,4-c]pyrrol-2-yl]-2-oxoethyl]acetamide
455916-63-9P, N-[2-[5-(2,4-Difluorobenzyl)hexahydropyrrolo[3,4-c]pyrrol-2-yl]-2-oxoethyl]-2-(2,4-dimethylphenyl)acetamide
455916-64-0P, N-[2-[5-(3,5-Difluorobenzyl)hexahydropyrrolo[3,4-c]pyrrol-2-yl]-2-oxoethyl]-2-(2,4-dimethylphenyl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic diamines as CCR2 and CCR3 chemokine receptor antagonists for treating/preventing diseased associated with monocyte, lymphocyte or leukocyte accumulation)

RN 455911-72-5 CAPLUS
CN Benzeneacetamide,
N-[2-[5-[(2,4-dimethylphenyl)methyl]hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl]-2-oxoethyl]-2,4-bis(trifluoromethyl)- (CA INDEX NAME)



RN 455916-63-9 CAPLUS
CN Benzeneacetamide,
N-[2-[5-[(2,4-difluorophenyl)methyl]hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl]-2-oxoethyl]-2,4-dimethyl- (CA INDEX NAME)



RN 455916-64-0 CAPLUS
CN Benzeneacetamide,
N-[2-[5-[(3,5-difluorophenyl)methyl]hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl]-2-oxoethyl]-2,4-dimethyl- (CA INDEX NAME)

=> D IBIB ABS HITSTR L35 125-149

L35 ANSWER 125 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:675710 CAPLUS
DOCUMENT NUMBER: 141:190512
TITLE: A preparation of 2-arylacetic acid derivatives, useful for the treatment of IL-8 mediated diseases
INVENTOR(S): Moriconi, Alessio; Allegretti, Marcello; Bertini, Riccardo; Cesta, Maria Candida; Bizzarri, Cinzia; Colotta, Francesco
PATENT ASSIGNEE(S): Dompe' S.p.A., Italy
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069782	A2	20040819	WO 2004-EP1021	20040204
WO 2004069782	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004210082	A1	20040819	AU 2004-210082	20040204
CA 2511582	A1	20040819	CA 2004-2511582	20040204
EP 1590314	A2	20051102	EP 2004-707926	20040204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1768026	A	20060503	CN 2004-80008741	20040204
JP 2006516592	T	20060706	JP 2006-501731	20040204
RU 2356887	C2	20090527	RU 2005-127777	20040204
US 20060223842	A1	20061005	US 2005-541429	20050705
NO 2005004017	A	20050830	NO 2005-4017	20050830

PRIORITY APPLN. INFO.: EP 2003-2716 A 20030206
WO 2004-EP1021 W 20040204

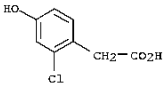
OTHER SOURCE(S): MARPAT 141:190512
GI

I

AB The invention relates to a preparation of 2-arylacetic acid derivs. of formula A-CH2C(O)-Y [wherein: A is a 5 to 6 membered (hetero)aromatic ring where heteroatom is selected from N, O, S, etc.; the 5-6 membered (hetero)aromatic ring is optionally fused with a second ring; Y is NH2, NH-(cyclo)alkyl, or NH-cycloalkenyl, etc.], useful in inhibiting chemotactic activation of neutrophils (PMN leukocytes) induced by the interaction of Interleukin-8 (IL-8) with CXCR1 and CXCR2 membrane receptors. The compds. are used for the prevention and treatment of pathologies deriving from said activation. In particular, o-substituted arylacetic acid derivs., such as amides and sulfonamides, lack cyclo-oxygenase inhibition activity and are particularly useful in the treatment of neutrophil-dependent pathologies such as psoriasis, ulcerative colitis, or melanoma, etc. For instance, prepared in the example 2 acetic acid derivative I (10-8M) showed 62% (IL-8) and 5% (GRO-α) inhibitory activity on CXCR1 and CXCR2 receptors.

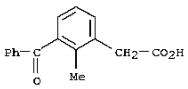
IT 81720-84-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of arylacetic acids useful for the treatment of IL-8 mediated diseases)

RN 81720-84-5 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-hydroxy- (CA INDEX NAME)

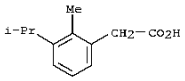


IT 46966-18-1P, (3-Benzoyl-2-methylphenyl)acetic acid
740839-07-0P 740839-11-6P 740839-12-7P
740839-14-9P 740839-16-1P 740839-17-2P
740839-32-1P 740839-33-2P 740839-34-3P
740839-35-4P 740839-37-6P 740839-43-4P
740839-46-7P 740839-47-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylacetic acids useful for the treatment of IL-8 mediated diseases)

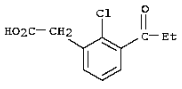
RN 46966-18-1 CAPLUS
CN Benzeneacetic acid, 3-benzoyl-2-methyl- (CA INDEX NAME)



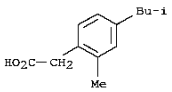
RN 740839-07-0 CAPLUS
CN Benzeneacetic acid, 2-methyl-3-(1-methylethyl)- (CA INDEX NAME)



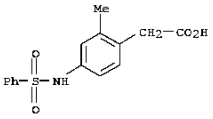
RN 740839-11-6 CAPLUS
CN Benzeneacetic acid, 2-chloro-3-(1-oxopropyl)- (CA INDEX NAME)



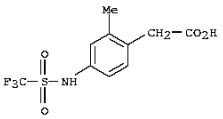
RN 740839-12-7 CAPLUS
CN Benzeneacetic acid, 2-methyl-4-(2-methylpropyl)- (CA INDEX NAME)



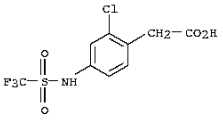
RN 740839-14-9 CAPLUS
CN Benzeneacetic acid, 2-methyl-4-[(phenylsulfonyl)amino]- (CA INDEX NAME)



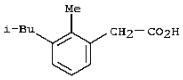
RN 740839-16-1 CAPLUS
CN Benzeneacetic acid, 2-methyl-4-[[(trifluoromethyl)sulfonyl]amino]- (CA INDEX NAME)



RN 740839-17-2 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-[[(trifluoromethyl)sulfonyl]amino]- (CA INDEX NAME)

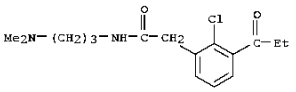


RN 740839-32-1 CAPLUS
CN Benzeneacetic acid, 2-methyl-3-(2-methylpropyl)- (CA INDEX NAME)

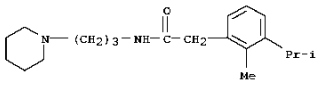


RN 740839-33-2 CAPLUS
CN Benzeneacetamide, 2-chloro-N-[3-(dimethylamino)propyl]-3-(1-oxopropyl)- (CA INDEX NAME)

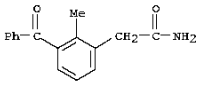
L35 ANSWER 125 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



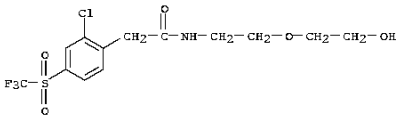
RN 740839-34-3 CAPLUS
CN Benzeneacetamide, 2-methyl-3-(1-methylethyl)-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)



RN 740839-35-4 CAPLUS
CN Benzeneacetamide, 3-benzoyl-2-methyl- (CA INDEX NAME)

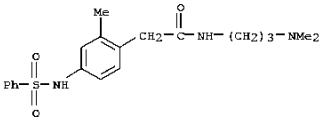


RN 740839-37-6 CAPLUS
CN Benzeneacetamide, 2-chloro-N-[2-(2-hydroxyethoxy)ethyl]-4-[(trifluoromethyl)sulfonyl]- (CA INDEX NAME)

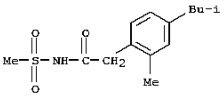


RN 740839-43-4 CAPLUS
CN Benzeneacetamide, N-[3-(dimethylamino)propyl]-2-methyl-4-[(phenylsulfonyl)amino]- (CA INDEX NAME)

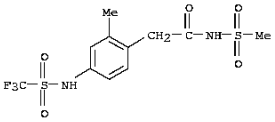
L35 ANSWER 125 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 740839-46-7 CAPLUS
CN Benzeneacetamide, 2-methyl-4-(2-methylpropyl)-N-(methylsulfonyl)- (CA INDEX NAME)



RN 740839-47-8 CAPLUS
CN Benzeneacetamide, 2-methyl-N-(methylsulfonyl)-4-[[(trifluoromethyl)sulfonyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

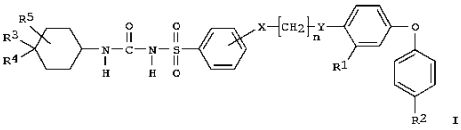
L35 ANSWER 126 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:648355 CAPLUS
DOCUMENT NUMBER: 141:190602
TITLE: Preparation of N-cyclohexylaminocarbonyl benzenesulfonamides as agonists or partial agonists or antagonists of PPAR gamma
INVENTOR(S): Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004066963	A2	20040812	WO 2004-US689	20040113
WO 2004066963	A3	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
AU 2004207444	A1	20040812	AU 2004-207444	20040113
AU 2004207444	B2	20080731		
CA 2512879	A1	20040812	CA 2004-2512879	20040113
EP 1587535	A2	20051026	EP 2004-701735	20040113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2007504285	T	20070301	JP 2006-536529	20040113
US 20060111585	A1	20060525	US 2005-542287	20050715
US 7319170	B2	20080115		

PRIORITY APPLN. INFO.: US 2003-440761P P 20030117
WO 2004-US689 W 20040113

OTHER SOURCE(S): MARPAT 141:190602
GI

L35 ANSWER 126 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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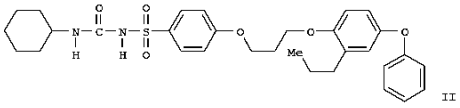
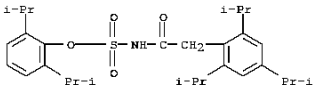


II

AB The title arylsulfonyl ureas [I; R1 = H, Cl, F, alkyl, haloalkyl; R2 = H, Cl, F, alkyl, alkoxy, etc.; R3-R5 = H, F, Cl, alkyl, etc.; X, Y = O, S, SO, SO2; n = 1-4] which are agonists or partial agonists or antagonists of PPAR gamma and are useful in the treatment and control of hyperglycemia that is symptomatic of type II diabetes, as well as dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, and obesity that are often associated with type 2 diabetes, were prepared Thus, reacting 1-(3-bromophenoxy)-4-phenoxy-2-propylbenzene with 4-hydroxybenzenesulfonamide in the presence of cesium carbonate in DMF followed by reaction of the resulting 4-[3-(4-phenoxy-2-propylphenoxy)propoxy]benzenesulfonamide with cyclohexyl isocyanate in the presence of potassium carbonate in acetone afforded II. The pharmaceutical composition comprising the compound I is claimed.
IT 166518-60-1, Avasimibe
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(co-administration with acyl CoA:cholesterol acyltransferase inhibitors; preparation of N-cyclohexylaminocarbonyl benzenesulfonamides as agonists or partial agonists or antagonists of PPAR gamma)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



L35 ANSWER 126 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

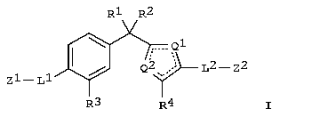
L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:610159 CAPLUS
DOCUMENT NUMBER: 141:174068
TITLE: Vesicant treatment with (phenylalkyl)thiophenes as vitamin D receptor modulators
INVENTOR(S): Nagpal, Sunil
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Yee, Ying Kwong
SOURCE: PCT Int. Appl., 496 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

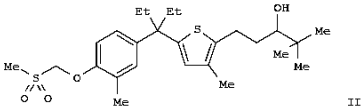
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063348	A2	20040729	WO 2004-US6	20040107
WO 2004063348	A8	20040930		
WO 2004063348	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
EP 1587905	A2	20051026	EP 2004-700549	20040107
EP 1587905	A3	20051214		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060135484	A1	20060622	US 2005-540667	20050624
PRIORITY APPLN. INFO.:			US 2003-439575P	P 20030110
			WO 2004-US6	W 20040107

OTHER SOURCE(S): MARPAT 141:174068
GI

L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



II

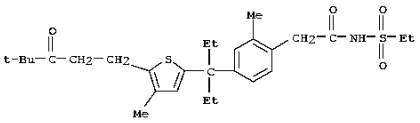
AB The present invention relates to a method of treating or preventing damage to human skin cells by chemical vesicants, such as mustard, by administering non-secosteroidal, title compds. I [wherein R1 and R2 = independently (fluoro)alkyl; or CR1R2 = (un)substituted carbocycle; Q1 and Q2 = C, S, with the proviso that one atom = S and the other atom = C; R3 and R4 = independently H, halo, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)alkylthio, CN, NO2, acetyl, (cyclo)alkenyl, cycloalkyl; L1 and L2 = independently a bond, (CH2)mCX1, (CH2)mCHOH, (CH2)mO, (CH2)mS, (CH2)mSO, (CH2)mSO2, (CH2)mNR5, (CH2)mC(R5)2, (CH2)mC.tplbond.C, (CH2)mCH=CH, CHOHCX1, SO2NH, SO2O, SO2CX1, NHCCX1, NHSO, CH2SO, OSO; m = 0-2; X1 = O, S; R5 = H, (fluoro)alkyl; Z1 and Z2 = independently H, OH, halo, formyl, NO2, CN, (fluoro)phenyl, benzyl, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, acyl, carboxy, carbamoyl, alkoxy, alkylthio, sulfamoyl, (thio)ureido, amino, etc.; with provisos; and pharmaceutically acceptable salts or prodrugs thereof] with vitamin D receptor (VDR) modulating activity. Examples include prepns. and bioassays for efficacy and toxicity of representative I. For instance, reaction of 3-[4-(benzyloxy)-3-methylphenyl]-3-[4-methyl-5-(hydroxymethyl)thiophen-2-yl]pentane with PBr3 and LiHMDS, followed by addition of pinacolone gave the 5-(3-oxo-4,4-dimethylpentyl)-4-methylthiophene derivative (82%). Deprotection using Pd/C in EtOH/EtOAc provided the phenol (97%), which was alkylated with methylmercaptomethyl chloride (73%) and oxidized using m-CPBA to afford the 4-(methylsulfonylmethoxy)-3-methylphenyl derivative (33%). Reduction of the ketone using NaBH2 in MeOH yielded the alc. II (quant.). The preferred enantiomer of latter exhibited VDR activity in the RXR-VDR heterodimer assay (EC50 = 40.57 nM) and showed osteoporosis inhibition activity in the osteocalcin (OCN) promoter assay (EC50 = 46.82 nM), while demonstrating low toxicity in the mouse hypercalcemia assay (EC50 = >1000 nM). In addition, results from the keratinocyte proliferation assay (IC50 = 76 nM) and the IL-10 induction assay (IC50 = 26 nM) indicated that the preferred enantiomer of II may also be useful for the treatment of

L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

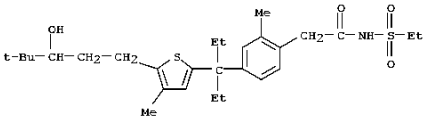
psoriasis, abscesses, and adhesions.

IT 633344-85-1P 633344-86-2P 633344-87-3P
633344-88-4P 633344-89-5P 633344-90-8P
633344-91-9P 633344-92-0P 633344-93-1P
633344-94-2P 633344-95-3P 633344-96-4P
633344-97-5P 633344-98-6P 633344-99-7P
633345-00-3P 633345-01-4P 633345-02-5P
633345-45-6P 633345-46-7P 633345-47-8P
633345-48-9P 633345-49-0P 633345-50-3P
633345-51-4P 633345-52-5P 633345-53-6P
633345-54-7P 633345-55-8P 633345-56-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VDR modulator; preparation of (phenylalkyl)thiophenes as VDR modulators for preventing or treating damage to human skin cells by chemical vesicants)

RN 633344-85-1 CAPLUS
CN Benzeneacetamide, 4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

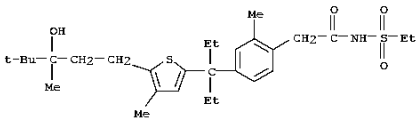


RN 633344-86-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

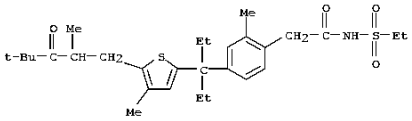


RN 633344-87-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

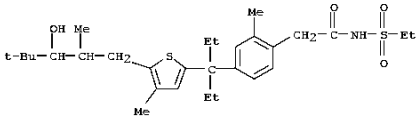
L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 633344-88-4 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

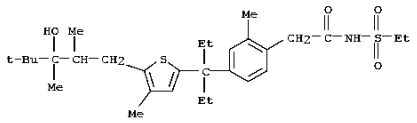


RN 633344-89-5 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

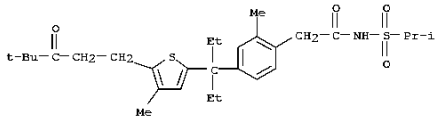


RN 633344-90-8 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

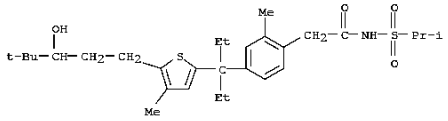
L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 633344-91-9 CAPLUS
CN Benzeneacetamide, 4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

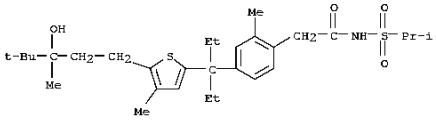


RN 633344-92-0 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

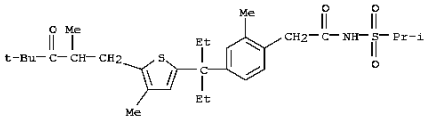


RN 633344-93-1 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

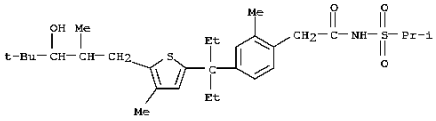
L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 633344-94-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

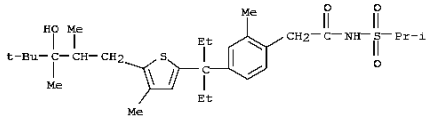


RN 633344-95-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

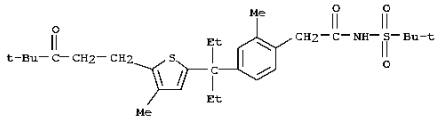


RN 633344-96-4 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

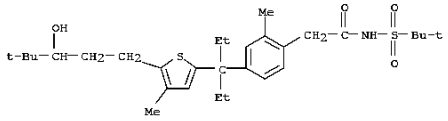
L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



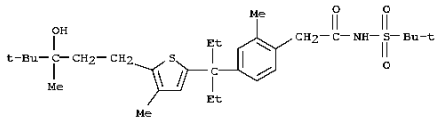
RN 633344-97-5 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)



RN 633344-98-6 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

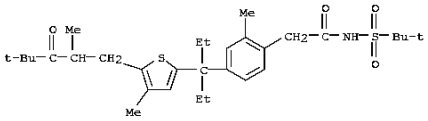


RN 633344-99-7 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

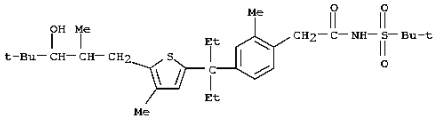


L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

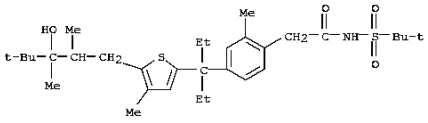
RN 633345-00-3 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-01-4 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

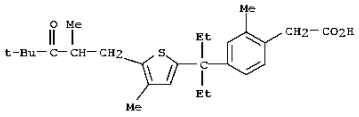


RN 633345-02-5 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

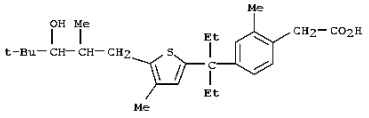


RN 633345-45-6 CAPLUS
CN Benzeneacetic acid, 4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)

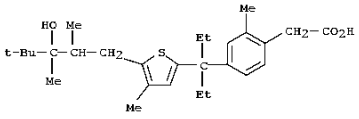
L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



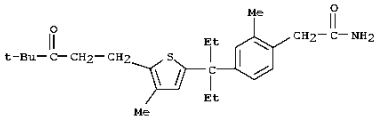
RN 633345-49-0 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



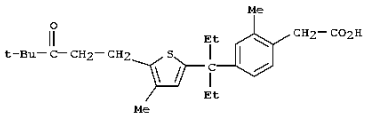
RN 633345-50-3 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



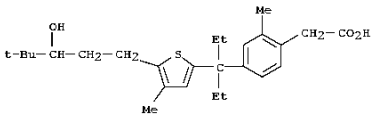
RN 633345-51-4 CAPLUS
CN Benzeneacetamide, 4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)



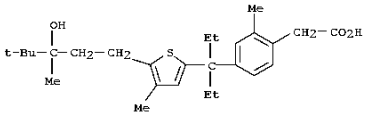
L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 633345-46-7 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



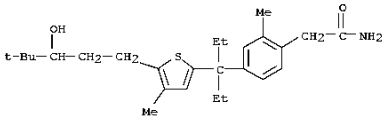
RN 633345-47-8 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



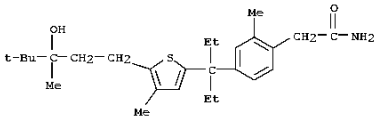
RN 633345-48-9 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

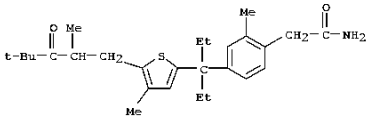
RN 633345-52-5 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-53-6 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

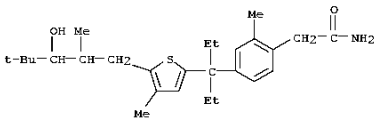


RN 633345-54-7 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

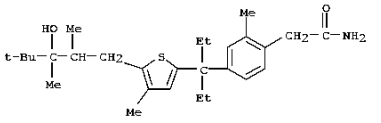


RN 633345-55-8 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

L35 ANSWER 127 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 633345-56-9 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

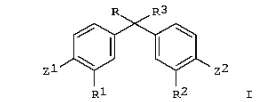
L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:610156 CAPLUS
DOCUMENT NUMBER: 141:156925
TITLE: Preparation of diphenylmethane derivatives as vitamin D receptor modulators for use in vesicant treatment
INVENTOR(S): Nagpal, Sunil; Yee, Ying Kwong
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063345	A2	20040729	WO 2004-US5	20040107
WO 2004063345	A3	20060112		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1587906	A2	20051026	EP 2004-700550	20040107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060094778	A1	20060504	US 2005-538142	20050608

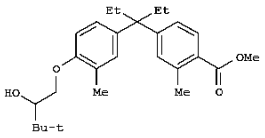
PRIORITY APPLN. INFO.: US 2003-439580P P 20030110
WO 2004-US5 W 20040107

OTHER SOURCE(S): MARPAT 141:156925
GI

L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



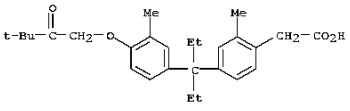
II

AB Title compds. I [R and R3 independently = alkyl, fluoroalkyl, or together form a (un)substituted (un)saturated carbocyclic ring; R1 and R2 independently = H, halo, alkyl, fluoroalkyl, alkoxy, etc.; Z1 = alkyl group linked via hydroxyalkyl, alkyloxy, thioalkyl, alkylcarbonyl, etc.; Z2 = CO2H, CO2Me, CO2Et, CH2CO2H, etc.], their pharmaceutically acceptable salts and compns. thereof, are prepared and disclosed as vitamin D receptor modulators. Thus, e.g., II was prepared by reaction of two equivalent of o-cresol with 3-pentanone, followed by mono O-alkylation with 3,3-dimethyl-1-bromo-2-butanone, O-sulfonation with triflic anhydride, carbonyl reduction and desulfonation/carbonylation. In assays to determine vitamin D receptor (VDR) modulating activity, compds. of the invention possessed EC50 values (nm) from 1-562. The present invention relates to a method of treating or preventing damage to human skin cells by chemical vesicants by administering a non-secosteroidal, di-Ph compound with VDR modulating activity.

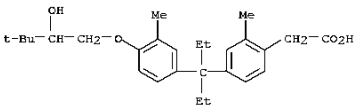
IT 700820-71-9P 700820-72-0P 700820-73-1P
700820-74-2P 700820-75-3P 700820-76-4P
700820-77-5P 700820-78-6P 700820-79-7P
700820-80-0P 700820-81-1P 700820-82-2P
700825-92-9P 700825-93-0P 700825-94-1P
700825-95-2P 700825-96-3P 700825-97-4P
700825-98-5P 700825-99-6P 700826-00-2P
700826-01-3P 700826-02-4P 700826-03-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diphenylmethane derivs. with vitamin D receptor modulator activity for vesicant treatment)

RN 700820-71-9 CAPLUS

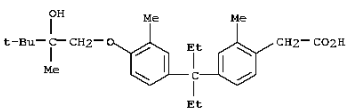
L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzeneacetic acid, 4-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-methylphenyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)



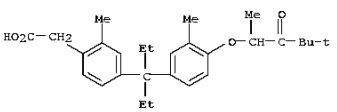
RN 700820-72-0 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(2-hydroxy-3,3-dimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700820-73-1 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(2-hydroxy-2,3,3-trimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

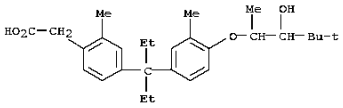


RN 700820-74-2 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[3-methyl-4-(1,3,3-trimethyl-2-oxobutoxy)phenyl]propyl]-2-methyl- (CA INDEX NAME)

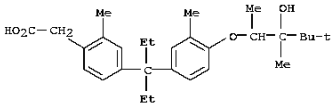


RN 700820-75-3 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(2-hydroxy-1,3,3-trimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

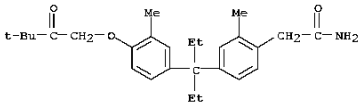
L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



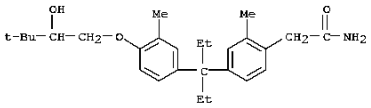
RN 700820-76-4 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(2-hydroxy-1,2,3,3-tetramethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



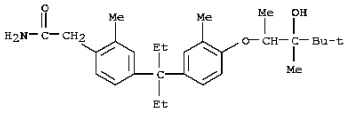
RN 700820-77-5 CAPLUS
CN Benzeneacetamide, 4-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-methylphenyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)



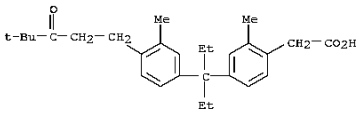
RN 700820-78-6 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(2-hydroxy-3,3-dimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



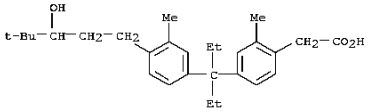
L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



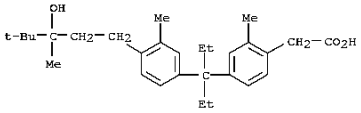
RN 700825-92-9 CAPLUS
CN Benzeneacetic acid, 4-[1-[4-(4,4-dimethyl-3-oxopentyl)-3-methylphenyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)



RN 700825-93-0 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(3-hydroxy-4,4-dimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



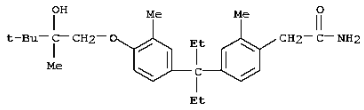
RN 700825-94-1 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(3-hydroxy-3,4,4-trimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



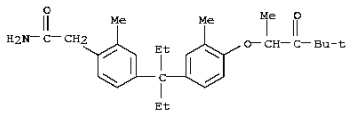
RN 700825-95-2 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[3-methyl-4-(2,4,4-trimethyl-3-

L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 700820-79-7 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(2-hydroxy-2,3,3-trimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700820-80-0 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[3-methyl-4-(1,3,3-trimethyl-2-oxobutoxy)phenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700820-81-1 CAPLUS
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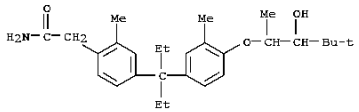
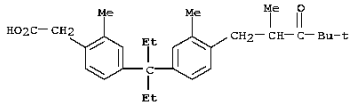


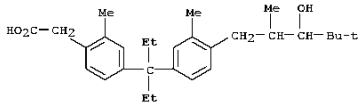
RN 700820-82-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(2-hydroxy-1,2,3,3-tetramethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

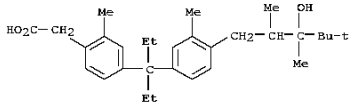
oxopentyl)phenyl]propyl]-2-methyl- (CA INDEX NAME)



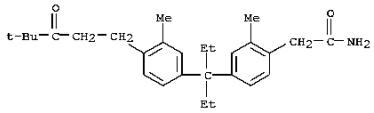
RN 700825-96-3 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(3-hydroxy-2,4,4-trimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700825-97-4 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(3-hydroxy-2,3,4,4-tetramethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

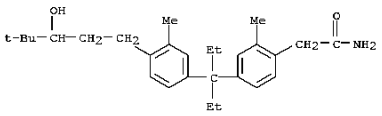


RN 700825-98-5 CAPLUS
CN Benzeneacetamide, 4-[1-[4-(4,4-dimethyl-3-oxopentyl)-3-methylphenyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)

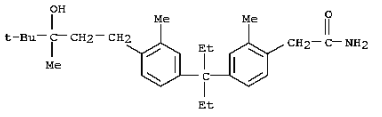


RN 700825-99-6 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(3-hydroxy-4,4-dimethylpentyl)-3-

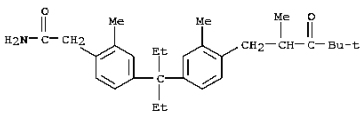
L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700826-00-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(3-hydroxy-3,4,4-trimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

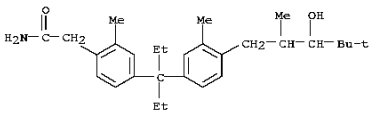


RN 700826-01-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[3-methyl-4-(2,4,4-trimethyl-3-oxopentyl)phenyl]propyl]-2-methyl- (CA INDEX NAME)

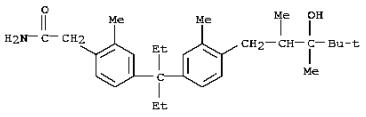


RN 700826-02-4 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(3-hydroxy-2,4,4-trimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

L35 ANSWER 128 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 700826-03-5 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(3-hydroxy-2,3,4,4-tetramethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 129 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:565050 CAPLUS
DOCUMENT NUMBER: 141:123471
TITLE: Preparation of arylsulfonamide substituted carboxylic acids as asthma and allergic inflammation modulators
INVENTOR(S): Fu, Zice; Huang, Xi Alan; Liu, Jiwen; Medina, Julio C.; Schmitt, Michael J.; Tang, Lucy H.; Wang, Yingcai; Xu, Qingge
PATENT ASSIGNEE(S): Tularik, Inc., USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058164	A2	20040715	WO 2003-US40617	20031219
WO 2004058164	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2511214	A1	20040715	CA 2003-2511214	20031219
AU 2003297398	A1	20040722	AU 2003-297398	20031219
US 20040220237	A1	20041104	US 2003-742281	20031219
US 7321001	B2	20080122		
EP 1585511	A2	20051019	EP 2003-814219	20031219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017591	A	20051122	BR 2003-17591	20031219
CN 1767823	A	20060503	CN 2003-80109723	20031219
JP 2006516143	T	20060622	JP 2004-563827	20031219
ZA 2005005523	A	20060927	ZA 2005-5523	20031219
NZ 541234	A	20080630	NZ 2003-541234	20031219
MX 2005006701	A	20060330	MX 2005-6701	20050620
US 20080085891	A1	20080410	US 2007-986863	20071126
US 7541383	B2	20090602		

PRIORITY APPLN. INFO.: US 2002-435366P P 20021220
US 2003-742281 A3 20031219
WO 2003-US40617 W 20031219

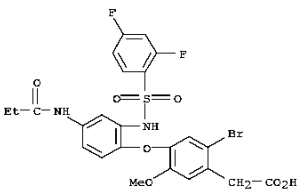
OTHER SOURCE(S): MARPAT 141:123471
GI

L35 ANSWER 129 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = SO0-2; X = O, SO0-2; R2 = (un)substituted phenyl; R3, R5 = H, halo, alkyl, fluoroalkyl, etc.; R4 = H, carboxamido, etc.; R6 = H, halo, alkyl, fluoroalkyl, etc.; R10 = H, alkyl, fluoroalkyl, etc.; L = alkylene, heteroalkylene, etc.; Z = carboxy, carboxamido, etc.; R14 = halo, alkyl, fluoroalkyl, etc.] are prepared For instance, [4-(2-nitro-4-trifluoromethylphenoxy)phenyl]acetic acid Me ester (preparation given) is reduced to the corresponding aniline (MeOH, H2-Pd/C), sulfonylated with TsCl and saponified (MeOH/H2O, LiOH) to give II. II has IC50 < 15 μM for the CRTH2 receptor. I modulate the function and/or expression of proteins involved in atopic diseases, inflammatory conditions and cancer.

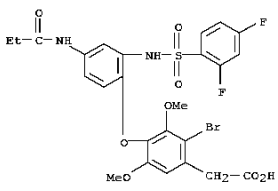
IT 721948-14-7P 721948-17-0P 721948-18-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonamide substituted carboxylic acids as asthma and allergic inflammation modulators)

RN 721948-14-7 CAPLUS
CN Benzeneacetic acid, 2-bromo-4-[2-[[(2,4-difluorophenyl)sulfonyl]amino]-4-[(1-oxopropyl)amino]phenoxy]-5-methoxy- (CA INDEX NAME)

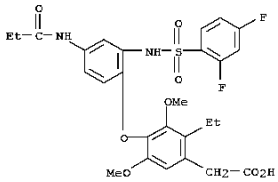


RN 721948-17-0 CAPLUS
CN Benzeneacetic acid, 2-bromo-4-[2-[[(2,4-difluorophenyl)sulfonyl]amino]-4-[(1-oxopropyl)amino]phenoxy]-3,5-dimethoxy- (CA INDEX NAME)

L35 ANSWER 129 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 721948-18-1 CAPLUS
CN Benzeneacetic acid, 4-[2-[[(2,4-difluorophenyl)sulfonyl]amino]-4-[(1-oxopropyl)amino]phenoxy]-2-ethyl-3,5-dimethoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L35 ANSWER 130 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:550957 CAPLUS
DOCUMENT NUMBER: 141:106464
TITLE: Preparation of pyrazolo[3,4-b]pyridine derivatives for use in pharmaceutical compositions as phosphodiesterase inhibitors
INVENTOR(S): Allen, David George; Coe, Diane Mary; Cook, Caroline Mary; Cooper, Anthony William James; Dowle, Michael Dennis; Edlin, Christopher David; Hamblin, Julie Nicole; Johnson, Martin Redpath; Jones, Paul Spencer; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Redgrave, Alison Judith
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

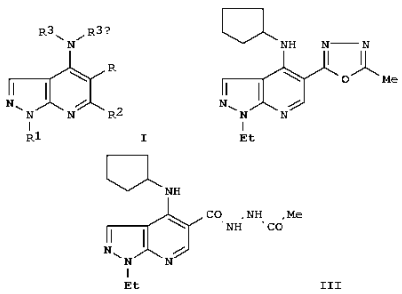
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056823	A1	20040708	WO 2003-EP14867	20031219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2511340	A1	20040708	CA 2003-2511340	20031219
AU 2003293999	A1	20040714	AU 2003-293999	20031219
EP 1581532	A1	20051005	EP 2003-789413	20031219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017645	A	20051206	BR 2003-17645	20031219
CN 1751042	A	20060322	CN 2003-80109835	20031219
JP 2006513258	T	20060420	JP 2005-502565	20031219
NZ 540923	A	20080926	NZ 2003-540923	20031219
NZ 570085	A	20090228	NZ 2003-570085	20031219
RU 2348633	C2	20090310	RU 2005-118991	20031219
AU 2004299277	A1	20050630	AU 2004-299277	20041217
CA 2557004	A1	20050630	CA 2004-2557004	20041217
WO 2005058892	A1	20050630	WO 2004-EP14490	20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

L35 ANSWER 130 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1737857	A1	20070103	EP 2004-804089	20041217
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
CN 1914205	A	20070214	CN 2004-80041657	20041217
JP 2007514704	T	20070607	JP 2006-544380	20041217
ZA 2005005074	A	20060927	ZA 2005-5074	20050622
IN 2005KN01207	A	20070713	IN 2005-KN1207	20050622
MX 2005006923	A	20050818	MX 2005-6923	20050623
NO 2005003600	A	20050822	NO 2005-3600	20050722
US 20060252790	A1	20061109	US 2006-540371	20060221
US 7528148	B2	20090505		
US 20070111995	A1	20070517	US 2006-596561	20060616
IN 2006KN01988	A	20070518	IN 2006-KN1988	20060714
ZA 2006005901	A	20071227	ZA 2006-5901	20060717
NO 2006003340	A	20060912	NO 2006-3340	20060718
US 20080132536	A1	20080605	US 2008-22372	20080130
IN 2008KN02312	A	20090116	IN 2008-KN2312	20080609
PRIORITY APPLN. INFO.:			GB 2002-30045 A	20021223
			GB 2002-30165 A	20021224
			GB 2003-7998 A	20030407
			NZ 2003-540923 A3	20031219
			WO 2003-EP14867 W	20031219
			GB 2004-5899 A	20040316
			GB 2004-5936 A	20040316
			GB 2004-6754 A	20040325
			WO 2004-EP14490 W	20041217
			IN 2005-KN1207 A3	20050622
			US 2006-596561 A1	20060616

OTHER SOURCE(S): MARPAT 141:106464
GI

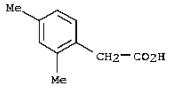
L35 ANSWER 130 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



AB Pyrazolo[3,4-b]pyridine derivs., such as I [R = heterocyclyl; R1 = (CH2)2OH, alkyl, fluoroalkyl; R2 = H, Me, fluoroalkyl; R3 = alkyl, (un)substituted-Ph, cycloalkyl, heterocyclyl, etc.; R3a = H, alkyl], were prepared for therapeutic uses as inhibitors of phosphodiesterase, particularly phosphodiesterase IV (PDE4). These pyrazolo[3,4-b]pyridines were claimed for use in the treatment and/or prophylaxis of cognitive impairment and inflammatory and/or allergic diseases, such as chronic obstructive pulmonary disease (COPD), asthma, or allergic rhinitis. Thus, pyrazolo[3,4-b]pyridine derivative II was prepared via a cyclocondensation reaction of hydrazide III using POCl3 in MeCN. The prepared pyrazolo[3,4-b]pyridine were assayed for PDE4 inhibitory activity, and systems for delivery of these PDE4 inhibitors were discussed.

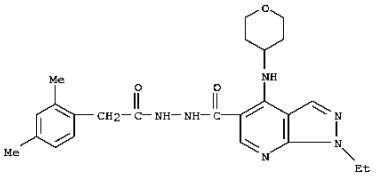
IT 6331-04-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolo[3,4-b]pyridine derivs. for use in pharmaceutical compns. as phosphodiesterase inhibitors)

RN 6331-04-0 CAPLUS
CN Benzeneacetic acid, 2,4-dimethyl- (CA INDEX NAME)



IT 720706-03-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L35 ANSWER 130 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of pyrazolo[3,4-b]pyridine derivs. for use in pharmaceutical compns. as phosphodiesterase inhibitors)
RN 720706-03-6 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 1-ethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-, 2-[2-(2,4-dimethylphenyl)acetyl]hydrazide (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L35 ANSWER 131 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:534173 CAPLUS
DOCUMENT NUMBER: 141:89016
TITLE: Preparation of benzimidazolylazabicyclooctylethylpiperidines as Ccr5 antagonists for the treatment of HIV infection
INVENTOR(S): Kazmierski, Wieslaw Mieczyslaw; Aquino, Christopher Joseph; Bifulco, Neil; Boros, Eric Eugene; Chauder, Brian Andrew; Chong, Pek Yoke; Duan, Maosheng; Deanda, Felix, Jr.; Koble, Cecilia Suarez; Mclean, Ed Williams; Peckham, Jennifer Poole; Perkins, Angilique C.; Thompson, James Benjamin; Vanderwall, Dana
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; et al.; et al.
SOURCE: PCT Int. Appl., 859 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054974	A2	20040701	WO 2003-US39644	20031212
WO 2004054974	A3	20040902		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509711	A1	20040701	CA 2003-2509711	20031212
AU 2003300902	A1	20040709	AU 2003-300902	20031212
EP 1569646	A2	20050907	EP 2003-813419	20031212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017230	A	20051025	BR 2003-17230	20031212
CN 1744899	A	20060308	CN 2003-80109628	20031212
JP 2006511554	T	20060406	JP 2004-560838	20031212
NO 2005002739	A	20050819	NO 2005-2739	20050607
US 20060229336	A1	20061012	US 2005-538144	20050609
MX 2005006354	A	20050826	MX 2005-6354	20050613
IN 2005KN01328	A	20060630	IN 2005-KN1328	20050711
ZA 2005005600	A	20060927	ZA 2005-5600	20050712

PRIORITY APPLN. INFO.: US 2002-433634P P 20021213
WO 2003-US39644 W 20031212

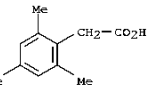
OTHER SOURCE(S): MARPAT 141:89016
GI

L35 ANSWER 131 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. I [R1 = (optionally substituted) alkyl, aryl, heteroaryl, carbocyclyl; R2 = H, (optionally substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroarylalkyl, heteroarylcycloalkyl, aralkylcarbonyl, heteroarylsulfinyl; R3 = H, halo, cyano, trifluoromethyl, (optionally substituted) amino, acylamino, alkyl; X = C1-5 alkylene, optionally substituted with oxo or thioxo groups or halogen atoms, and optionally containing 1-3 oxygen, nitrogen, sulfur, or phosphorus atoms; Y = carbonyl, thiocarbonyl, 1,2-dioxoethylene, oxyalkylcarbonyl, sulfinyl, sulfonyl, oxycyanoimino, (optionally substituted) aminocarbonyl, carbonylamino, aminothiocarbonyl, oxyiminomethyl, thioiminomethyl, amino(cyanoimino)methyl, (cyanoimino)methyl, amino(acylimino)methyl, amino(sulfonylimino)methyl, amino(sulfinylimino)methyl, amino(alkoxyimino)methyl, amino(imino)methyl, (cyanoimino)methoxy, iminomethoxy, (cyanoimino)methanethiyl, alkylcarbonyloxy; A = saturated, partially saturated, or aromatic monocyclic ring with 5-6 atoms or a bicyclic ring with 8-10 members containing 0-5 nitrogen, oxygen, and/or sulfur atoms] such as II are prepared I are prepared as Ccr5 antagonists for the treatment of viral infections, (particularly HIV infection), related syndromes such as AIDS-related complex (ARC), progressive generalized lymphadenopathy, Kaposi's sarcoma, and neurol. conditions, and other diseases such as multiple sclerosis, rheumatoid arthritis, Crohn's disease, and immune-mediated disorders. The invention compds. have pIC50 values of ≥5 in assays for Ccr5 antagonism. Piperidineacetaldehyde III is prepared in four steps from 4-phenyl-4-piperidinecarbonitrile by protection of the piperidine with Boc anhydride, reduction of the nitrile with diisobutylaluminum hydride, Wittig olefination with methoxymethylphosphonium chloride, and hydrolysis of the enol ether with catalytic p-toluenesulfonic acid monohydrate. The hydrochloride of endo-(benzimidazolyl)azabicyclooctane IV is prepared in five steps from tert-Bu endo-3-oxo-8-azabicyclo[3.2.1]octane-8-carboxylate; reductive amination with benzylamine, reductive cleavage of the benzyl group by palladium-mediated hydrogenation, a nucleophilic aryl substitution reaction with 1-fluoro-2-nitrobenzene, reduction of the nitro group by hydrogenation over palladium on carbon, and treatment with tri-Et orthoacetate followed by treatment with hydrochloric acid in ethanol. Coupling of III and IV by reductive amination with sodium triacetoxyborohydride, cleavage of the Boc group with hydrochloric acid in dioxane, and acylation with pivaloyl chloride and triethylamine yields II.
IT 4408-60-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in

L35 ANSWER 131 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
treatment of bacterial and viral infections and other diseases)
RN 4408-60-0 CAPLUS
CN Benzeneacetic acid, 2,4,6-trimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

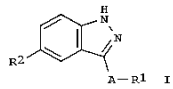
L35 ANSWER 132 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:533982 CAPLUS
DOCUMENT NUMBER: 141:89085
TITLE: Preparation of indazole derivatives as JNK enzyme inhibitors
INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.; Buhr, Chris A.; Albers, Ronald; Sapienza, John; Plantevin, Veronique; Chao, Qi; Sahasrabudhe, Kiran; Ferri, Rachel
PATENT ASSIGNEE(S): Signal Pharmaceuticals, LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 275 pp., Cont.-in-part of U.S. Ser. No. 910,950.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040127536	A1	20040701	US 2003-414839	20030416
US 7211594	B2	20070501		
US 20020103229	A1	20020801	US 2001-910950	20010723
US 6897231	B2	20050524		
US 20040077877	A1	20040422	US 2003-673121	20030926
US 7220771	B2	20070522		
US 20050009876	A1	20050113	US 2003-718185	20031119
AU 2004232981	A1	20041104	AU 2004-232981	20040416
CA 2522682	A1	20041104	CA 2004-2522682	20040416
WO 2004094388	A2	20041104	WO 2004-US11958	20040416
WO 2004094388	A3	20041209		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1618093	A2	20060125	EP 2004-750298	20040416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009417	A	20060425	BR 2004-9417	20040416
JP 2006523721	T	20061019	JP 2006-513108	20040416
US 20050107457	A1	20050519	US 2004-462	20041130
US 7208513	B2	20070424		
MX 2005010958	A	20060130	MX 2005-10958	20051012
US 20070060616	A1	20070315	US 2006-512836	20060830

PRIORITY APPLN. INFO.: US 2000-221799P P 20000731
US 2001-910950 A2 20010723

L35 ANSWER 132 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 2003-414839 A2 20030416
US 2003-718185 A1 20031119
WO 2004-US11958 W 20040416

OTHER SOURCE(S): MARPAT 141:89085
GI

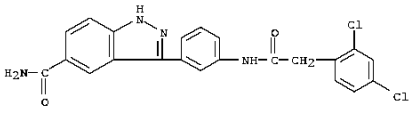


AB Indazole deriva. I [A = a bond, (CH2)a, (CH2)bCH:CH(CH2)c, (CH2)bC.tplbond.C(CH2)c; R1 = (un)substituted aryl, heteroaryl or heterocycle fused to Ph; R2 = R3, R4, (CH2)bC(O)R5, (CH2)bC(:O)OR5, (CH2)bC(O)NR5R6, (CH2)bC(O)NR5(CH2)cC(O)R6, (CH2)bNR5C(O)R6, (CH2)bNR5C(O)NR6R7, (CH2)bNR5R6, (CH2)bOR5, (CH2)bSOdR5 or (CH2)bSO2NR5R6;
a = 1-6; b, c = 0-4; d = 0-2; R3 = halo, OH, CO2H, carboxy, etc.; R4 = (un)substituted alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, or R4 = halo or OH; R5-R7 = H, (un)substituted alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl; with the provisos] having activity as selective inhibitors of JNK, are disclosed. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed,
as are pharmaceutical compns. containing one or more compds. of the above compds. Many of the claimed compds. have IC50 values ≤0.5 μM in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of preparation are not claimed, >400 example
preprns. are included.

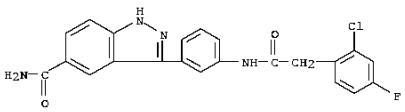
IT 395106-57-7P, 3-[3-[2-(2,4-Dichlorophenyl)acetylamino]phenyl]-1H-indazole-5-carboxamide 395106-60-2P, 3-[3-[2-(2-Chloro-4-fluorophenyl)acetylamino]phenyl]-1H-indazole-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazole derivs. as JNK enzyme inhibitors)

RN 395106-57-7 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-[3-[[2-(2,4-dichlorophenyl)acetyl]amino]phenyl]- (CA INDEX NAME)

L35 ANSWER 132 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

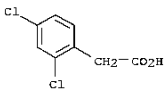


RN 395106-60-2 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-[3-[[2-(2-chloro-4-fluorophenyl)acetyl]amino]phenyl]- (CA INDEX NAME)

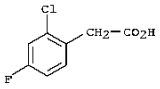


IT 19719-28-9, 2,4-Dichlorophenylacetic acid 177985-32-9, 2-Chloro-4-fluorophenylacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of indazole derivs. as JNK enzyme inhibitors)

RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)



RN 177985-32-9 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-fluoro- (CA INDEX NAME)



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (64 CITINGS)
REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS

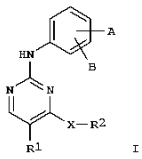
L35 ANSWER 132 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 133 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:467870 CAPLUS
DOCUMENT NUMBER: 141:38625
TITLE: Preparation of Chk-, pdk- and akt-inhibitory pyrimidines
INVENTOR(S): Bryant, Judi; Kochanny, Monica; Yuan, Shendong; Khim, Seock-Kuy; Buckman, Brad; Arnaiz, Damian; Boemer, Ulf;
Briem, Hans; Esperling, Peter; Huwe, Christoph; Kuhnke, Joachim; Schaefer, Martina; Wortmann, Lars; Kosemund, Dirk; Eckle, Emil; Feldman, Richard; Phillips, Gary
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048343	A1	20040610	WO 2003-EP13443	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2502970	A1	20040610	CA 2003-2502970	20031128
AU 2003288198	A1	20040618	AU 2003-288198	20031128
US 20040186118	A1	20040923	US 2003-722591	20031128
US 7504410	B2	20090317		
EP 1565446	A1	20050824	EP 2003-780086	20031128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016680	A	20051018	BR 2003-16680	20031128
CN 1717396	A	20060104	CN 2003-80104544	20031128
JP 2006508997	T	20060316	JP 2004-554522	20031128
NZ 539823	A	20080430	NZ 2003-539823	20031128
IN 2005DN01603	A	20070202	IN 2005-DN1603	20050420
MX 2005005547	A	20050726	MX 2005-5547	20050525
NO 2005003144	A	20050627	NO 2005-3144	20050627
ZA 2005005184	A	20060927	ZA 2005-5184	20050627

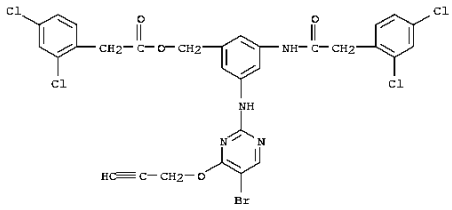
PRIORITY APPLN. INFO.: EP 2002-26607 A 20021128
US 2002-430084P P 20021202
WO 2003-EP13443 W 20031128

L35 ANSWER 133 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 141:38625
GI



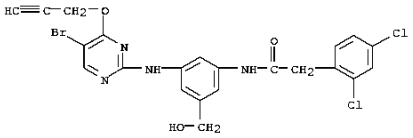
I

AB The title compds. [I; A, B = CN, halo, H, OH, etc.; X = O, (un)substituted NH; R1 = H, halo, CH2OH, alkyl, etc.; R2 = H, (un)substituted NHCO-aryl or alkyl] which are inhibitors of kinases useful as medications for treating various diseases, were prepared E.g., a multi-step synthesis of 5-bromo-4-[2-(1H-imidazol-4-yl)ethylamino]-2-(4-pyrrolidin-1-ylmethylphenylamino)pyrimidine, starting from 5-bromouracil, was given. Biol. data for inhibition of Akt-2, Chk-1, and VEGFR-II (KDR) were given. The pharmaceutical composition comprising the compds. I is claimed.
IT 702674-19-9P 702674-22-4P 702679-04-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Chk-, pdk- and akt-inhibitory pyrimidines)
RN 702674-19-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro-, [3-[[5-bromo-4-(2-propyn-1-yloxy)-2-pyrimidinyl]amino]-5-[[2-(2,4-dichlorophenyl)acetyl]amino]phenyl]methyl ester (CA INDEX NAME)



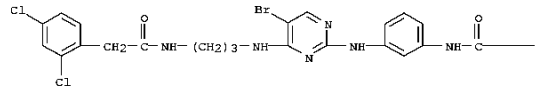
L35 ANSWER 133 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 702674-22-4 CAPLUS
CN Benzeneacetamide, N-[3-[[5-bromo-4-(2-propyn-1-yloxy)-2-pyrimidinyl]amino]-5-(hydroxymethyl)phenyl]-2,4-dichloro- (CA INDEX NAME)



RN 702679-04-7 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[3-[[5-bromo-4-[[3-[[2-(2,4-dichlorophenyl)acetyl]amino]propyl]amino]-2-pyrimidinyl]amino]phenyl]-(CA INDEX NAME)

PAGE 1-A



PAGE 1-B

OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:467841 CAPLUS
DOCUMENT NUMBER: 141:38355
TITLE: Preparation of non-secosteroidal diaryl compounds as vitamin D receptor modulators for the treatment of bone disease, psoriasis, and other related diseases
INVENTOR(S): Bunel, Emilio Enrique; Gajewski, Robert Peter; Jones, Charles David; Lu, Jianliang; Ma, Tianwei; Nagpal, Sunil; Yee, Ying Kwong
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048309	A1	20040610	WO 2003-US35055	20031120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2506891	A1	20040610	CA 2003-2506891	20031120
AU 2003287500	A1	20040618	AU 2003-287500	20031120
EP 1565422	A1	20050824	EP 2003-781741	20031120
EP 1565422	B1	20090204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, BG, CZ, EE, HU, SK, TR				
CN 1714070	A	20051228	CN 2003-80103892	20031120
CN 100408539	C	20080806		
BR 2003016401	A	20060221	BR 2003-16401	20031120
JP 2006507344	T	20060302	JP 2004-555373	20031120
AT 422197	T	20090215	AT 2003-781741	20031120
ES 2319984	T3	20090518	ES 2003-781741	20031120
MX 2005005439	A	20050803	MX 2005-5439	20050520
IN 2005KN01191	A	20060721	IN 2005-KN1191	20050621
US 20090018058	A1	20090115	US 2008-534920	20080520
US 7566803	B2	20090728		

PRIORITY APPLN. INFO.: US 2002-429041P P 20021122
WO 2003-US35055 W 20031120

OTHER SOURCE(S): MARPAT 141:38355
GI

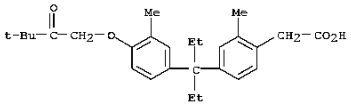
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The present invention relates to the preparation of novel, non-secosteroidal, diaryl compds. I (R1 and R2 are independently H, F, Cl, CF3, CH2F, CHF2, OMe, OEt, vinyl, Me, Et, Pr, 1-methylethyl, 1,1-dimethylethyl, Bu, 1-methylpropyl, 2-methylpropyl or cyclopropyl; R3 = 1-methylethyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl or substituted pentyls; R4 and R5 are independently Me, Et, Pr, or 1-methylethyl; L1 = O, CH2, C(O), CHOH, CH(Me), or C(Me)OH; L2 = CH2, C(O), CHOH, CH(Me), or C(Me)OH; or L1 and L2 as a group = CH2-CH2, CH:CH, Or C:C; L3 = CH2, C(O), CHOH, CH(Me), or C(Me)OH; R6 = substituted carboxylic acids, esters and amide) as vitamin D receptor modulators for the treatment of bone disease, psoriasis, and other related diseases. Thus, o-cresol, 3-pentanone, and methanesulfonic acid were reacted to give 3',3'-Bis[4-hydroxy-3-methylphenyl]pentane which was treated with 3,3-dimethyl-1-bromo-2-butanone to give II. II was treated with Tf2O to give the corresponding triflate, followed by reduction of the ketone to the alc. using NaBH4. The alc. was treated with Pd(OAc)2, Dppf, MeOH, Et3N, DMF, and pressurized carbon monoxide (1,000 psi) for 48 h to give III which had an EC50 of 21 nm in an OCN promoter assay.

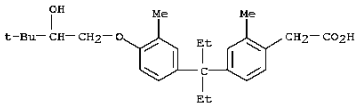
IT 700820-71-9P 700820-72-0P 700820-73-1P
700820-74-2P 700820-75-3P 700820-76-4P
700820-77-5P 700820-78-6P 700820-79-7P
700820-80-0P 700820-81-1P 700820-82-2P
700825-92-9P 700825-93-0P 700825-94-1P
700825-95-2P 700825-96-3P 700825-97-4P
700825-98-5P 700825-99-6P 700826-00-2P
700826-01-3P 700826-02-4P 700826-03-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diaryl vitamin D receptor modulators for treatment of bone disease, psoriasis and other implicated diseases)

RN 700820-71-9 CAPLUS
CN Benzeneacetic acid, 4-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-methylphenyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)

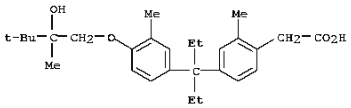


RN 700820-72-0 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(2-hydroxy-3,3-dimethylbutoxy)-3-

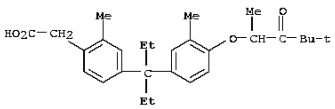
L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



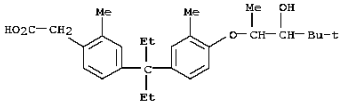
RN 700820-73-1 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(2-hydroxy-2,3,3-trimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



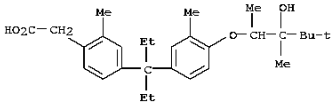
RN 700820-74-2 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[3-methyl-4-(1,3,3-trimethyl-2-oxobutoxy)phenyl]propyl]-2-methyl- (CA INDEX NAME)



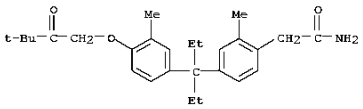
RN 700820-75-3 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(2-hydroxy-1,3,3-trimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



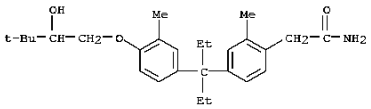
L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 700820-76-4 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(2-hydroxy-1,2,3,3-tetramethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



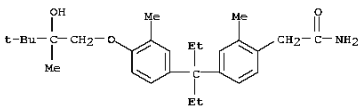
RN 700820-77-5 CAPLUS
CN Benzeneacetamide, 4-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-methylphenyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)



RN 700820-78-6 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(2-hydroxy-3,3-dimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

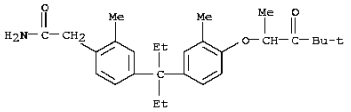


RN 700820-79-7 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(2-hydroxy-2,3,3-trimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

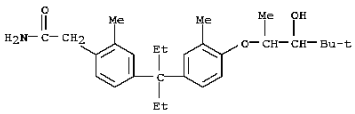


RN 700820-80-0 CAPLUS

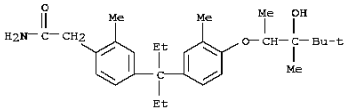
L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzeneacetamide, 4-[1-ethyl-1-[3-methyl-4-(1,3,3-trimethyl-2-oxobutoxy)phenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700820-81-1 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(2-hydroxy-1,3,3-trimethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

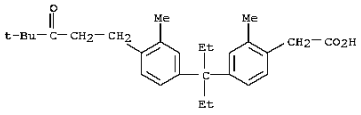


RN 700820-82-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(2-hydroxy-1,2,3,3-tetramethylbutoxy)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

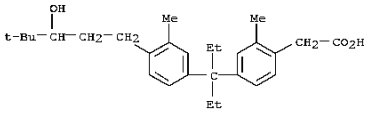


RN 700825-92-9 CAPLUS
CN Benzeneacetic acid, 4-[1-[4-(4,4-dimethyl-3-oxopentyl)-3-methylphenyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)

L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 700825-93-0 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(3-hydroxy-4,4-dimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700825-94-1 CAPLUS
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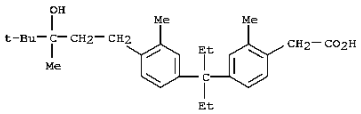
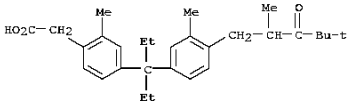
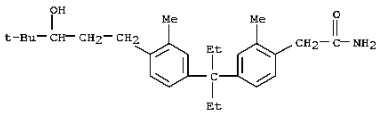


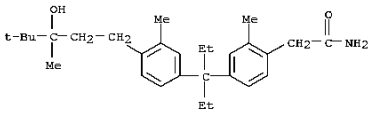
RN 700825-95-2 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[3-methyl-4-(2,4,4-trimethyl-3-oxopentyl)phenyl]propyl]-2-methyl- (CA INDEX NAME)



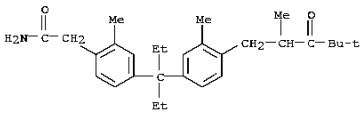
L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



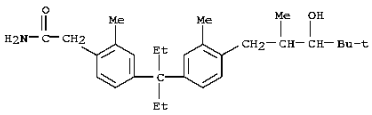
RN 700826-00-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(3-hydroxy-3,4,4-trimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700826-01-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[3-methyl-4-(2,4,4-trimethyl-3-oxopentyl)phenyl]propyl]-2-methyl- (CA INDEX NAME)



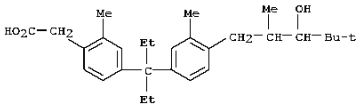
RN 700826-02-4 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(3-hydroxy-2,4,4-trimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



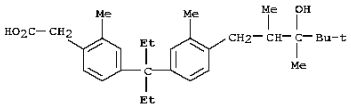
RN 700826-03-5 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(3-hydroxy-2,3,4,4-tetramethylpentyl)-3-

L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 700825-96-3 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(3-hydroxy-2,4,4-trimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700825-97-4 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[4-(3-hydroxy-2,3,4,4-tetramethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



RN 700825-98-5 CAPLUS
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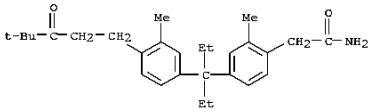
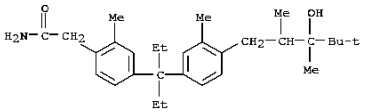


RN 700825-99-6 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-(3-hydroxy-4,4-dimethylpentyl)-3-methylphenyl]propyl]-2-methyl- (CA INDEX NAME)

L35 ANSWER 134 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
methylphenyl]propyl]-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L35 ANSWER 135 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:412940 CAPLUS
DOCUMENT NUMBER: 141:7105
TITLE: Preparation of thienyl- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases
INVENTOR(S): Cao, Jingrong; Gao, Huai; Green, Jeremy; Marhefka, Craig
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041813	A1	20040521	WO 2003-US34319	20031030
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2504320	A1	20040521	CA 2003-2504320	20031030
AU 2003288956	A1	20040607	AU 2003-288956	20031030
US 20040122016	A1	20040624	US 2003-696862	20031030
EP 1558607	A1	20050803	EP 2003-781448	20031030
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CN 1732164	A	20060208	CN 2003-80108111	20031030
JP 2006514684	T	20060511	JP 2005-502202	20031030
NZ 540161	A	20080328	NZ 2003-540161	20031030
NO 2005002595	A	20050627	NO 2005-2595	20050530

PRIORITY APPLN. INFO.:
US 2002-422441P P 20021030
US 2003-476433P P 20030606
US 2003-476691P P 20030606
US 2003-479903P P 20030619
WO 2003-US34319 W 20031030

OTHER SOURCE(S): MARPAT 141:7105
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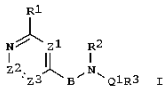
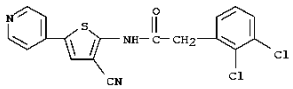
L35 ANSWER 135 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)


I

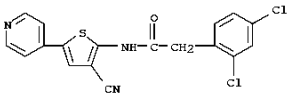
AB Title compds. [I; B = Q4, Q5, Q6; R1 = halo, cyano, NO2, VmR; Z1, Z3 = N, CRz; Z2 = N, CR1; Rz = halo, cyano, NO2, UnR'; R2 = UnR'; X1, X2 = CR4, N;
R4 = halo, cyano, NO2, VmR; U, V = (substituted) alkylidene optionally interrupted by NR, O, S, CS, SO, SO2, CO2, etc.; m, n = 0, 1; R = H, (substituted) aliphatyl; R' = R, (unsatd.) (heterocyclic) mono- or bicyclic ring; Q1 = CO, SO2, CONR, SO2NR; R3 = Q2Ar1; R2Q1R3 = atoms to form a cyclic group; Ar1 = (unsatd.) (heterocyclic) mono- or bicyclic ring; with provisos], were prepared Thus, 2-chloro-N-(4-pyridin-4-ylthiazol-2-yl)acetamide and N-methylaniline were stirred overnight in DMF at 70° to give 2-(methylphenylamino)-N-(4-pyridin-4-ylthiazol-2-yl)acetamide. Certain I were shown to inhibit ROCK I, ERK2, GSK3, and PKA with Ki <1 μM.

IT 692865-71-7P 692865-76-2P 692866-21-0P
692869-70-8P 692869-76-4P 692870-36-3P
692871-96-8P 692872-06-3P 692873-00-0P
692875-92-6P 692877-26-2P 692881-07-5P
692881-12-2P 692886-95-6P 692887-58-4P
692887-63-1P 692888-32-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of thiophene- and thiazolecarboxamides as
inhibitors of ROCK, ERK, GSK, and AGC protein kinases)

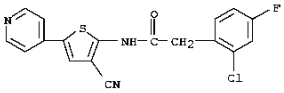
RN 692865-71-7 CAPLUS
CN Benzeneacetamide, 2,3-dichloro-N-[3-cyano-5-(4-pyridinyl)-2-thienyl]- (CA
INDEX NAME)



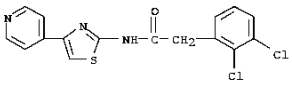
L35 ANSWER 135 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 692865-76-2 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[3-cyano-5-(4-pyridinyl)-2-thienyl]- (CA
INDEX NAME)



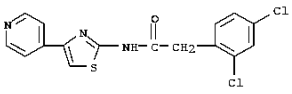
RN 692866-21-0 CAPLUS
CN Benzeneacetamide,
2-chloro-N-[3-cyano-5-(4-pyridinyl)-2-thienyl]-4-fluoro-
(CA INDEX NAME)



RN 692869-70-8 CAPLUS
CN Benzeneacetamide, 2,3-dichloro-N-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX
NAME)

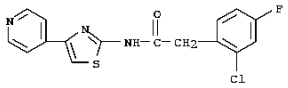


RN 692869-76-4 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX
NAME)



RN 692870-36-3 CAPLUS

L35 ANSWER 135 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzeneacetamide, 2-chloro-4-fluoro-N-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



RN 692871-96-8 CAPLUS
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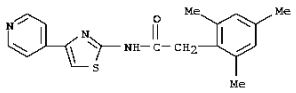

RN 692872-06-3 CAPLUS
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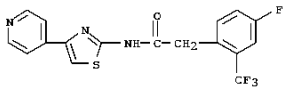
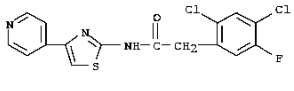
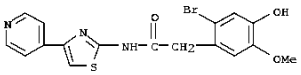


RN 692873-00-0 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-5-fluoro-N-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



RN 692875-92-6 CAPLUS
CN Benzeneacetamide, 2-bromo-4-hydroxy-5-methoxy-N-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)

L35 ANSWER 135 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 692877-26-2 CAPLUS
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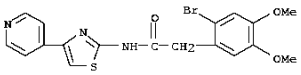

RN 692881-07-5 CAPLUS
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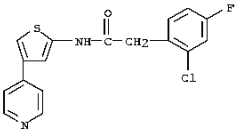

RN 692881-12-2 CAPLUS
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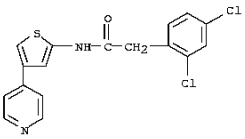
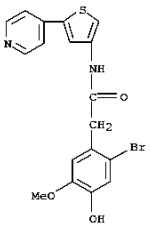
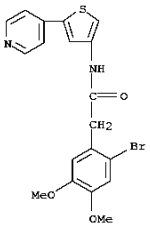


RN 692886-95-6 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[5-(4-pyridinyl)-3-thienyl]- (CA INDEX

L35 ANSWER 135 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

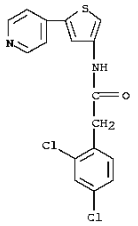


RN 692888-32-7 CAPLUS
CN Benzeneacetamide, 2-bromo-4,5-dimethoxy-N-[5-(4-pyridinyl)-3-thienyl]- (CA INDEX NAME)

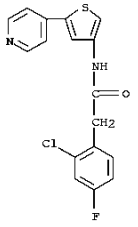


OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 135 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
NAME)



RN 692887-58-4 CAPLUS
CN Benzeneacetamide, 2-chloro-4-fluoro-N-[5-(4-pyridinyl)-3-thienyl]- (CA INDEX NAME)



RN 692887-63-1 CAPLUS
CN Benzeneacetamide, 2-bromo-4-hydroxy-5-methoxy-N-[5-(4-pyridinyl)-3-thienyl]- (CA INDEX NAME)

L35 ANSWER 136 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:392331 CAPLUS
DOCUMENT NUMBER: 140:406798
TITLE: Preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors
INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 875,155, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

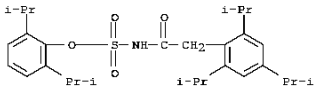
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040092573	A1	20040513	US 2003-602752	20030624
US 6812345	B2	20041102		
US 20020013334	A1	20020131	US 2001-875155	20010606
PRIORITY APPLN. INFO.:			US 2000-211595P	P 20000615
			US 2001-875155	B2 20010606

OTHER SOURCE(S): MARPAT 140:406798
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = O, S, SO, SO2, NR7; Z = HOCHCH2CH(OH)CH2CO2R3, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl, alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDL cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia and atherosclerosis (no data). A multistep synthesis of II is reported.
IT 166518-60-1, Avasimibe
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)

L35 ANSWER 136 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



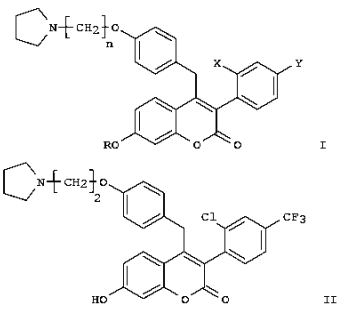
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 137 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:392330 CAPLUS
DOCUMENT NUMBER: 140:391197
TITLE: Preparation of benzopyranone compounds for modulating estrogen receptor expression
INVENTOR(S): Renaud, Johanne; Missbach, Martin; McKie, Jeffrey A.; Bhagwat, Shripad S.
PATENT ASSIGNEE(S): Switz.
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 125,965.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040092572	A1	20040513	US 2003-412997	20030414
US 6620838	B1	20030916	US 2002-125965	20020419
CA 2482986	A1	20031030	CA 2003-2482986	20030418
WO 2003089422	A1	20031030	WO 2003-US12283	20030418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003239155	A1	20031103	AU 2003-239155	20030418
AU 2003239155	B2	20081204		
EP 1497277	A1	20050119	EP 2003-733871	20030418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1659159	A	20050824	CN 2003-813696	20030418
CN 100436440	C	20081126		
JP 2006504629	T	20060209	JP 2003-586143	20030418
NZ 536291	A	20060929	NZ 2003-536291	20030418
MX 2004010433	A	20050819	MX 2004-10433	20041022
US 20070015817	A1	20070118	US 2006-523373	20060918
PRIORITY APPLN. INFO.:			US 2002-125965	A2 20020419
			US 2003-412997	A 20030414
			WO 2003-US12283	W 20030418

OTHER SOURCE(S): MARPAT 140:391197
GI

L35 ANSWER 137 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

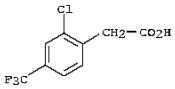


I

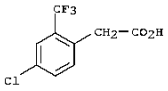
II

AB Benzopyranone compds. of formula I [R = H, acyl, etc.; X = H, halo, CF3; Y = halo, CF3; n = 2-4] are prepared for modulating gene expression in a cell expressing estrogen receptor (ER). The compds. of formula I wherein R is H can be prepared by demethylation of the corresponding phenolic Me ether.
The compds. are useful for treating a bone-resorbing disease, cancer, arthritis or an estrogen-related condition such as breast cancer, osteoporosis, endometriosis, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, and adverse reproductive effects associated with exposure to environmental chems. or natural hormonal imbalances. Thus, II was prepared from (2-chloro-4-trifluoromethylphenyl)acetic acid, 1-(2-hydroxy-4-methoxyphenyl)-2-(4-hydroxyphenyl)ethan-1-one and 1-(2-chloroethyl)pyrrolidine hydrochloride. The IC50 of II against MCF-7 breast cancer cell was 4.5 nM.
IT 601513-26-2P 601513-31-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzopyranone compds. for modulating estrogen receptor expression)
RN 601513-26-2 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-(trifluoromethyl)- (CA INDEX NAME)

L35 ANSWER 137 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 601513-31-9 CAPLUS
CN Benzeneacetic acid, 4-chloro-2-(trifluoromethyl)- (CA INDEX NAME)



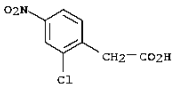
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L35 ANSWER 138 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:390211 CAPLUS
DOCUMENT NUMBER: 140:406638
TITLE: Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.
INVENTOR(S): Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald Juergen; Lustenberger, Philipp; Rudolf, Klaus; Lehmann-Lintz, Thorsten; Arndt, Kirsten; Lotz, Ralf R.
H.; Lenter, Martin; Wieland, Heike-Andrea
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; et al.
SOURCE: PCT Int. Appl., 276 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039764	A1	20040513	WO 2003-EP11933	20031028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10250743	A1	20040519	DE 2002-10250743	20021031
CA 2504207	A1	20040513	CA 2003-2504207	20031028
AU 2003285306	A1	20040525	AU 2003-285306	20031028
EP 1558567	A1	20050803	EP 2003-778292	20031028
EP 1558567	B1	20090624		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015797	A	20050913	BR 2003-15797	20031028
CN 1708476	A	20051214	CN 2003-80102236	20031028
JP 2006504761	T	20060209	JP 2004-547576	20031028
AT 434601	T	20090715	AT 2003-778292	20031028
US 20040152742	A1	20040805	US 2003-699089	20031031
US 7351719	B2	20080401		
ZA 2005001164	A	20061025	ZA 2005-1164	20050209
NO 2005000745	A	20050523	NO 2005-745	20050211
MX 2005002865	A	20050527	MX 2005-2865	20050315
IN 2005DN01643	A	20090515	IN 2005-DN1643	20050421

PRIORITY APPLN. INFO.:
DE 2002-10250743 A 20021031
US 2003-456482P P 20030321
WO 2003-EP11933 W 20031028

L35 ANSWER 138 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 140:406638
AB R1R2NXYZNR3COWABb [R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph, pyridyl; R1R2 = alkylene optionally interrupted by CH:N, CH:CH, O, S, SO, SO2, CO, imino, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; X = alkylene optionally interrupted by CH:CH, C.tplbond.C, O, S, SO, SO2, CO, imino; W = CR6aR6bO, CR7a:CR7c, etc.; z = bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy; b = 0, 1; Cy = (substituted) (unsatd.) carbocyclyl, Ph, (aromatic) heterocyclyl; R6a, R6b = H, alkyl, CF3; R7a, R7c = H, F, Cl, alkyl, CF3; with provisos and specific exceptions], were prepared for treatment of obesity, diabetes, heart failure, arteriosclerosis, hypertension, arthritis, mastocytosis, depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et3N, and N-[3-chloro-4-(2-oxoethoxy)phenyl]-2-(2,4-dichlorophenoxy)acetamide in CH2Cl2/THF were treated with NaBH(OAc)3 followed by stirring for 3 h to give 78% Me [2-[2-chloro-4-[2-(2,4-dichlorophenoxy)acetylamino]phenoxy]ethylamino]acetate. Tested title compds. bound to MCH-1 receptors with IC50 = 17-41 nM.
IT 73088-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)
RN 73088-11-6 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-nitro- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 139 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:310829 CAPLUS
DOCUMENT NUMBER: 140:303552
TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P.; Voss, Mathew E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 150 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

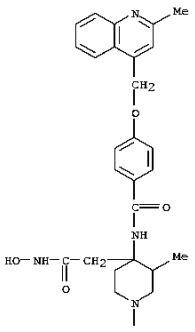
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040072802	A1	20040415	US 2002-267207	20021009

PRIORITY APPLN. INFO.:
US 2002-267207 20021009

OTHER SOURCE(S): MARPAT 140:303552
AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.
IT 362698-48-4P 362700-76-3P 362700-77-4P
362701-00-6P 362701-01-7P 362702-88-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)
RN 362698-48-4 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-1,3-dimethyl-4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]- (CA INDEX NAME)

L35 ANSWER 139 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

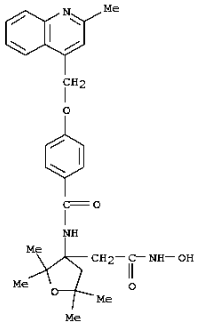
PAGE 1-A



PAGE 2-A

RN 362700-76-3 CAPLUS
CN 3-Furanacetamide, tetrahydro-N-hydroxy-2,2,5,5-tetramethyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]- (CA INDEX NAME)

L35 ANSWER 139 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

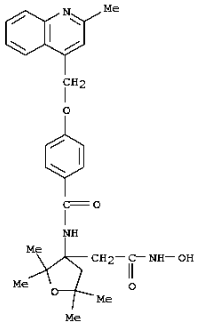


RN 362700-77-4 CAPLUS
CN 3-Furanacetamide, tetrahydro-N-hydroxy-2,2,5,5-tetramethyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-, 2,2,2-trifluoroacetate (1:1)
(CA INDEX NAME)

CM 1

CRN 362700-76-3
CMF C28 H33 N3 O5

L35 ANSWER 139 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

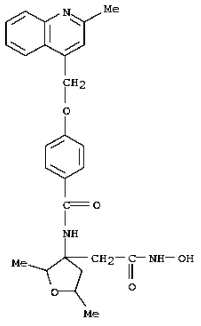


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 362701-00-6 CAPLUS
CN 3-Furanacetamide, tetrahydro-N-hydroxy-2,5-dimethyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]- (CA INDEX NAME)

L35 ANSWER 139 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

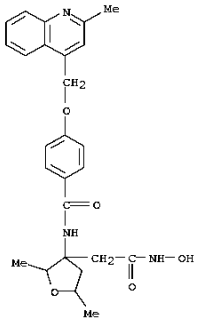


RN 362701-01-7 CAPLUS
CN 3-Furanacetamide, tetrahydro-N-hydroxy-2,5-dimethyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 362701-00-6
CMF C26 H29 N3 O5

L35 ANSWER 139 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



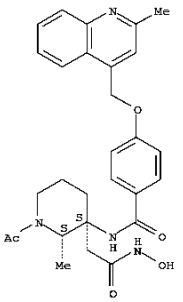
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 362702-88-3 CAPLUS
CN 3-Piperidineacetamide, 1-acetyl-N-hydroxy-2-methyl-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 139 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

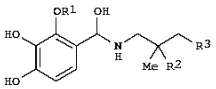
L35 ANSWER 140 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:307317 CAPLUS
DOCUMENT NUMBER: 140:321101
TITLE: Preparation of benzenedioles for treatment of respiratory tract diseases
INVENTOR(S): Bouyssou, Thierry; Buettner, Frank; Konetzki, Ingo; Pestel, Sabine; Schnapp, Andreas; Schollenberger, Hermann; Schromm, Kurt; Heine, Claudia
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10246374	A1	20040415	DE 2002-10246374	20021004
US 20040122108	A1	20040624	US 2003-666068	20030919
US 6951888	B2	20051004		
CA 2501055	A1	20040422	CA 2003-2501055	20030925
WO 2004033412	A1	20040422	WO 2003-EP10661	20030925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003270262	A1	20040504	AU 2003-270262	20030925
EP 1551792	A1	20050713	EP 2003-750623	20030925
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006502207	T	20060119	JP 2004-542369	20030925
US 20050234134	A1	20051020	US 2005-146268	20050606

PRIORITY APPLN. INFO.:	DE 2002-10246374	A	20021004
	US 2002-432499P	P	20021211
	US 2003-666068	A1	20030919
	WO 2003-EP10661	W	20030925

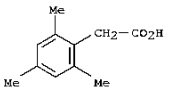
OTHER SOURCE(S): MARPAT 140:321101
GI

L35 ANSWER 140 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

AB Title compds. [I; R1, R2 = C1-4 alkyl; R3 = C1-4 alkyl, (substituted) Ph; or R2R3 = CH2CH2, (CH2)3], were prepared as β2-adrenergic sympathomimetics (no data). Thus, 1-(3,4-dihydroxy-2-methoxyphenyl)-2-(1,1-dimethylpropylamino)ethanone (preparation given) was hydrogenated by using PtO in MeOH to give 85% 4-[2-(1,1-dimethylpropylamino)-1-hydroxyethyl]-3-methoxybenzene-1,2-diole.
IT 4408-60-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzenedioles for treatment of respiratory tract diseases)
RN 4408-60-0 CAPLUS
CN Benzeneacetic acid, 2,4,6-trimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

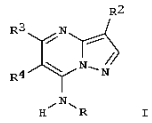
L35 ANSWER 141 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:265847 CAPLUS
DOCUMENT NUMBER: 140:321370
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: PCT Int. Appl., 609 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022561	A1	20040318	WO 2003-XA27555	20030903
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1735614	A	20060215	CN 2003-824997	20030903
CN 100376580	C	20080326		
CN 1880317	A	20061220	CN 2006-10101322	20030903
ZA 2005001855	A	20060329	ZA 2005-1855	20060117

PRIORITY APPLN. INFO.:	US 2002-408027P	P	20020904
	US 2002-421959P	P	20021029
	CN 2003-824997	A3	20030903

GI

L35 ANSWER 141 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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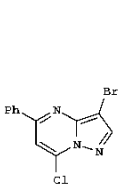

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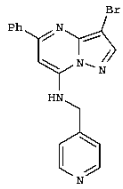


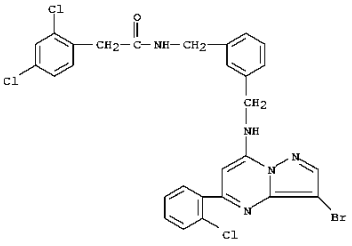
III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 677784-98-4P 677786-11-7P 677786-78-6P
677787-62-1P 677790-94-2P 677791-84-3P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

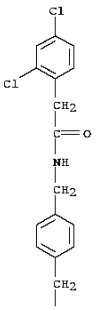
RN 677784-98-4 CAPLUS
CN Benzeneacetamide, N-[[3-[[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]phenyl]methyl]-2,4-dichloro- (CA INDEX NAME)

L35 ANSWER 141 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



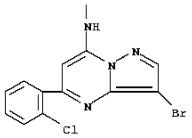
RN 677786-11-7 CAPLUS
CN Benzeneacetamide, N-[[4-[[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]phenyl]methyl]-2,4-dichloro- (CA INDEX NAME)

PAGE 1-A



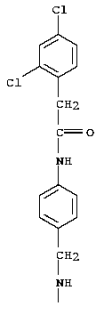
L35 ANSWER 141 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 2-A



RN 677786-78-6 CAPLUS
CN Benzeneacetamide, N-[4-[[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]phenyl]-2,4-dichloro- (CA INDEX NAME)

PAGE 1-A



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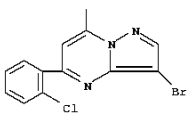
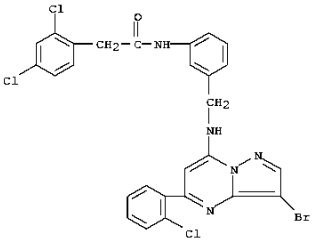
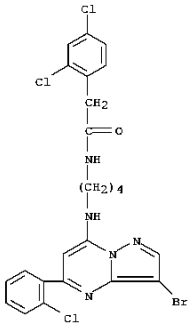


L35 ANSWER 141 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 677787-62-1 CAPLUS
CN Benzeneacetamide, N-[3-[[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]phenyl]-2,4-dichloro- (CA INDEX NAME)



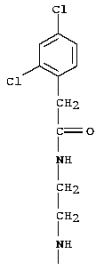
RN 677790-94-2 CAPLUS
CN Benzeneacetamide, N-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]butyl]-2,4-dichloro- (CA INDEX NAME)



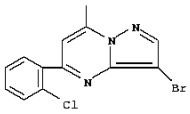
RN 677791-84-3 CAPLUS
CN Benzeneacetamide, N-[2-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-2,4-dichloro- (CA INDEX NAME)

L35 ANSWER 141 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

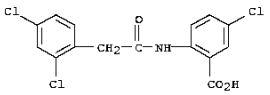
PAGE 1-A



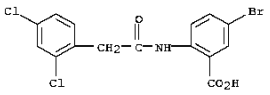
PAGE 2-A



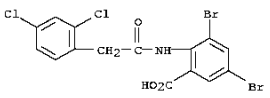
L35 ANSWER 142 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Benzoic acid, 5-chloro-2-[[2-(2,4-dichlorophenyl)acetyl]amino]- (CA INDEX NAME)



RN 672300-41-3 CAPLUS
CN Benzoic acid, 5-bromo-2-[[2-(2,4-dichlorophenyl)acetyl]amino]- (CA INDEX NAME)



RN 672300-42-4 CAPLUS
CN Benzoic acid, 3,5-dibromo-2-[[2-(2,4-dichlorophenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 142 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:220303 CAPLUS
DOCUMENT NUMBER: 140:270631
TITLE: Preparation of (hetero)arylamides as chloride channel blockers
INVENTOR(S): Dahl, Bjarne H.; Christophersen, Palle; Engsig, Michael Thyrring; Karsdal, Morten Asser; Foged, Niels Taekker; Jensen, Flemming Reissig
PATENT ASSIGNEE(S): Neurosearch A/s, Den.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022525	A1	20040318	WO 2003-DK576	20030904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003258491	A1	20040329	AU 2003-258491	20030904
PRIORITY APPLN. INFO.:			DK 2002-1307 A	20020905
			DK 2002-1309 A	20020905
			WO 2003-DK576 W	20030904

OTHER SOURCE(S): MARPAT 140:270631
AB ALB [1 of A, B = Ph, pyridyl, naphthyl, pyrolidinyl, cyclohexanyl substituted with 2 neighboring F or with tetrazolyl, CO2H; and which ring system is optionally further substituted with ≥1 halo, alkyl, Ph optionally substituted with CONRbRc; Rb, Rc = alkyl; or CH(CO2H)Rd; Rd = Ph optionally substituted by halo, CF3; the other of A, B = Ph, thienyl, indolyl, naphthyl, optionally substituted with ≥1 halo, trifluoromethyl, nitro, amino, alkoxy; L = NHCO, NHSO2, NHCOCH2, NCOCH2O, CO], were prepared for treatment of osteoporosis, cancer, glaucoma, etc. (no data). Thus, 3-chlorobenzoyl chloride and 2-amino-4-chlorobenzoic acid were heated at 90° for 4 h to give 4-chloro-2-(3-chlorobenzoylamino)benzoic acid.
IT 672300-40-2P 672300-41-3P 672300-42-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)arylamides as chloride channel blockers)
RN 672300-40-2 CAPLUS

L35 ANSWER 143 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:220082 CAPLUS
DOCUMENT NUMBER: 140:253556
TITLE: Preparation of 5-thiazolecarboxamides as protein tyrosine kinase inhibitors
INVENTOR(S): Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Doweyko, Arthur M. P.; Barrish, Joel C.; Wityak, John; Lombardo, Louis J.; Lee, Francis Y. F.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 184 pp., Cont.-in-part of U.S. 6,596,746.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040054186	A1	20040318	US 2003-395503	20030324
US 7125875	B2	20061024		
RU 2312860	C2	20071220	RU 2005-107463	20000412
CN 101481359	A	20090715	CN 2008-10181794	20000412
US 6596746	B1	20030722	US 2000-548929	20000413
US 20040024208	A1	20040205	US 2003-378372	20030303
US 6979694	B2	20051227		
US 20040073026	A1	20040415	US 2003-378461	20030303
US 7091223	B2	20060815		
US 20040077875	A1	20040422	US 2003-378373	20030303
AU 2004223828	A1	20041007	AU 2004-223828	20040323
AU 2004223828	B2	20080703		
CA 2519898	A1	20041007	CA 2004-2519898	20040323
WO 2004085388	A2	20041007	WO 2004-US8827	20040323
WO 2004085388	A3	20050630		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1610780	A2	20060104	EP 2004-758053	20040323
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BR 2004008782	A	20060328	BR 2004-8782	20040323
CN 1764454	A	20060426	CN 2004-80007845	20040323
JP 2006523216	T	20061012	JP 2006-507475	20040323
CN 1989969	A	20070704	CN 2006-10172441	20040323
NZ 542171	A	20081031	NZ 2004-542171	20040323
US 20050261305	A1	20051124	US 2005-138793	20050526
US 7189854	B2	20070313		
US 20050288303	A1	20051229	US 2005-138942	20050526
US 7153856	B2	20061226		

L35 ANSWER 143 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

NO	2005004359	A	20051019	NO 2005-4359		20050920
ZA	2005007718	A	20070228	ZA 2005-7718		20050923
US	20060079563	A1	20060413	US 2005-271626		20051110
PRIORITY APPLN. INFO.:				US 1999-129510P	P	19990415
				US 2000-548929	A2	20000413
				CN 2000-806206	A3	20000412
				RU 2000-130452	A	20000412
				US 2003-378373	A1	20030303
				US 2003-395503	A	20030324
				CN 2004-80007845	A3	20040323
				WO 2004-US8827	W	20040323

OTHER SOURCE(S): MARPAT 140:253556
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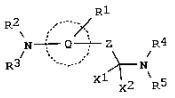

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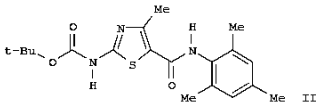


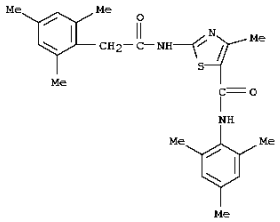
II

AB The title compds. [I; Q = (un)substituted 5-6 membered heteroaryl, aryl; Z
= a single bond, R15C:CH, (CH2)m (m = 1-2); X1, X2 = H; X1 and X2 together
= O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4, R5 = H, alkyl, alkenyl, etc.], useful in the treatment of protein tyrosine kinase-associated disorders such as immunol. and oncol. disorders (
no data), were prepared E.g., a multi-step synthesis of thiazole II was

L35 ANSWER 143 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
given. Compds. I are effective at 0.1-100 mg/kg/day. The pharmaceutical compn. comprising the title compds. is claimed.

IT 302958-86-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-thiazolecarboxamides as protein tyrosine kinase inhibitors)

RN 302958-86-7 CAPLUS
CN 5-Thiazolecarboxamide, 4-methyl-N-(2,4,6-trimethylphenyl)-2-[[2-(2,4,6-trimethylphenyl)acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)
REFERENCE COUNT: 149 THERE ARE 149 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 144 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	2004:80529 CAPLUS
DOCUMENT NUMBER:	140:133861
TITLE:	ADP antagonists and ACAT inhibitors for treating arteriosclerosis
INVENTOR(S):	Asai, Fumitoshi; Inaba, Toshimori; Ogawa, Taketoshi
PATENT ASSIGNEE(S):	Sankyo Company, Limited, Japan
SOURCE:	PCT Int. Appl., 29 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

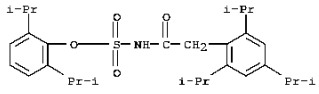
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009119	A1	20040129	WO 2003-JP9108	20030717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004051639	A	20040219	JP 2003-275276	20030716
CA 2493384	A1	20040129	CA 2003-2493384	20030717
AU 2003248077	A1	20040209	AU 2003-248077	20030717
BR 2003012778	A	20050503	BR 2003-12778	20030717
EP 1555032	A1	20050720	EP 2003-765315	20030717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1681533	A	20051012	CN 2003-821821	20030717
MX 2005000726	A	20050408	MX 2005-726	20050117
ZA 2005000431	A	20060830	ZA 2005-431	20050117
US 20050192245	A1	20050901	US 2005-522403	20050118
IN 2005KN00208	A	20060512	IN 2005-KN208	20050217
NO 2005000877	A	20050218	NO 2005-877	20050218
PRIORITY APPLN. INFO.:			JP 2002-209165	A 20020718
			WO 2003-JP9108	W 20030717

AB A medicinal composition characterized in that an ADP receptor antagonist and an
ACAT inhibitor, are administered either simultaneously or sep. at a definite interval. The medicinal composition is useful as a preventive or a
remedy for arteriosclerosis or diseases derived from arteriosclerosis, such as ischemic heart disease, ischemic brain disease, and peripheral circulation failure in warm-blooded animals (in particular, humans). For example, pharmacol. activities of 2-acetoxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine (I) and N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide sulfuric acid salt (II) were studied using rabbits and
tablets containing I 10 mg and II 30 mg each were formulated.

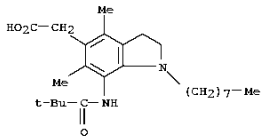
IT 166518-60-1 189198-30-9 189198-32-1

L35 ANSWER 144 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ADP antagonists and ACAT inhibitors for treatment of arteriosclerosis and related disorders thereof)

RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



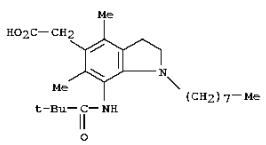
RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (CA INDEX NAME)



RN 189198-32-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

L35 ANSWER 144 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CRN 7664-93-9
CMF H2 O4 S

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(17 CITINGS)
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

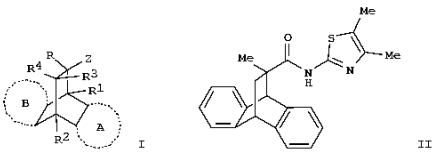
L35 ANSWER 145 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:80450 CAPLUS
DOCUMENT NUMBER: 140:145835
TITLE: Preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as modulators of the glucocorticoid receptor
INVENTOR(S): Vaccaro, Wayne; Yang, Bingwei Vera; Kim, Soong-hoon; Huynh, Tram; Tortolani, David R.; Leavitt, Kenneth J.; Li, Wenying; Doweyko, Arthur M.; Chen, Xiao-tao; Doweyko, Lidia
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; et al.
SOURCE: PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009017	A2	20040129	WO 2003-US22300	20030717
WO 2004009017	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003251970	A1	20040209	AU 2003-251970	20030717
US 20040132758	A1	20040708	US 2003-621909	20030717
US 6995181	B2	20060207		
EP 1534273	A2	20050601	EP 2003-765638	20030717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006508042	T	20060309	JP 2004-523482	20030717
NO 2005000074	A	20050309	NO 2005-74	20050106
US 20050171136	A1	20050804	US 2005-85347	20050321

PRIORITY APPLN. INFO.: US 2002-396877P P 20020718
US 2003-621909 A1 20030717
WO 2003-US22300 W 20030717

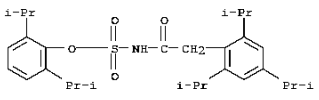
OTHER SOURCE(S): MARPAT 140:145835
GI

L35 ANSWER 145 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I II

AB Title compds. I [R-R4 = H, alk(en/yn)yl, alkoxy, aryl, etc.; Z = carboxamido, alkylamino, etc.] are prepared For instance, 2-amino-4,5-dimethylthiazole is coupled to the acid derived from the cycloaddn. of methacrylic acid and anthracene (CH3CN, EDCI, Et3N, HOAt, 18 h) to give II. I are glucocorticoid receptor modulators which are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes, inflammatory and immune disorders.
IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination pharmaceutical; preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as modulators of glucocorticoid receptor)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD
(14 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

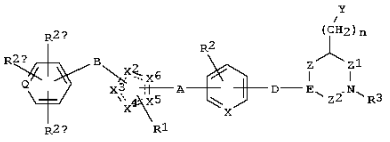
L35 ANSWER 146 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:41231 CAPLUS
DOCUMENT NUMBER: 140:111429
TITLE: Preparation of substituted heterocyclic derivatives useful as antidiabetic and antiobesity agents
INVENTOR(S): Cheng, Peter T. W.; Chen, Sean; Devasthale, Pratik; Ding, Charles Z.; Herpin, Timothy F.; Wu, Shung; Zhang, Hao; Wang, Wei; Ye, Xiang-Yang
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 543 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004665	A2	20040115	WO 2003-US22149	20030702
WO 2004004665	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003259131	A1	20040123	AU 2003-259131	20030702
JP 2005536494	T	20051202	JP 2004-520148	20030702
EP 1656368	A2	20060517	EP 2003-763485	20030702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20040063700	A1	20040401	US 2003-616365	20030708
US 7279485	B2	20071009		
NO 2005000077	A	20050203	NO 2005-77	20050106
US 20070287713	A1	20071213	US 2007-779319	20070718

PRIORITY APPLN. INFO.: US 2002-394508P P 20020709
WO 2003-US22149 W 20030702
US 2003-616365 A3 20030708

OTHER SOURCE(S): MARPAT 140:111429
GI

L35 ANSWER 146 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



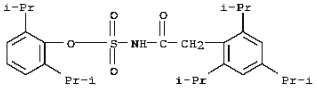
I

AB The title compds. (I) [Z1 = (CH2)q, CO; Z2 = (CH2)p, CO; D = CH, CO, (CH2)m (where m = 0-3; p = 1, 2; q = 0-2); n = 0-2; Q = C, N; A = (CH2)x (where x = 1-5); A = (CH2)x1 (where x1 = 1-5) with an alkenyl bond or an alkynyl bond embedded anywhere in the chain; or A = -(CH2)x2-O-(CH2)x3- (where X2, X3 = 0 to 5, provided that at least one of x2 and x3 is other than 0); B = a bond or (CH2)x4 (where x4 = 1-5); X = CH, N; X2-X6 = C, N, O, or S and at least one of X2-X6 is C; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halogen, (un)substituted amino; R2a, R2b, R2c = H, alkyl, alkoxy, halogen, (un)substituted amino, cyano; R3 = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, etc.; E = CH, N; Z = (CH2)x5 (where x5 is 0, i.e. a single or a double bond, 1, 2), or Z is (CH2)x6 (where x6 = 2-5), where (CH2)x6 includes an alkenyl (C:C) bond embedded within the chain or Z = -(CH2)x7-O-(CH2)x8- (where x7, x8 = 0-4); (CH2)x to (CH2)x8, (CH2)m, (CH2)n, (CH2)p and (CH2)q may be optionally substituted; Y = CO2R4 (where R4 = H, alkyl, or a prodrug ester), or Y = a C-linked 1-tetrazole, a phosphinic acid of the structure P(O)(OR4a)R5 [where R4a = H, a prodrug ester; R5 = alkyl or aryl, or a phosphonic acid of the structure P(O)(OR4a)2]] including all stereoisomers, prodrug esters, and pharmaceutically acceptable salts thereof are prepared These compds., e.g. cis-1-ethoxycarbonyl-4-[3-[2-(2-phenyl-5-methyloxazol-4-yl)ethoxy]phenyl]pyrrolidin-3-ylacetic acid and cis-1-(6-trifluoromethylpyrimidin-2-yl)-4-[3-[2-(2-phenyl-5-methyloxazol-4-yl)ethoxy]phenyl]pyrrolidine-3-carboxylic acid, modulate serum levels of blood glucose, triglyceride, insulin, and nonesterified fatty acid (NEFA) levels, and thus are particularly useful in the treatment of diabetes and obesity, especially Type 2 diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases employing such substituted acid derivs. alone or in combination with another antidiabetic agent and/or a hypolipidemic agent and/ or other therapeutic agents. Disclosed is a method for treating diabetes, especially Type 2 diabetes, and related diseases such as insulin resistance, hyperglycemia, hyperinsulinemia, elevated blood levels of fatty acids or glycerol, hyperlipidemia, obesity, hypertriglyceridemia, inflammation, Syndrome X, diabetic complications, dyametabolic syndrome, atherosclerosis, and related diseases, which comprises administering to a patient in need of treatment a therapeutically effective amt. of the compd. I. Also disclosed is a method for treating early malignant lesions (such as ductal carcinoma in situ of the breast and lobular carcinoma in situ of the breast), premalignant lesions including fibroadenoma of the breast and prostatic intraepithelial neoplasia (PIN), liposarcomas and various other epithelial tumors (including breast, prostate, colon, ovarian, gastric and lung), irritable bowel syndrome, Crohn's disease, gastric ulceritis, and osteoporosis and proliferative diseases such as psoriasis, which comprises administering to a patient in need of treatment a therapeutically effective amt. of the compd. I.

IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy; preparation of substituted heterocyclic derivs. as antidiabetic and antiobesity agents)

RN 166518-60-1 CAPLUS

CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 147 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

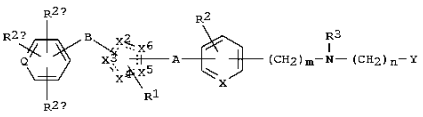
ACCESSION NUMBER: 2004:41224 CAPLUS
DOCUMENT NUMBER: 140:111417
TITLE: Preparation of substituted heterocyclic derivatives useful as antidiabetic and antiobesity agents
INVENTOR(S): Cheng, Peter T. W.; Chen, Sean; Ding, Charles Z.; Herpin, Timothy F.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004655	A2	20040115	WO 2003-US21331	20030708
WO 2004004655	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2490972	A1	20040115	CA 2003-2490972	20030708
AU 2003248861	A1	20040123	AU 2003-248861	20030708
AU 2003248861	B2	20090122		
US 20040063762	A1	20040401	US 2003-616283	20030708
US 6875782	B2	20050405		
EP 1531810	A2	20050525	EP 2003-763345	20030708
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1665500	A	20050907	CN 2003-816038	20030708
JP 2006501187	T	20060112	JP 2004-520018	20030708
NZ 537251	A	20070223	NZ 2003-537251	20030708
BR 2003012503	A	20070626	BR 2003-12503	20030708
RU 2325381	C2	20080527	RU 2005-103395	20030708
NO 2004005529	A	20050203	NO 2004-5529	20041217
US 20050119312	A1	20050602	US 2004-16183	20041217
US 7507757	B2	20090324		
IN 2004DN04103	A	20070112	IN 2004-DN4103	20041222
ZA 2005000029	A	20060628	ZA 2005-29	20050103
MX 2005000279	A	20050331	MX 2005-279	20050104

PRIORITY APPLN. INFO.:
US 2002-394553P P 20020709
US 2003-616283 A3 20030708
WO 2003-US21331 W 20030708

OTHER SOURCE(S): MARPAT 140:111417
GI

L35 ANSWER 147 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

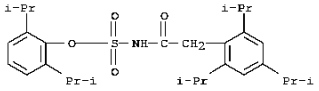
AB Compds. having general structure (I) [Q = C, N; A = (un)substituted (CH2)x (where x = 1-5) with an alkenyl bond or an alkynyl bond embedded anywhere in the chain, or A = (un)substituted -(CH2)x2-O-(CH2)x3- (where x2, x3 = 0-5, provided that at least one of x2 and x3 is other than 0); B = a bond, (un)substituted (CH2)x4 (where x4 = 1-5); X = CH, N; X2-X6= C, N, O, or S, provided that at least one of X2-X6 is N; and at least one of X2, X3, X4, X5 and X6 is C; R1 = H, alkyl; R2, R2a, R2b, R2c = H, alkyl, alkoxy, halogen, (un)substituted amino, cyano; R3 = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, etc.; Y = CO2R (where R = H, alkyl, or a prodrug ester), or Y = a C-linked 1-tetrazole, a phosphinic acid of the structure P(O)(OR4a)R5 [where R4a = H, a prodrug ester; R5 = alkyl, aryl, or a phosphonic acid of the structure P(O)(OR4a)2]] including all stereoisomers thereof, prodrug esters thereof, and pharmaceutically acceptable salts thereof are prepared These compds. such as N-[[4-(1,2,3-triazol-4-ylmethoxy)benzyl](4-methoxypheoxycarbonyl)amino]acetic acid N-[[4-[2-(1,2,3-triazol-4-yl)ethoxy]benzyl](4-methoxypheoxycarbonyl)amino]acetic acid, N-[[1-[4-(2- or 4-imidazolylmethoxy)phenyl]isopentyl](4-methoxypheoxycarbonyl)amino]acetic acid, N-[[1-[4-(1,2,4-oxadiazol-3-ylmethoxy)phenyl]isopentyl](4-methoxypheoxycarbonyl)amino]acetic acid, N-[[4-(1,2,4-oxadiazol-3-ylmethoxy)phenethyl](isobutoxycarbonyl)amino]acetic acid derivs. modulate serum levels of blood glucose, triglyceride, insulin, and nonesterified fatty acid (NEFA) and thus are particularly useful in the treatment of diabetes and obesity, especially Type 2 diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases.

IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy; preparation of substituted heterocyclic derivs. as antidiabetic and antiobesity agents)

RN 166518-60-1 CAPLUS

CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-,

L35 ANSWER 147 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)

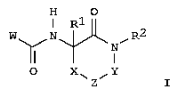


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 148 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:3661 CAPLUS
DOCUMENT NUMBER: 140:73181
TITLE: Lactam glycogen phosphorylase inhibitors and their use
in disease treatment
INVENTOR(S): Sher, Philip; Wu, Gang; Stouch, Terry; Ellsworth, Bruce
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 51 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

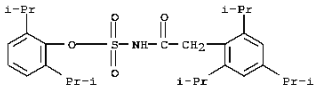
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040002495	A1	20040101	US 2003-440851	20030519
US 7057046	B2	20060606		
US 20060128687	A1	20060615	US 2006-352867	20060213
US 7425550	B2	20080916		
PRIORITY APPLN. INFO.:			US 2002-382002P	P 20020520
			US 2003-440851	A3 20030519

OTHER SOURCE(S): CASREACT 140:73181; MARPAT 140:73181
GI



AB Lactams I (W = bicyclic heteroaryl; X = O, S, SO2, CHR3, CHR3O, CHR3S, CHR3SO2, CHR3CO, CH2CHR3; Y = bond, CHR3; Z = aryl, heteroaryl; R1 = H, alkyl, aryl, alkenyl; R2 = H, alkyl, aryl, arylalkyl, heteroarylalkyl, alkenyl; R3 = H, alkyl, aryl, alkenyl, CN, tetrazole derivative, CO2R4, CONR4R4, CONR4OR4; R4 = H, alkyl, aryl, arylalkyl, heteroarylalkyl, etc.) which are glycogen phosphorylase inhibitors are disclosed. Further provided is a method for treating diabetes and related diseases employing a glycogen phosphorylase inhibiting amount of the above compound, either alone or in combination with another therapeutic agent. Thus, the syntheses of 3-(5-chloroindole-2-carbonylamino)-5-methoxy-3,4-dihydrocarbostyril and 3-(5-chloroindole-2-carbonylamino)-2,3,4,5-tetrahydro-1H-1-benzazepin-2-one, and numerous other related compds., are described.
IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lactam glycogen phosphorylase inhibitors and)

L35 ANSWER 148 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



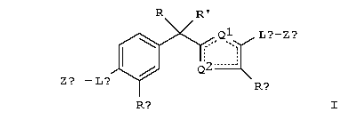
OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 174 THERE ARE 174 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:972066 CAPLUS
DOCUMENT NUMBER: 140:27753
TITLE: Preparation of phenylalkyl thiophene-type vitamin D receptor modulators for treating bone disease, psoriasis and other disorders
INVENTOR(S): Dahnke, Karl Robert; Gajewski, Robert Peter; Jones, Charles David; Linebarger, Jared Harris; Lu, Jianliang; Ma, Tianwei; Nagpal, Sunil; Simard, Todd Parker; Yee, Ying Kwong; Bunel, Emilio Enrique; Stites, Ryan Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 504 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

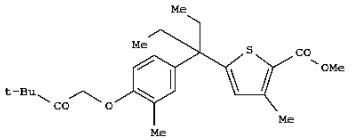
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101978	A1	20031211	WO 2003-US14539	20030522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485503	A1	20031211	CA 2003-2485503	20030522
AU 2003233505	A1	20031219	AU 2003-233505	20030522
AU 2003233505	B2	20090423		
BR 2003009983	A	20050222	BR 2003-9983	20030522
EP 1511740	A1	20050309	EP 2003-728782	20030522
EP 1511740	B1	20090708		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1656089	A	20050817	CN 2003-812198	20030522
JP 2005532348	T	20051027	JP 2004-509669	20030522
AT 435856	T	20090715	AT 2003-728782	20030522
MX 2004011903	A	20050331	MX 2004-11903	20041129
IN 2004KN01967	A	20061103	IN 2004-KN1967	20041221
US 20060287536	A1	20061221	US 2006-515403	20060125
PRIORITY APPLN. INFO.:			US 2002-384151P	P 20020529
			WO 2003-US14539	W 20030522

OTHER SOURCE(S): MARPAT 140:27753
GI

L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



II

AB The present invention relates to novel, nonsecosteroidal, phenylalkyl thiophene compds. (shown as I; variables defined below; e.g.

3'-[4-(2-oxo-3,3-dimethylbutoxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-2-yl]pentane (II)) with vitamin D receptor (VDR) modulating activity that are less hypercalcemic than 1α,25 dihydroxy vitamin D3. These compds. are useful for treating bone disease and psoriasis. For I: R and R' = C1-C5 alkyl, C1-C5 fluoroalkyl, or together R and R' form a (un)substituted, (un)saturated carbocyclic ring having 3-8 C atoms; ring atoms Q1 and Q2 = C or S, with the proviso that one atom is S and the other atom is C; RP and RT = H, halo, C1-C5 alkyl, C1-C5 fluoroalkyl, -O-C1-C5 alkyl, -S-C1-C5 alkyl, -O-C1-C5 fluoroalkyl, -CN, -NO2, acetyl, -S-C1-C5 fluoroalkyl, C2-C5 alkenyl, C3-C5 cycloalkyl, and C3-C5 cycloalkenyl; LP and LT are divalent linking bond, -(CH2)mC(X1)-
(X1 = O, S; m = 0-2), -(CH2)mCH(OH)-, etc.; ZP and ZT = H, Ph, benzyl, fluorophenyl, C1-C5 alkyl, etc.; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed,
.apprx.180 example prepns. are included. For example, II was prepared in 7
steps starting from 2-hydroxy-5-bromotoluene and tert-butyldimethylsilyl chloride and involving intermediates
2-(tert-Butyldimethylsilyloxy)-5-bromotoluene,
3'-[4-(tert-Butyldimethylsilyloxy)-3-methylphenyl]pentan-3-ol,
3'-[4-(Hydroxy)-3-methylphenyl]-3'-[4-(methyl)thiophen-2-yl]pentane,
3'-[4-(Benzyloxy)-3-methylphenyl]-3'-[4-(methyl)thiophen-2-yl]pentane,
3'-[4-(Benzyloxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-2-yl]pentane, and

3'-[4-(Hydroxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-

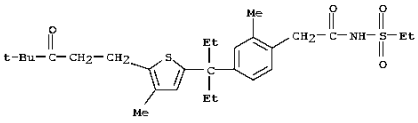
L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

2-yl]pentane with yields of 97, 72, 95, 92, 54, 100 and 85, resp.

Results
are tabulated for many of the example I for the following assays: RXR-VDR heterodimerization (SaOS-2 cells), VDR co-transfection (Caco-2 cells), osteocalcin promotor, mouse hypercalcemia, keratinocyte proliferation, and
IL-10 induction; e.g. one enantiomer of
1-[4-[1-ethyl-1-(5-hydroxymethyl-4-methylthiophen-2-yl)propyl]-2-methylphenoxy]-3,3-dimethylbutan-2-ol exhibits an EC50 = 2.8 nM in the RXR-VDR assay compared to 3 nM for the control calcipotriol.

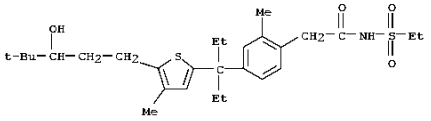
IT 633344-85-1P 633344-86-2P 633344-87-3P
633344-88-4P 633344-89-5P 633344-90-8P
633344-91-9P 633344-92-0P 633344-93-1P
633344-94-2P 633344-95-3P 633344-96-4P
633344-97-5P 633344-98-6P 633344-99-7P
633345-00-3P 633345-01-4P 633345-02-5P
633345-45-6P 633345-46-7P 633345-47-8P
633345-48-9P 633345-49-0P 633345-50-3P
633345-51-4P 633345-52-5P 633345-53-6P
633345-54-7P 633345-55-8P 633345-56-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylalkyl thiophene-type vitamin D receptor modulators for treating bone disease, psoriasis and other disorders)

RN 633344-85-1 CAPLUS
CN Benzeneacetamide, 4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

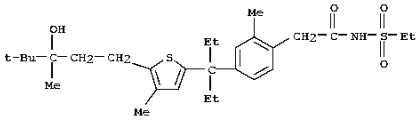


RN 633344-86-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

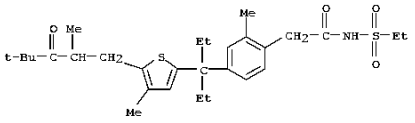
L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



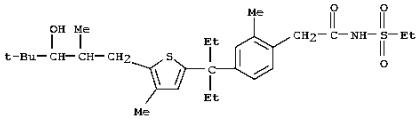
RN 633344-87-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



RN 633344-88-4 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



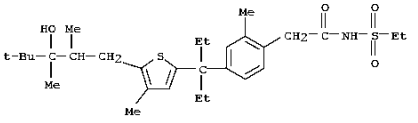
RN 633344-89-5 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



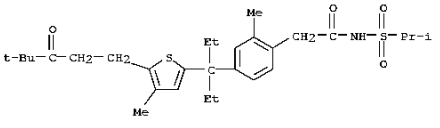
RN 633344-90-8 CAPLUS

L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

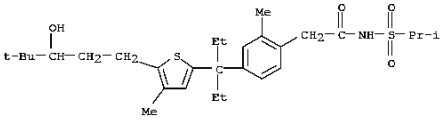
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



RN 633344-91-9 CAPLUS
CN Benzeneacetamide, 4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

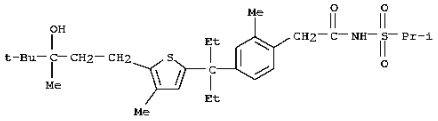


RN 633344-92-0 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

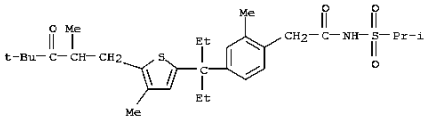


RN 633344-93-1 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

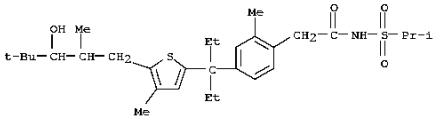
L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 633344-94-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

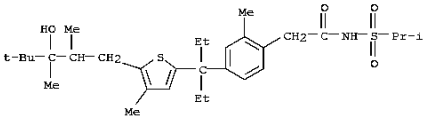


RN 633344-95-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

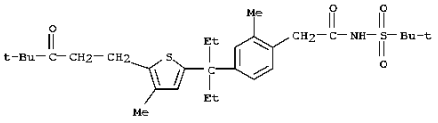


RN 633344-96-4 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

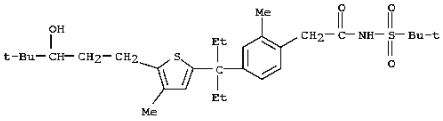
L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 633344-97-5 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)

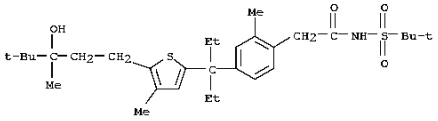


RN 633344-98-6 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

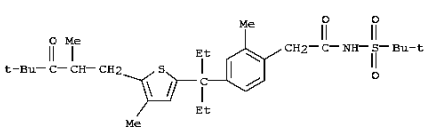


RN 633344-99-7 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

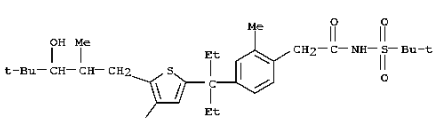
L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 633345-00-3 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

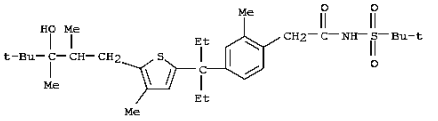


RN 633345-01-4 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

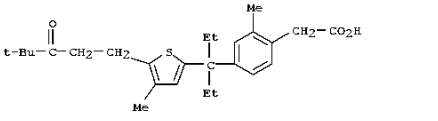


RN 633345-02-5 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

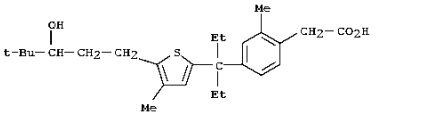
L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



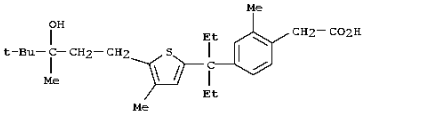
RN 633345-45-6 CAPLUS
CN Benzeneacetic acid, 4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)



RN 633345-46-7 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



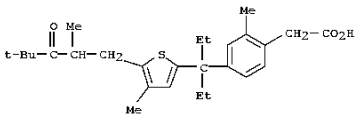
RN 633345-47-8 CAPLUS
CN Benzeneacetic acid, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-48-9 CAPLUS

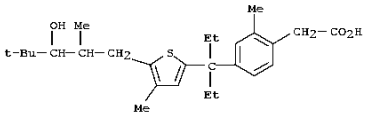
L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CN Benzeneacetic acid,
4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-
2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



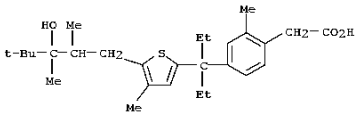
RN 633345-49-0 CAPLUS

CN Benzeneacetic acid, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-
methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-50-3 CAPLUS

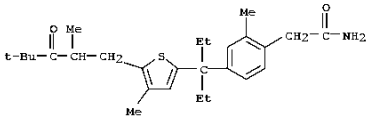
CN Benzeneacetic acid,
4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-
4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-51-4 CAPLUS

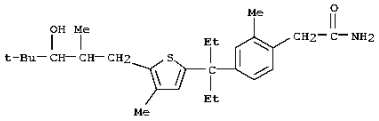
CN Benzeneacetamide,
4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-
ethylpropyl]-2-methyl- (CA INDEX NAME)

L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



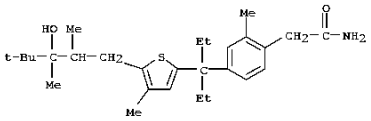
RN 633345-55-8 CAPLUS

CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-
methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-56-9 CAPLUS

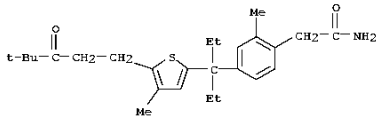
CN Benzeneacetamide,
4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-
methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

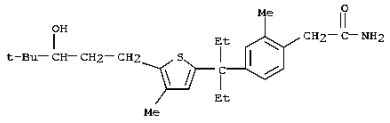
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 149 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



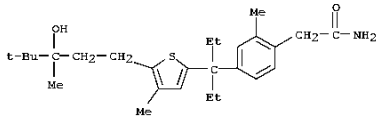
RN 633345-52-5 CAPLUS

CN Benzeneacetamide,
4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-
2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-53-6 CAPLUS

CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-
methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-54-7 CAPLUS

CN Benzeneacetamide,
4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-
thienyl]propyl]-2-methyl- (CA INDEX NAME)

=> D IBIB ABS HITSTR L35 100-124

L35 ANSWER 100 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:729529 CAPLUS
DOCUMENT NUMBER: 143:211913
TITLE: Preparation of bis(aryl)tricyclic modulators of glucocorticoid receptor, AP-1, and/or NFκB activity.
INVENTOR(S): Yang, Bingwei Vera
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072729	A1	20050811	WO 2005-US1229	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050182110	A1	20050818	US 2005-35119	20050113
US 7326728	B2	20080205		
EP 1708699	A1	20061011	EP 2005-711468	20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				

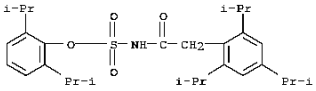
PRIORITY APPLN. INFO.: US 2004-537470P P 20040116
WO 2005-US1229 W 20050114

OTHER SOURCE(S): CASREACT 143:211913; MARPAT 143:211913
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = H, alk(en/yn)yl, cycloalkyl, etc.; R' = H, alk(en/yn)yl, cycloalkyl, etc.; R1-2 = H, halo, OH, etc.; R3-4 = H, alkyl, alk(en/yn)yl, alkoxy, etc.; Z = SO1-2-amino, carboxamido, etc.; A, B = (un)saturated 6-membered carbocyclic, heterocyclic ring] are prepared For instance II is prepared in several steps from 9-nitroanthracene, Me 2-acetamidoacrylate and 2-amino-4-(naphthalen-1-yl)imidazole. I are

L35 ANSWER 100 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
glucocorticoid receptor modulators and are useful for the treatment of diseases assocd. with AP-1 or NF-κB-induced transcription [no data].
IT 166518-60-1, Avasimibe
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination pharmaceutical; preparation of bis(aryl)tricyclic imidazole/thiazole derivative modulators of glucocorticoid receptor, AP-1, and/or NFκB activity)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



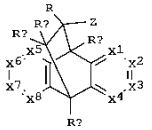
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 101 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:696690 CAPLUS
DOCUMENT NUMBER: 143:186790
TITLE: Fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of the glucocorticoid receptor, AP-1, and/or NF-κB activity, and therapeutic use thereof
INVENTOR(S): Duan, Jingwu; Jiang, Bin; Sheppeck, James; Gilmore, John L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070207	A1	20050804	WO 2005-US1411	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 7569689	B2	20090804	US 2005-34652	20050113
US 20050176716	A1	20050811		
EP 1705990	A1	20061004	EP 2005-711524	20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				

PRIORITY APPLN. INFO.: US 2004-537467P P 20040116
US 2005-34652 A 20050113
WO 2005-US1411 W 20050114

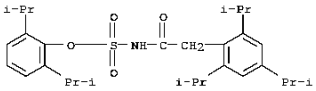
OTHER SOURCE(S): MARPAT 143:186790
GI



I

L35 ANSWER 101 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB A class of non-steroidal compds. are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-κB activity including obesity, diabetes, inflammatory and immune diseases. The compds. of the invention are fused aryl and heteroaryl bicyclo[2.2.2]octane deriva. I [R = H, OH, alkyl, etc.; Ra, Rb = H, halo, OH, alkyl, etc.; Rc, Rd = H, alkyl, alkenyl, etc.; Z = S(O)tNR1R2, CONR1R2, CH2NR1R2; t = 1,2; R1, R2 = H, alkyl, etc.; X1-X8 = CR15, NR18, etc.; R15 = H, halo, OH, etc.; R18 = H, aryl, alkyl, etc.]. Also provided are pharmaceutical compns. and methods comprising the above compds. for treating obesity, diabetes and inflammatory or immune-associated diseases. Compound preparation is included.
IT 166518-60-1, Avasimibe
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of glucocorticoid receptor, AP-1, and/or NF-κB activity, and therapeutic use)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

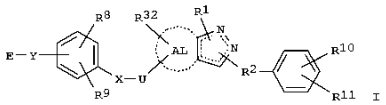
L35 ANSWER 102 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:638853 CAPLUS
DOCUMENT NUMBER: 143:153366
TITLE: Preparation of bicyclic derivatives as PPAR modulators
INVENTOR(S): Conner, Scott Eugene; Mantlo, Nathan Bryan; Zhu, Guoxin; Herr, Robert Jason
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066136	A1	20050721	WO 2004-US39773	20041216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1706386	A1	20061004	EP 2004-812319	20041216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007520471	T	20070726	JP 2006-547017	20041216
US 20070106081	A1	20070510	US 2006-596322	20060609
US 7544707	B2	20090609		

PRIORITY APPLN. INFO.: US 2003-532139P P 20031222
US 2004-586677P P 20040709
WO 2004-US39773 W 20041216

OTHER SOURCE(S): CASREACT 143:153366; MARPAT 143:153366
GI

L35 ANSWER 102 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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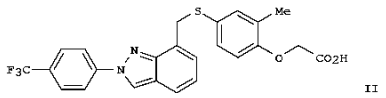
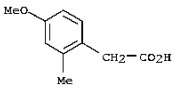


II

AB The title compds. I [R1 = H, alkyl, arylalkyl, etc.; R2 = alkyl, heteroalkyl; X = a single bond, O, S, SO2, N; U = an aliphatic linker wherein one carbon atom of the aliphatic linker is optionally replaced with O, NH or S, and wherein such aliphatic linker is optionally substituted with from 1-4 substituents; Y = C, O, S, NH and a single bond; E = CR3R4A or A (wherein A = carboxy, tetrazole, alkylnitrile, etc.; R3 = H, alkyl, alkoxy; R4 = H, alkyl,, aryloxy, etc.); R8 = H, alkyl, alkenyl, halo; R9 = H, alkyl, halo, etc.; R10, R11 = H, OH, CN, etc.; R32 = H, halo, alkyl, etc.; AL = fused carbocyclic, fused pyridinyl, fused pyrimidinyl, fused Ph], useful for modulating a peroxisome proliferator activated receptor, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 2-bromo-m-xylene, was given. The binding and cotransfection efficacy values for compds. I which are especially useful for modulating a PPAR receptor, are ≤ 100 nM and ≥ 50%, resp.
IT 942-97-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted indazoles as PPAR modulators)
RN 942-97-2 CAPLUS
CN Benzeneacetic acid, 4-methoxy-2-methyl- (CA INDEX NAME)

L35 ANSWER 102 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 103 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:614590 CAPLUS
DOCUMENT NUMBER: 143:133377
TITLE: Preparation of triazole derivatives as vasopressin antagonists
INVENTOR(S): Bryans, Justin Stephen; Johnson, Patrick Stephen; Roberts, Lee Richard; Ryckmans, Thomas
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 73 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050154024	A1	20050714	US 2004-9768	20041210
AU 2004309164	A1	20050714	AU 2004-309164	20041209
AU 2004309164	B2	20071115		
CA 2551038	A1	20050714	CA 2004-2551038	20041209
WO 2005063754	A1	20050714	WO 2004-IB4059	20041209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1701959	A1	20060920	EP 2004-801354	20041209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1898244	A	20070117	CN 2004-80038492	20041209
BR 2004017267	A	20070417	BR 2004-17267	20041209
JP 2007515468	T	20070614	JP 2006-546356	20041209
TW 287541	B	20071001	TW 2004-93139507	20041217
NL 1027833	A1	20050623	NL 2004-1027833	20041221
NL 1027833	C2	20060306		
IN 2006DN02824	A	20070803	IN 2006-DN2824	20060518
ZA 2006004096	A	20071128	ZA 2006-4096	20060522
MX 2006006155	A	20060719	MX 2006-6155	20060531
KR 854872	B1	20080828	KR 2006-712328	20060621
NO 2006003380	A	20060922	NO 2006-3380	20060721

PRIORITY APPLN. INFO.: GB 2003-29693 A 20031222
US 2004-539509P P 20040127
GB 2004-8789 A 20040420
US 2004-570336P P 20040512
WO 2004-IB4059 W 20041209

OTHER SOURCE(S): CASREACT 143:133377; MARPAT 143:133377

L35 ANSWER 103 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
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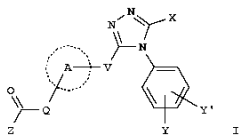

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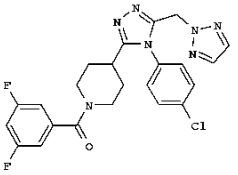


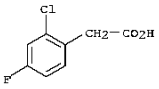
II

AB The title compds. I [X = (CH2)aR or (CH2)aO(CH2)bR; a = 0-6; b = 0-6; R = H, CF3 or Het; Het = (un)substituted 5- or 6-membered saturated, partially saturated or aromatic heterocyclic ring; Y = represents one or more substituents independently selected from (O)c(CH2)dR1; c = 0-1; d = 0-6; R1 = H, halo, CF3, CN or Het1; Het1 = 5- or 6-membered unsatd. heterocyclic ring; V = a direct link or O; Ring A = (un)substituted 5- to 7-membered saturated heterocyclic ring, or a phenylene group; Q = a direct link or NR2; R2 = H, alkyl; Z = (O)e(CH2)fR3, a Ph ring (optionally fused to a benzene ring or Het2), or Het3 (optionally fused to an benzene ring or Het4); R3 = (un)substituted alkyl, cycloalkyl, cycloalkenyl, Ph, etc.; e = 0-1; f = 0-6; Het2 = 5-6 membered saturated, partially saturated or aromatic heterocyclic ring; Het3 = 4-6 membered saturated, partially saturated or aromatic heterocyclic ring; Het4 = 6-membered aromatic heterocyclic ring], useful for treating a disorder for which a V1a antagonist is indicated, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-hydrazinocarbonylpiperidine-1-carboxylate, was given. Some of the compds. I were synthesized as a library. All the exemplified compds. I showed a Ki value of less than 500 nM when tested in screen 1.0 (V1A filter binding assay). For example, the compd. II showed Ki of 2.98 nM.

IT 177985-32-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of triazole derivs. as vasopressin antagonists)

RN 177985-32-9 CAPLUS

CN Benzeneacetic acid, 2-chloro-4-fluoro- (CA INDEX NAME)



L35 ANSWER 104 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:612299 CAPLUS
DOCUMENT NUMBER: 143:133380
TITLE: Preparation of azabicyclic heterocycles as cannabinoid receptor modulators
INVENTOR(S): Gu, Guixue; Ewing, William R.; Mikkilineni, Amarendra Murugesan, B.; Pendri, Annapurna; Ellsworth, Bruce A.; Sher, Philip M.; Gerritz, Samuel; Sun, Chongqing; Natesan; Wu, Ximao
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063762	A1	20050714	WO 2004-US42878	20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004309368	A1	20050714	AU 2004-309368	20041217
CA 2550375	A1	20050714	CA 2004-2550375	20041217
US 20050171110	A1	20050804	US 2004-16198	20041217
EP 1697371	A1	20060906	EP 2004-815007	20041217
EP 1697371	B1	20070425		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
CN 1918164	A	20070221	CN 2004-80041904	20041217
BR 2004017820	A	20070327	BR 2004-17820	20041217
AT 360631	T	20070515	AT 2004-815007	20041217
JP 2007514770	T	20070607	JP 2006-545567	20041217
ES 2284081	T3	20071101	ES 2004-815007	20041217
EP 1699796	A1	20060913	EP 2004-814691	20041220
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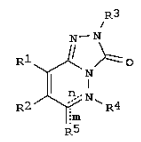
JP 2007514756	T	20070607	JP 2006-545502	20041220
IN 2006DN03135	A	20070824	IN 2006-DN3135	20060601
MX 2006006288	A	20060731	MX 2006-6288	20060602
IN 2006DN03235	A	20070824	IN 2006-DN3235	20060606
NO 2006002689	A	20060912	NO 2006-2689	20060612
NO 2006002691	A	20060914	NO 2006-2691	20060612
HK 1095138	A1	20070803	HK 2007-101918	20070216
PRIORITY APPLN. INFO.:			US 2003-531451P P	20031219
			US 2004-16198 A	20041217
			WO 2004-US42820 W	20041217
			WO 2004-US42878 W	20041217
			WO 2004-US42542 W	20041220

OTHER SOURCE(S): CASREACT 143:133380; MARPAT 143:133380

GI



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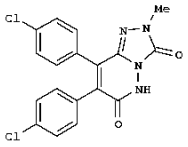


II

AB The present application describes compds. I [R1, R2 = halo, CN, alkyl, etc.; R3 = H alkyl, alkenyl, cycloalkyl, etc.; R4 is absent when n is a double bond; R4 = H, alkyl, cycloalkyl, etc.; R5 = halo, (un)substituted OH, NH2, etc. when m is a single bond; R5 = O when m = a double bond; m, n = a single or double bond; when m is a single bond, n is a double bond; when m is a double bond, n is a single bond], pharmaceutical compns. comprising at least one compound I and optionally one or more addnl. therapeutic agents and methods of treatment using the compds. I both alone and in combination with one or more addnl. therapeutic agents. Over 40 compds. I were prepared E.g., a multi-step synthesis of II, starting from dichloromandelic anhydride, was given. The exemplified compds. I showed the CB-1 receptor binding Ki values in the range of 0.01 nM to 10000 nM.

IT 608510-47-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of azabicyclic heterocycles as cannabinoid receptor modulators)

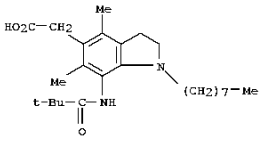
RN 608510-47-0 CAPLUS

CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3

L35 ANSWER 104 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



CM 2

CRN 7664-93-9
CMF H2 O4 S

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 105 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:612084 CAPLUS
DOCUMENT NUMBER: 143:133281
TITLE: Preparation of 3-(4-piperidin-1-ylmethylphenyl)propionic acid phenylamides and related compounds used as MCH-1R antagonists (MCH = melanin concentrating hormone) for treating eating disorders
INVENTOR(S): Lehmann-Lintz, Thorsten; Lustenberger, Philipp; Roth, Gerald Juergen; Schindler, Marcus; Thomas, Leo; Mueller, Stephan Georg; Stenkamp, Dirk; Lotz, Ralf R. H.; Rudolf, Klaus
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 343 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063239	A1	20050714	WO 2004-EP14378	20041217
WO 2005063239	A9	20060309		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10360745	A1	20050728	DE 2003-10360745	20031223
CA 2550649	A1	20050714	CA 2004-2550649	20041217
EP 1708698	A1	20061011	EP 2004-803987	20041217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007520466	T	20070726	JP 2006-546009	20041217
US 20050267093	A1	20051201	US 2004-21897	20041223
PRIORITY APPLN. INFO.:			DE 2003-10360745 A	20031223
			US 2004-538593P P	20040123
			WO 2004-EP14378 W	20041217

OTHER SOURCE(S): MARPAT 143:133281
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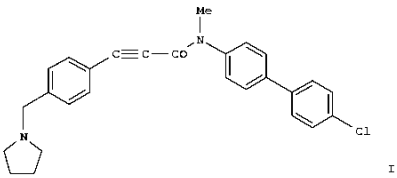
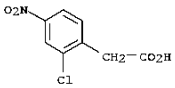
L35 ANSWER 105 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

AB The invention relates to 3-substituted propanoic, propenoic, and propynoic acid phenylamides R1R2N-X-Y-Z-N(R3)-W-A-Bb (I representing a very large range of compds.; variables defined in the first claim; e.g. 3-[4-(pyrrolidin-1-ylmethyl)phenyl]propynoic acid N-(4'-chlorobiphenyl-4-yl)-N-methylamide (shown as II)) and to drugs containing at least one I. Because of the antagonist activity towards an MCH-1 receptor, the drugs I are suitable for treating metabolic disturbances and/or eating disorders, in particular adiposity, bulimia, anorexia, hyperphagia and diabetes. IC50 values are reported for 3 examples of I, e.g. 7.5 nM for II. Although the methods of preparation are not claimed, many example prepns. are included. For example, II was prepared in 3 steps (29, 36, and 25 % yields) starting with amide formation between (4'-chlorobiphenyl-4-yl)amine and propynoic acid followed by N-methylation and then coupling between 1-(4-iodobenzyl)pyrrolidine and the propynoic acid N-(4'-chlorobiphenyl-4-yl)-N-methylamide intermediate.
IT 73088-11-6, (2-Chloro-4-nitrophenyl)acetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-phenyl-3-(4-piperidin-1-ylmethylphenyl)propionamides and related compds. used as MCH-1R antagonists for treating eating disorders)
RN 73088-11-6 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-nitro- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 105 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L35 ANSWER 106 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:572592 CAPLUS
DOCUMENT NUMBER: 143:97378
TITLE: Preparation of azabicyclic heterocycles as cannabinoid
receptor modulators
INVENTOR(S): Yu, Guixue; Ewing, William R.; Mikkilineni, Amarendra B.; Pendri, Annapurna; Sher, Philip M.; Gerritz, Samuel; Ellsworth, Bruce A.; Wu, Gang; Huang, Yanting;
Sun, Chongqing; Murugesan, Natesan; Gu, Zhengxiang; Wang, Ying; Sitkoff, Doree; Johnson, Stephen R.; Wu, Ximao
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co, USA
SOURCE: U.S. Pat. Appl. Publ., 196 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050143381	A1	20050630	US 2004-16135	20041217
US 7378418	B2	20080527		
AU 2004309365	A1	20050714	AU 2004-309365	20041217
CA 2550435	A1	20050714	CA 2004-2550435	20041217
WO 2005063761	A1	20050714	WO 2004-US42820	20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050192278	A1	20050901	US 2004-15876	20041217
US 7037910	B2	20060502		
EP 1697370	A1	20060906	EP 2004-814952	20041217
EP 1697370	B1	20070425		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
CN 1918165	A	20070221	CN 2004-80041920	20041217
BR 2004017771	A	20070417	BR 2004-17771	20041217
AT 360630	T	20070515	AT 2004-814952	20041217
JP 2007514768	T	20070607	JP 2006-545558	20041217
ES 2282927	T3	20071016	ES 2004-814952	20041217
WO 2005061509	A1	20050707	WO 2004-US42542	20041220
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L35 ANSWER 106 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1699796 A1 20060913 EP 2004-814691 20041220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU
JP 2007514756 T 20070607 JP 2006-545502 20041220
IN 2006DN03135 A 20070824 IN 2006-DN3135 20060601
ZA 2006004645 A 20071128 ZA 2006-4645 20060606
MX 2006006473 A 20060728 MX 2006-6473 20060607
ZA 2006004778 A 20071227 ZA 2006-4778 20060609
NO 2006002704 A 20060905 NO 2006-2704 20060612
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HK 1095139 A1 20070803 HK 2007-101919 20070216
PRIORITY APPLN. INFO.: US 2003-531451P P 20031219
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WO 2004-US42820 W 20041217
WO 2004-US42542 W 20041220
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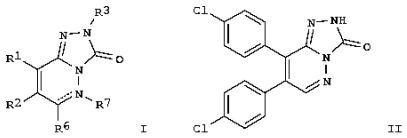
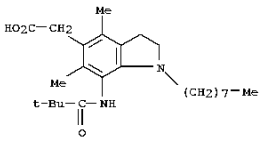


AB The present application describes compds. I [R1, R2 = halo, CN, alkyl, etc.; R3 = alkyl, alkenyl, cycloalkyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R7 is absent when double bond; or R7 = H, alkyl, cycloalkyl, etc.], pharmaceutical compns. comprising at least one compound I and optionally one
or more addnl. therapeutic agents and methods of treatment using the compds. I both alone and in combination with one or more addnl. therapeutic agents. Over 400 compds. I were prepared E.g., a multi-step synthesis of II, starting from dibromopyridazinone, was given. Representative compds. I showed the CB-1 receptor binding Ki values in the

L35 ANSWER 106 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
range of 0.01 nM to 10000 nM.
IT 608510-47-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of azabicyclic heterocycles as cannabinoid receptor
modulators)
RN 608510-47-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (2:1) (CA INDEX NAME)

CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

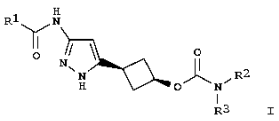
CRN 7664-93-9
CMF H2 O4 S

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 107 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:493590 CAPLUS
DOCUMENT NUMBER: 143:43874
TITLE: Preparation of cyclobutyl aminopyrazole derivatives as
GSK-3 inhibitors
INVENTOR(S): Benbow, John William; Kung, Daniel Wei-Shung
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051919	A1	20050609	WO 2004-IB3749	20041115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2547283	A1	20050609	CA 2004-2547283	20041115
EP 1689721	A1	20060816	EP 2004-798878	20041115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
BR 2004016989	A	20070206	BR 2004-16989	20041115
JP 2007512315	T	20070517	JP 2006-540648	20041115
MX 2006005849	A	20060714	MX 2006-5849	20060523
US 20070276010	A1	20071129	US 2007-580615	20070523
PRIORITY APPLN. INFO.:			US 2003-525436P	P 20031126
			WO 2004-IB3749	W 20041115
OTHER SOURCE(S):			CASREACT 143:43874; MARPAT 143:43874	
GI				

L35 ANSWER 107 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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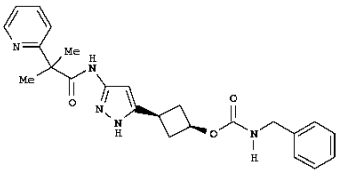


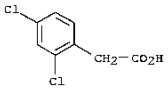
II

AB Title compds. I [R1 = (un)substituted-alkyl, -heterocycloalkyl, -cycloalkyl, etc.; R2 and R3 independently = H, (un)substituted-alkyl, -aryl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of GSK-3. Thus, e.g., II was prepared by amidation of 2-tert-butyl-5-(3,3-dimethoxy-cyclobutyl)-2H-pyrazol-3-ylamine with 2-methyl-2-pyridin-2-yl-propionic acid Et ester followed by deprotection/reduction sequence to give the resp. cyclobutanol intermediate, which was treated sequentially with triphosgene, benzylamine and TFA to yield II. I should display activity as GSK-3 inhibitor (no data given). I as GSK-3 inhibitors should prove useful in the treatment of diseases such as Alzheimer's disease, cancer, dementia, depression, diabetes, hair loss, schizophrenia, and stroke. Pharmaceutical compns. comprising I are disclosed.

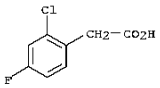
IT 19719-28-9 177985-32-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of)

RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)

L35 ANSWER 107 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



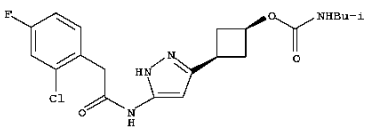
RN 177985-32-9 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-fluoro- (CA INDEX NAME)



IT 853567-86-9P 853568-04-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclobutyl aminopyrazole deriva. as GSK-3 inhibitors)

RN 853567-86-9 CAPLUS
CN Carbamic acid, (2-methylpropyl)-, cis-3-[5-[[(2-chloro-4-fluorophenyl)acetyl]amino]-1H-pyrazol-3-yl]cyclobutyl ester (9CI) (CA INDEX NAME)

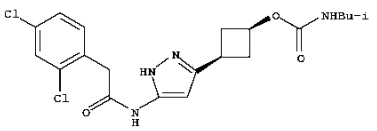
Relative stereochemistry.



RN 853568-04-4 CAPLUS
CN Carbamic acid, (2-methylpropyl)-, cis-3-[5-[[(2,4-dichlorophenyl)acetyl]amino]-1H-pyrazol-3-yl]cyclobutyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

L35 ANSWER 107 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

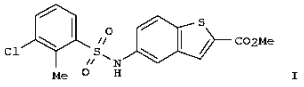
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 108 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:409501 CAPLUS
DOCUMENT NUMBER: 142:463457
TITLE: Preparation of phenyl carboxamide and sulfonamide derivatives for use in inhibition of 11-beta-hydroxysteroid dehydrogenase
INVENTOR(S): Vicker, Nigel; Xiangdong, Su; Ganeshapillai, Dharshini; Purohit, Atul; Reed, Michael John; Potter, Barry Victor Lloyd
PATENT ASSIGNEE(S): Sterix Limited, UK
SOURCE: PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042513	A1	20050512	WO 2004-GB4498	20041022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2540843	A1	20050512	CA 2004-2540843	20041022
US 20050227987	A1	20051013	US 2004-970064	20041022
US 7230020	B2	20070612		
EP 1675844	A1	20060705	EP 2004-791576	20041022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			GB 2003-24792	A 20031023
			US 2003-513217P	P 20031023
			WO 2004-GB4498	W 20041022

OTHER SOURCE(S): CASREACT 142:463457; MARPAT 142:463457
GI



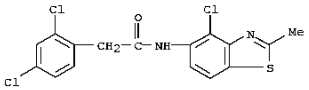
I

AB Title compds. of formula R1ZR2 [(I); wherein R1 is an optionally substituted Ph ring; R2 is or comprises an optionally substituted aromatic

L35 ANSWER 108 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
ring; and Z is -X-Y-L- or -Y-X-L- wherein either X is selected from -SO2- and -CO-, and Y is -NR3-; or X is selected from -SO2- and -S-, and Y is -C(R4)(R5)-; L is an optional linker; and R3, R4 and R5 are each independently selected from H and hydrocarbyl] are prepd. and disclosed as
inhibitors of 11-β-hydroxysteroid dehydrogenase. Thus, e.g., II was prepd. by redn. of 5-nitrobenzo[b]thiophene-2-carboxylic acid Me ester followed by reaction with 3-chloro-2-methylbenzenesulfonyl chloride. In assays detg. % inhibition of human 11β HSD1 at 10 μM, selected compds. possessed values ranging from 20.7-73.3% inhibition. I should prove useful in the treatment of disorders related mediation of 11β-hydroxysteroid dehydrogenase, e.g., diabetes.

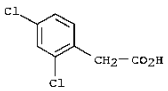
IT 851775-26-3P, STX 1396
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Ph carboxamide and sulfonamide derivs. for use in inhibition
of 11-beta-hydroxysteroid dehydrogenase)

RN 851775-26-3 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-(4-chloro-2-methyl-5-benzothiazolyl)- (CA INDEX NAME)



IT 19719-28-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of Ph carboxamide and sulfonamide derivs. for use in inhibition
of 11-beta-hydroxysteroid dehydrogenase)

RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)



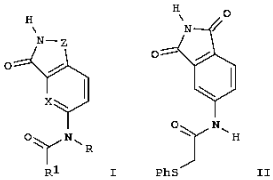
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

L35 ANSWER 108 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 109 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:395084 CAPLUS
DOCUMENT NUMBER: 142:447115
TITLE: Preparation of phthalimide compounds as protein kinase
inhibitors for treatment of proliferative and neurodegenerative disorders
INVENTOR(S): Green, Jeremy; Mazhefka, Craig
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005039564	A1	20050506	WO 2004-US32548	20041004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050182061	A1	20050818	US 2004-958167	20041004
PRIORITY APPLN. INFO.:			US 2003-508499P P	20031002

OTHER SOURCE(S): CASREACT 142:447115; MARPAT 142:447115
GI

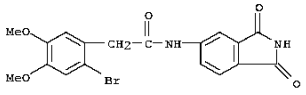


AB Title compds. I [Z = -CH2- or CO; X, V1 and V2 independently = N or CR5; R1 = T-R6, T-Ar, or T-C(R6)(T-Ar)R2, wherein R6 and R2 optionally form a 5-7 membered (un)saturated ring containing 0-4 heteroatoms; R = TR6 or T-Ar, or R

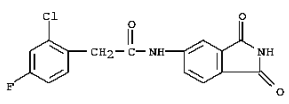
L35 ANSWER 109 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
and R1 optionally form a 5-7 membered (un)satd. ring contg. 1-4 heteroatoms; T = bond or alkylidene chain, wherein up to two methylene units of T are optionally, and independently, replaced by O, NR6, S, NR6CO, CONR6, CO, or SO2; R6 independently = H or (un)substituted aliph. group, or two R6 groups on the same N atom, taken together with the N form
a 5-7 membered (un)satd. heterocycle optionally contg. addnl. heteroatoms;
Ar = 5-7 membered (un)satd. mono or bicyclic ring contg. 0-4 heteroatoms; R2 = (un)substituted alkyl, QOR3, QCONHR4, QSR3, etc.; Q = bond or alkylidene chain; R3 = R6 or Ar; R4 = R6, COR3, CO2R3, SO2R3, etc.; R5 = halo, CN, OR6, SR, etc.], as well as their pharmaceutically acceptable salts, are prepd. and disclosed as inhibitors of protein kinase, particularly inhibitors of AKT, PDK1, p70S6K, or ROCK kinase, mammalian protein kinases involved in proliferative and neurodegenerative disorders.
Thus, e.g., II was prepd. by acylation of 4-aminophthalimide with 2-bromoacetyl chloride followed by substitution with benzenethiol. Numerous compds. of the invention possessed Ki values of ≤ 2 μM in ROCK inhibition assays while selected compds. demonstrated Ki values ≤ 2 μM in p70S6K inhibition assays. The invention also provides processes for prepg. the compds. of the invention, pharmaceutical compns. comprising the compds., and methods of utilizing those compds. and compns.
in the treatment of various disorders.

IT 851200-88-9P 851201-56-4P 851201-58-6P
851201-76-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalimide compound as protein kinase inhibitors for treatment of proliferative and neurodegenerative disorders)

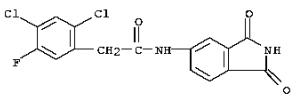
RN 851200-88-9 CAPLUS
CN Benzeneacetamide, 2-bromo-N-(2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl)-4,5-dimethoxy- (CA INDEX NAME)



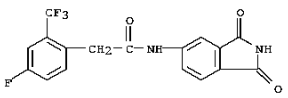
RN 851201-56-4 CAPLUS
CN Benzeneacetamide, 2-chloro-N-(2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl)-4-fluoro- (CA INDEX NAME)



L35 ANSWER 109 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 851201-58-6 CAPLUS
CN Benzeneacetamide,
2,4-dichloro-N-(2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl)-
5-fluoro- (CA INDEX NAME)



RN 851201-76-8 CAPLUS
CN Benzeneacetamide, N-(2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl)-4-fluoro-2-
(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

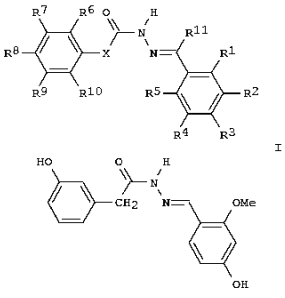
L35 ANSWER 110 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:371211 CAPLUS
DOCUMENT NUMBER: 142:429927
TITLE: Preparation of acylhydrazones as modulators of glucocorticoid inducible kinase (SGK)
INVENTOR(S): Gericke, Rolf; Beier, Norbert; Poeschke, Oliver; Burgdorf, Lars; Drosdat, Helga; Lang, Florian
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037773	A1	20050428	WO 2004-EP10398	20040916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10346913	A1	20050504	DE 2003-10346913	20031009
AU 2004281906	A1	20050428	AU 2004-281906	20040916
CA 2542106	A1	20050428	CA 2004-2542106	20040916
EP 1670751	A1	20060621	EP 2004-765298	20040916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1863764	A	20061115	CN 2004-80029575	20040916
BR 2004015119	A	20061128	BR 2004-15119	20040916
JP 2007509037	T	20070412	JP 2006-529992	20040916
KR 2007029106	A	20070313	KR 2006-706033	20060328
MX 2006003789	A	20060614	MX 2006-3789	20060404
US 20070060646	A1	20070315	US 2006-574781	20060406
US 7405239	B2	20080729		
IN 2006KN01179	A	20070427	IN 2006-KN1179	20060505
ZA 2006003631	A	20070131	ZA 2006-3631	20060508
US 20080214674	A1	20080904	US 2008-100987	20080410

PRIORITY APPLN. INFO.: DE 2003-10346913 A 20031009
WO 2004-EP10398 W 20040916
US 2006-574781 A3 20060406

OTHER SOURCE(S): MARPAT 142:429927
GI

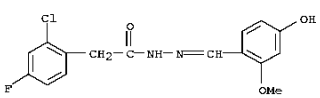
L35 ANSWER 110 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

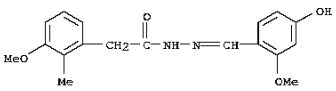
II

AB Title compds. I [R1, R5 = H, OH, CH3, etc.; R2, R3, R4, R6, R7, R8, R9, R10 = H, OH, OCF3, etc.; R11 = H, CH3; X = CH2, CH2CH2, OCH2, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of 4-hydroxy-2-methoxybenzaldehyde and (3-hydroxyphenyl)acetic acid hydrazide, afforded claimed acylhydrazone II in 75% yield. Compds. I are claimed to be useful in the modulation glucocorticoid inducible kinase (SGK).
IT 850834-60-5P 850834-93-4P 850835-55-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylhydrazones as modulators of glucocorticoid inducible kinase (SGK))
RN 850834-60-5 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-fluoro-,
2-[(4-hydroxy-2-methoxyphenyl)methylene]hydrazide (CA INDEX NAME)

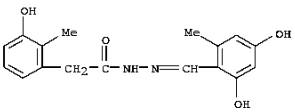


RN 850834-93-4 CAPLUS
CN Benzeneacetic acid, 3-methoxy-2-methyl-,
2-[(4-hydroxy-2-methoxyphenyl)methylene]hydrazide (CA INDEX NAME)

L35 ANSWER 110 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 850835-55-1 CAPLUS
CN Benzeneacetic acid, 3-hydroxy-2-methyl-,
2-[(2,4-dihydroxy-6-methylphenyl)methylene]hydrazide (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

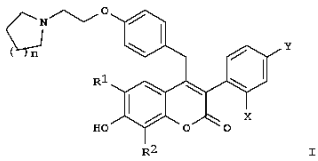
L35 ANSWER 111 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:283488 CAPLUS
DOCUMENT NUMBER: 142:336252
TITLE: Preparation of benzopyranone derivatives as inhibitors of the release of IL-6 production
INVENTOR(S): Mckie, Jeffrey A.; Bhagwat, Shripad S.; Renaud, Johanne; Missbach, Martin
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Llc, USA; Novartis Ag
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005028472	A1	20050331	WO 2004-US30141	20040913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004274445	A1	20050331	AU 2004-274445	20040913
CA 2538874	A1	20050331	CA 2004-2538874	20040913
EP 1667999	A1	20060614	EP 2004-784110	20040913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004014386	A	20061121	BR 2004-14386	20040913
CN 1890235	A	20070103	CN 2004-80033121	20040913
JP 2007505835	T	20070315	JP 2006-526419	20040913
US 20050137231	A1	20050623	US 2004-942519	20040915
US 7247646	B2	20070724		
MX 2006002876	A	20060605	MX 2006-2876	20060314
IN 2006CN01271	A	20070629	IN 2006-CN1271	20060413
PRIORITY APPLN. INFO.:			US 2003-503295P	P 20030915
			WO 2004-US30141	W 20040913

OTHER SOURCE(S): CASREACT 142:336252; MARPAT 142:336252
GI

L35 ANSWER 111 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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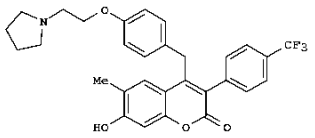


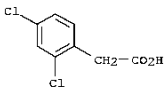
II

AB Title compds. represented by the formula I [wherein X, Y = independently H, halo or (halo)alkyl; n = 1-3; R1 = H or Me; R2 = halo, OH, vinyl, CO2H, etc.; and pharmaceutically acceptable salts thereof] were prepared as inhibitors of the release of IL-6 production. For example, II was given in a multi-step synthesis starting from the reaction of 3-methoxyphenol with 4-hydroxyphenylacetic acid. I showed inhibition of the release of IL-6 production, MCF-7 breast cancer cell proliferation, and the growth of BG-1 ovarian cancer cells. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a bone-resorting disease, a neoplastic disease, arthritis, and etc.

IT 19719-28-9, 2,4-Dichlorophenylacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzopyranone derivs. as inhibitors of the release of IL-6 production)

RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)

L35 ANSWER 111 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



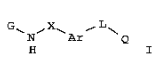
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 112 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:238947 CAPLUS
DOCUMENT NUMBER: 142:316831
TITLE: Preparation of amides of pyrazolamines and anilines as well as analogs as cytokine inhibitors for the treatment of inflammatory diseases
INVENTOR(S): Boman, Erik; Ceide, Susana C.; Dahl, Russell; Delaet, Nancy G. J.; Ernst, Justin; Montalban, Antonio G.; Kahl, Jeffrey D.; Larson, Christopher; Miller, Stephen; Nakanishi, Hiroshi; Roberts, Edward; Saiah, Eddine; Sullivan, Robert; Wang, Zhijun
PATENT ASSIGNEE(S): Kemia, Inc., USA
SOURCE: PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023761	A2	20050317	WO 2004-US29372	20040910
WO 2005023761	A3	20050714		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004270733	A1	20050317	AU 2004-270733	20040910
CA 2538820	A1	20050317	CA 2004-2538820	20040910
US 20050107399	A1	20050519	US 2004-939324	20040910
EP 1670787	A2	20060621	EP 2004-809707	20040910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004014313	A	20061107	BR 2004-14313	20040910
CN 1878769	A	20061213	CN 2004-80033055	20040910
JP 2007505127	T	20070308	JP 2006-526272	20040910
SG 146624	A1	20081030	SG 2008-6677	20040910
KR 2007020370	A	20070221	KR 2006-705055	20060310
ZA 2006002080	A	20080326	ZA 2006-2080	20060310
MX 2006002853	A	20060614	MX 2006-2853	20060313
IN 2006KN00791	A	20080215	IN 2006-KN791	20060331
PRIORITY APPLN. INFO.:			US 2003-502569P	P 20030911
			US 2003-531234P	P 20031218
			US 2004-575704P	P 20040528
			US 2004-585012P	P 20040702
			WO 2004-US29372	W 20040910

L35 ANSWER 112 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): CASREACT 142:316831; MARPAT 142:316831
GI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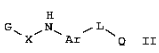
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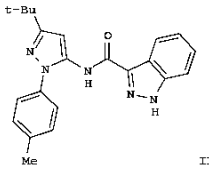
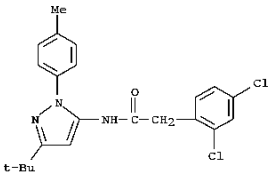

III

AB Title compds., such as I and II (four Markush structures are claimed), wherein X = C(O), C(S) or CH2; G = (un)substituted carbocyclyl or heterocyclyl; Ar = indazolyl, indolyl, pyrazolyl, alkyl, etc.; L = covalent bond or (un)substituted carbon chain; Q = H, (un)substituted amino, cycloalkyl, heterocyclyl, alkoxy or sulfonyl; with some limitations and exclusions, and stereoisomers, tautomers, solvates, prodrugs and pharmaceutically acceptable salts thereof, were prepared as cytokine inhibitors. For instance, cyclization of p-tolylhydrazine hydrochloride with 4,4-dimethyl-3-oxopentanenitrile to the corresponding pyrazolamine (92% yield) followed by EDC-mediated coupling with indazole-3-carboxylic acid gave indazolopyrazole III (40% yield). I were found to have activity in the TNFa ELISA assay, with some compds. having IC50 < 10 μM. Therefore, I and their pharmaceutical compns. are useful in preventing or treating conditions mediated by cytokines, such as arthritis and inflammatory diseases.
IT 848150-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor; preparation of amides of pyrazolamines and anilines as well as analogs as cytokine inhibitors)
RN 848150-07-2 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

L35 ANSWER 112 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

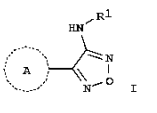


OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L35 ANSWER 113 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:182642 CAPLUS
DOCUMENT NUMBER: 142:280214
TITLE: Preparation of aminofurazan derivatives as protein kinase inhibitors
INVENTOR(S): Come, Jon H.; Green, Jeremy; Marhefka, Craig; Harbeson, Scott L.; Pham, Ly
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019190	A2	20050303	WO 2004-US27182	20040820
WO 2005019190	A3	20070920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
AU 2004267094	A1	20050303	AU 2004-267094	20040820
CA 2536253	A1	20050303	CA 2004-2536253	20040820
US 20050148640	A1	20050707	US 2004-922575	20040820
US 7157476	B2	20070102		
EP 1660467	A2	20060531	EP 2004-781796	20040820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007512230	T	20070517	JP 2006-524089	20040820
PRIORITY APPLN. INFO.:			US 2003-496617P P	20030820
			WO 2004-US27182 W	20040820

OTHER SOURCE(S): MARPAT 142:280214
GI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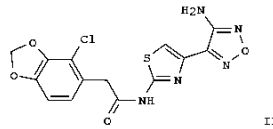
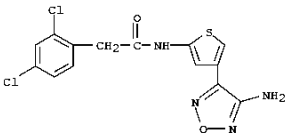
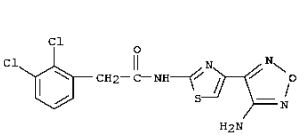

II

L35 ANSWER 113 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB Title compds. represented by the formula I [wherein R1 = R, SO2R, SO2NR2, C(O)R, CO2R or CONR2; R = H, (un)substituted aliphatic group or heterocyclic ring; ring A = (un)substituted heteroarom. ring; and pharmaceutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, II was given in a multi-step synthesis starting from malonitrile. I showed inhibition of ribosomal protein kinase p70S6k, ROCK, GSK-3. Thus, I and their pharmaceutical compns. are useful as protein kinase inhibitors for the treatment of various disease, conditions, or disorders (no data).
IT 847150-34-9P 847150-51-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminofurazan deriva. as protein kinase inhibitors)
RN 847150-34-9 CAPLUS
CN Benzeneacetamide, N-[4-(4-amino-1,2,5-oxadiazol-3-yl)-2-thienyl]-2,4-dichloro- (CA INDEX NAME)



RN 847150-51-0 CAPLUS
CN Benzeneacetamide, N-[4-(4-amino-1,2,5-oxadiazol-3-yl)-2-thiazolyl]-2,3-dichloro- (CA INDEX NAME)



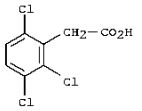
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L35 ANSWER 114 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:141200 CAPLUS
DOCUMENT NUMBER: 142:254568
TITLE: Methods and compositions for increasing the efficacy of biologically-active ingredients such as antitumor agents
INVENTOR(S): Windsor, J. Brian; Roux, Stan J.; Lloyd, Alan M.; Thomas, Collin E.
PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

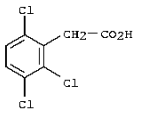
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014777	A2	20050217	WO 2003-US32667	20031016
WO 2005014777	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2502148	A1	20050217	CA 2003-2502148	20031016
AU 2003304398	A1	20050225	AU 2003-304398	20031016
EP 1576150	A2	20050921	EP 2003-816736	20031016
EP 1576150	A3	20051102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20060276339	A1	20061207	US 2006-531744	20060123
PRIORITY APPLN. INFO.:			US 2002-418803P P	20021016
			WO 2003-US32667 W	20031016

AB The invention provides methods and compns. for modulating the sensitivity of cells to cytotoxic compds. and other active agents. In accordance with the invention, compns. are provided comprising combinations of ectophosphatase inhibitors and active agents. Active agents include antibiotics, fungicides, herbicides, insecticides, chemotherapeutic agents, and plant growth regulators. By increasing the efficacy of active agents, the invention allows use of compns. with lowered concns. of active ingredients.
IT 85-34-7 2439-00-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L35 ANSWER 114 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(methods and compns. for increasing efficacy of biol. active ingredients such as antitumor agents)
RN 85-34-7 CAPLUS
CN Benzeneacetic acid, 2,3,6-trichloro- (CA INDEX NAME)



RN 2439-00-1 CAPLUS
CN Benzeneacetic acid, 2,3,6-trichloro-, sodium salt (1:1) (CA INDEX NAME)



● Na

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 115 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:140796 CAPLUS
DOCUMENT NUMBER: 142:240444
TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3
INVENTOR(S): Bebbington, David; Charrier, Jean-damien; Golec, Julian; Miller, Andrew; Knegtel, Ronald
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 164 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050038023	A1	20050217	US 2003-632428	20030801
US 7531536	B2	20090512		
EP 1698627	A1	20060906	EP 2006-10798	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2432303	A1	20020829	CA 2001-2432303	20011219
AU 2002255452	A1	20020904	AU 2002-255452	20011219
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219
AU 2001297619	B2	20060608		
US 20030036543	A1	20030220	US 2001-25164	20011219
US 6664247	B2	20031216		
EP 1345922	A1	20030924	EP 2001-271061	20011219
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004518743	T	20040624	JP 2002-565976	20011219
JP 2004519479	T	20040702	JP 2002-567928	20011219
JP 4234435	B2	20090304		
HU 2004000842	A2	20040728	HU 2004-842	20011219
NZ 526473	A	20050624	NZ 2001-526473	20011219
EP 1702920	A1	20060920	EP 2006-11799	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003004468	A	20040624	ZA 2003-4468	20030609
ZA 2003004469	A	20040624	ZA 2003-4469	20030609
ZA 2003004470	A	20040624	ZA 2003-4470	20030609
ZA 2003004471	A	20040624	ZA 2003-4471	20030609
ZA 2003004473	A	20040624	ZA 2003-4473	20030609
ZA 2003004475	A	20040624	ZA 2003-4475	20030609
ZA 2003004472	A	20040625	ZA 2003-4472	20030609
ZA 2003004474	A	20040625	ZA 2003-4474	20030609
NO 2003002704	A	20030821	NO 2003-2704	20030613
MX 2003005609	A	20031006	MX 2003-5609	20030620

L35 ANSWER 115 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

MX 2003005610	A	20031006	MX 2003-5610	20030620
US 20040224944	A1	20041111	US 2003-624800	20030722
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125
US 20040132781	A1	20040708	US 2003-736426	20031215
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315
JP 2005097322	A	20050414	JP 2004-366925	20041217
US 20070270444	A1	20071122	US 2006-369220	20060306
AU 2006201228	A1	20060413	AU 2006-201228	20060321
AU 2006201229	A1	20060413	AU 2006-201229	20060321
AU 2006201229	B2	20081120		
AU 2006201230	A1	20060413	AU 2006-201230	20060321
AU 2006201230	B2	20080911		
AU 2006201262	A1	20060427	AU 2006-201262	20060321
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321
AU 2006201263	B2	20081030		
AU 2006201264	A1	20060427	AU 2006-201264	20060321
AU 2006201265	A1	20060427	AU 2006-201265	20060321
AU 2006201265	B2	20080904		
US 20060258658	A1	20061116	US 2006-492450	20060725
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723
JP 2008115195	A	20080522	JP 2008-15681	20080125
JP 2008189682	A	20080821	JP 2008-95581	20080401
JP 2008260767	A	20081030	JP 2008-95584	20080401
JP 2008222719	A	20080925	JP 2008-97620	20080403
JP 2008189687	A	20080821	JP 2008-98506	20080404
US 20080287444	A1	20081120	US 2008-109598	20080425
JP 2008201808	A	20080904	JP 2008-121723	20080507
JP 2008247920	A	20081016	JP 2008-121724	20080507
JP 2008247921	A	20081016	JP 2008-121727	20080507
AU 2008252044	A1	20090122	AU 2008-252044	20081203
JP 2009155352	A	20090716	JP 2009-101481	20090417
PRIORITY APPLN. INFO.:			US 2000-257887P P	20001221
			US 2001-286949P P	20010427
			US 2001-25164 A1	20011219
			US 2000-232795P P	20000915
			AU 2001-296871 A3	20010914
			AU 2001-296875 A3	20010914
			AU 2001-90914 A	20010914
			AU 2001-90944 A3	20010914
			AU 2001-91013 A3	20010914
			AU 2001-94558 A3	20010914

L35 ANSWER 115 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

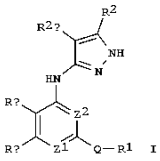
	AU 2001-96871	T0 20010914
	AU 2001-96875	T0 20010914
	EP 2001-971082	A3 20010914
	JP 2002-526860	A3 20010914
	US 2001-952671	A3 20010914
	US 2001-953471	A3 20010914
	US 2001-955601	A3 20010914
	AU 2002-234047	A3 20011219
	AU 2002-34047	T0 20011219
	EP 2001-273861	A 20011219
	EP 2001-994323	A3 20011219
	JP 2002-551561	A3 20011219
	JP 2002-557938	A3 20011219
	JP 2002-559413	A3 20011219
	JP 2002-563142	A3 20011219
	JP 2002-565976	A3 20011219
	JP 2002-567928	A3 20011219
	US 2001-26966	A1 20011219
	WO 2001-US49139	W 20011219
	WO 2001-US50312	W 20011219
	JP 2002-551562	A3 20011220
	JP 2002-559414	A3 20011220
	US 2001-34019	A3 20011220
	US 2001-34683	A1 20011220
	IN 2003-KN795	A3 20030619
	US 2003-624800	A3 20030722
	US 2004-775699	A1 20040210

L35 ANSWER 115 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

	JP 2004-366925	A3 20041217
	AU 2006-201396	A3 20060404

OTHER SOURCE(S): CASREACT 142:240444; MARPAT 142:240444

GI



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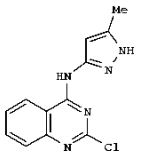

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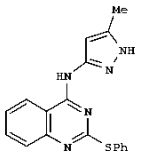


III

AB The title compds. I [Z1 = N, CR8; Z2 = N, CH; and at least one of Z1 and Z2 = N; Rb, Rc = TR3, LZR3; C2RbRc = (un)substituted fused (hetero)cycle; Q = NR4, O, S, etc.; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, carbocyclyl; T = a bond, alkylidene (un)interrupted by O, S, NR4, CO, etc.; Z = alkylidene; L = O, S, SO, SO2, etc.; R2, R2a = R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, etc.; R = H, (un)substituted aliphatic, (hetero)aryl, heterocyclyl; R4 = R7, COR7, SO2R7, etc.; W = CO, CO2, CONR6, etc.; R6, R7 = H, alkyl; or N(R6)2 or N(R7)2 = heterocyclyl, heteroaryl] were prepared For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in tert-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β, AURORA-2, CDK-2, ERK2, AKT, and human Src kinase. I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

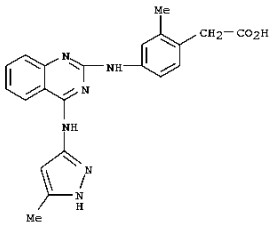
IT 438204-91-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; prepn. of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438204-91-2 CAPLUS

CN Benzeneacetic acid, 2-methyl-4-[[4-[(5-methyl-1H-pyrazol-3-yl)amino]-2-quinazolinyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (42 CITINGS)

L35 ANSWER 116 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:136554 CAPLUS

DOCUMENT NUMBER: 142:240435

TITLE: Preparation of aminotriazole compounds useful as inhibitors of protein kinases

INVENTOR(S): Davies, Robert J.; Arnost, Michael J.; Bemis, Guy W.; Forster, Cornelia J.; Grey, Ronald, Jr.; Ledford, Brian; Marhefka, Craig; Messersmith, David; Pierce, Albert C.; Salituro, Francesco; Wang, Jian

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA; Ledeboer, Mark W.

SOURCE: PCT Int. Appl., 190 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013982	A1	20050217	WO 2004-US25539	20040806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263148	A1	20050217	AU 2004-263148	20040806
AU 2004263148	B2	20080821		
CA 2534921	A1	20050217	CA 2004-2534921	20040806
US 20050261268	A1	20051124	US 2004-914051	20040806
US 7226920	B2	20070605		
EP 1663211	A1	20060607	EP 2004-780381	20040806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007501257	T	20070125	JP 2006-522766	20040806
US 20080096901	A1	20080424	US 2007-787165	20070413

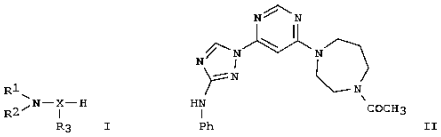
PRIORITY APPLN. INFO.:

US 2003-492787P	P	20030806
US 2004-914051	A3	20040806
WO 2004-US25539	W	20040806

OTHER SOURCE(S): CASREACT 142:240435; MARPAT 142:240435

GI

L35 ANSWER 116 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

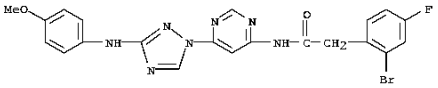


AB Title compds. I [X = 1,2,4-triazolyl; R1 = H or alkyl; R2 = alkyl, arylalkyl, heterocyclicalkyl, etc.; or R1 and R2 together with the N form an (un)substituted heterocyclyl or heteroaryl ring; R3 = alkyl, arylalkyl, heterocyclicalkyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of protein kinases. Thus, e.g., II, was prepared by substitution of 1-(6-chloropyrimidin-4-yl)-3-phenylamino-1H-[1,2,4]triazole (preparation given) with N-acetylhomopiperazine. I were tested vs. numerous kinases for their inhibitory activity, e.g., selected compds. of I possessed IC50 values of < than 0.1 μM against FLT-3. The invention also provides pharmaceutical compns. comprising the compds. of the invention, processes for preparing the compds. and methods of using the compns. in the treatment of various disorders.

IT 844889-27-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminotriazoles with protein kinase inhibitory activity)

RN 844889-27-6 CAPLUS

CN Benzeneacetamide, 2-bromo-4-fluoro-N-[6-[3-[(4-methoxyphenyl)amino]-1H-1,2,4-triazol-1-yl]-4-pyrimidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 117 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:99497 CAPLUS

DOCUMENT NUMBER: 142:197874

TITLE: Preparation of indole derivative containing cyclohexanecarboxylic acid moiety as VLA-4 inhibitors

INVENTOR(S): Ono, Makoto; Noguchi, Shigeru

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009992	A1	20050203	WO 2004-JP10457	20040723
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2528586	A1	20050203	CA 2004-2528586	20040723
EP 1650205	A1	20060426	EP 2004-747846	20040723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1826336	A	20060830	CN 2004-80021230	20040723
US 20070105936	A1	20070510	US 2005-562122	20051223
MX 2006000850	A	20060330	MX 2006-850	20060123
KR 2006037394	A	20060503	KR 2006-701503	20060123
PRIORITY APPLN. INFO.:			JP 2003-201062 A	20030724
			WO 2004-JP10457 W	20040723

OTHER SOURCE(S): CASREACT 142:197874

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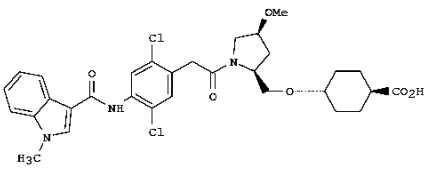


I

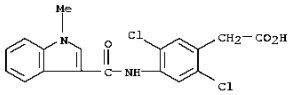
L35 ANSWER 117 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB A VLA-4 (very late antigen-4) inhibitory compound I sodium salt pentahydrate having high solubility in water and long-term stability was prepared Thus, EDCI-mediated acylation of trans-4-[(4S)-methoxy-(2S)-pyrrolidinylmethoxy]cyclohexanecarboxylic acid Me ester with [2,5-dichloro-4-[(1-methyl-1H-3-indolylcarbonyl)amino]phenyl]acetic acid, followed by treatment with aqueous NaOH afforded compound I sodium salt pentahydrate. Compound I sodium salt pentahydrate is claimed useful for the treatment of inflammation, diabetes, etc.

IT 441715-25-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indole derivative containing cyclohexanecarboxylic acid moiety as VLA-4 inhibitors for treatment of inflammation, diabetes, etc.)

RN 441715-25-9 CAPLUS

CN Benzeneacetic acid, 2,5-dichloro-4-[[(1-methyl-1H-indol-3-yl)carbonyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 118 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:34603 CAPLUS
DOCUMENT NUMBER: 142:134589
TITLE: Preparation of indazole derivatives for treating or preventing diseases associated with protein kinases
INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.; Buhr, Chris A.; Albers, Ronald; Sapienza, John; Plantevin, Veronique; Chao, Qi; Sahasrabudhe, Kiran; Ferri, Rachel; Narla, Rama K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 240 pp., Cont.-in-part of U.S. Ser. No. 414,839.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20050009876	A1	20050113	US 2003-718185		20031119
US 20020103229	A1	20020801	US 2001-910950		20010723
US 6897231	B2	20050524			
US 20040127536	A1	20040701	US 2003-414839		20030416
US 7211594	B2	20070501			
US 20070060616	A1	20070315	US 2006-512836		20060830
PRIORITY APPLN. INFO.:			US 2000-221799P	P	20000731
			US 2001-910950	A2	20010723
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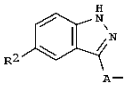
			US 2003-718185	A1	20031119

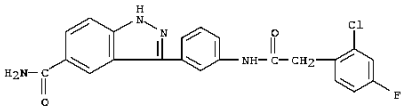
OTHER SOURCE(S): MARPAT 142:134589
GI



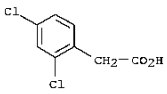
I

AB Methods of treating or preventing diseases associated with protein kinases,
including tyrosine kinases, such as proliferative diseases, inflammatory diseases, abnormal angiogenesis and diseases related thereto, atherosclerosis, macular degeneration, diabetes, obesity, pain and others,
comprising administering to a patient in need thereof an effective amount of

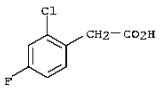
L35 ANSWER 118 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IT 19719-28-9, 2,4-Dichlorophenylacetic acid 177985-32-9, 2-Chloro-4-fluorophenylacetic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of indazole derivs. for treating or preventing diseases associated with protein kinases)
RN 19719-28-9 CAPLUS
CN Benzeneacetic acid, 2,4-dichloro- (CA INDEX NAME)

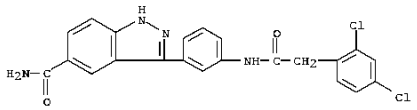


RN 177985-32-9 CAPLUS
CN Benzeneacetic acid, 2-chloro-4-fluoro- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L35 ANSWER 118 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
the title indazole I [A = a direct bond, (CH2)a, (CH2)bCH:CH(CH2)c, or (CH2)bC.tplbond.C(CH2)c; R1 = (un)substituted aryl, heteroaryl or heterocycle fused to Ph; R2 = R3, R4, (CH2)bC(O)R5, (CH2)bC(:O)OR5, (CH2)bC(O)NR5R6, (CH2)bC(O)NR5(CH2)cC(O)R6, (CH2)bNR5C(O)R6, (CH2)bNR5C(O)NR6R7, (CH2)bNR5R6, (CH2)bOR5, (CH2)bSOdR5 or (CH2)bSO2NR5R6;
a = 1-6; b, c = 0-4; d = 0-2; R3 = halo, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, etc.; R4 = (un)substituted alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, or R4 = halo or OH; R5-R7 = H, (un)substituted alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl], are disclosed. Many of the claimed compds. I have IC50 values ≤0.5 μM in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included.
IT 395106-57-7P, 3-[3-[2-(2,4-Dichlorophenyl)acetylamino]phenyl]-1H-indazole-5-carboxamide 395106-60-2P, 3-[3-[2-(2-Chloro-4-fluorophenyl)acetylamino]phenyl]-1H-indazole-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazole derivs. for treating or preventing diseases associated with protein kinases)
RN 395106-57-7 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-[3-[[2-(2,4-dichlorophenyl)acetyl]amino]phenyl]- (CA INDEX NAME)



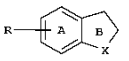
RN 395106-60-2 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-[3-[[2-(2-chloro-4-fluorophenyl)acetyl]amino]phenyl]- (CA INDEX NAME)

L35 ANSWER 119 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:14385 CAPLUS
DOCUMENT NUMBER: 142:113878
TITLE: Preparation of 2,3-dihydrobenzofuran and 2,3-dihydrobenzothiophene derivatives as cannabinoid receptor modulators
INVENTOR(S): Ohkawa, Shigenori; Tsukamoto, Tetsuya; Kiyota, Yoshihiro; Goto, Mika; Yamamoto, Shouzou; Shimojou, Masato; Setou, Masaki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 444 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005000829	A1	20050106	WO 2004-JP9355		20040625
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004252005	A1	20050106	AU 2004-252005		20040625
CA 2531020	A1	20050106	CA 2004-2531020		20040625
JP 2005035993	A	20050210	JP 2004-187759		20040625
EP 1637527	A1	20060322	EP 2004-746824		20040625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
BR 2004011740	A	20060523	BR 2004-11740		20040625
CN 1816536	A	20060809	CN 2004-80017810		20040625
ZA 2005010304	A	20070425	ZA 2005-10304		20040625
NZ 544298	A	20090430	NZ 2004-544298		20040625
MX 2005013652	A	20060224	MX 2005-13652		20051214
US 20070099990	A1	20070503	US 2005-561483		20051220
US 7465815	B2	20081216			
KR 2006066677	A	20060616	KR 2005-724832		20051223
IN 2006KN00106	A	20070803	IN 2006-KN106		20060112
NO 2006000426	A	20060207	NO 2006-426		20060126
US 20080021087	A1	20080124	US 2007-822941		20070711
US 7507841	B2	20090324			
US 20090023800	A1	20090122	US 2008-230483		20080829
PRIORITY APPLN. INFO.:			JP 2003-182039	A	20030626
			WO 2004-JP9355	W	20040625
			US 2005-561483	A3	20051220

OTHER SOURCE(S): MARPAT 142:113878
GI

L35 ANSWER 119 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



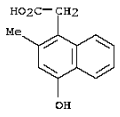
I

AB There is disclosed a cannabinoid receptor modulator which contains a compound represented by the formula (I) [wherein X represents oxygen, each (un)substituted S or NH; R represents optionally substituted acylamino; ring A represents a benzene ring optionally having a substituent besides R; and ring B represents optionally substituted five-membered heterocycle], a salt of the compound, or a prodrug of either. The compds. I are useful for the treatment of pain, assisting quitting smoking, or modulating immunity, or for the prevention and/or treatment of (1) acute period of cerebral vascular disorder, spinal cord injury, head trauma, multiple sclerosis, glaucoma, depression, vomiting, arthritis, or asthma, (2) memory disorder, psychiatric disorder, obesity, mental disorder, anxiety, drug dependence, Alzheimer's disease, or Parkinson's disease, or (3) neurodegenerative disease, irritable enteritis, Crohn's disease, regurgitant esophagitis, chronic obstructive pulmonary disease (COPD), psoriasis, autoimmune disease, allograft rejection, allergy, neurogenic pain, viral hepatitis, or hypertension. Thus, 0.22 mL Et3N was added to a solution of 430 mg 3-(4-isopropylphenyl)-4,6,7-trimethyl-2,3-dihydro-1-benzofuran-5-amine and 0.22 mL tert-butylacetyl chloride in 10 mL CH2Cl2 and the resulting mixture was stirred at room temperature for 1 h to give, after workup and silica gel chromatog., 70% N-[3-(4-isopropylphenyl)-4,6,7-trimethyl-2,3-dihydro-1-benzofuran-5-yl]-3,3-dimethylbutanamide (II). II and N-[7-(1-hydroxyethyl)-3-(4-isopropylphenyl)-4,6-dimethyl-2,3-dihydro-1-benzofuran-5-yl]-3,3-dimethylbutanamide inhibited the binding of [3H]-CP55940 to human cannabinoid receptor CB1 with IC50 of 20 and 11 nM, resp., and that to human cannabinoid receptor CB2 with IC50 of <10 nM. Vial and tablet formulations containing II were prepared

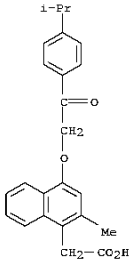
IT 820258-42-2P 820258-46-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2,3-dihydrobenzofuran and 2,3-dihydrobenzothiophene derivs. as cannabinoid receptor modulators)

RN 820258-42-2 CAPLUS
CN 1-Naphthaleneacetic acid, 4-hydroxy-2-methyl- (CA INDEX NAME)

L35 ANSWER 119 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 820258-46-6 CAPLUS
CN 1-Naphthaleneacetic acid, 2-methyl-4-[2-[4-(1-methylethyl)phenyl]-2-oxoethoxy]- (CA INDEX NAME)



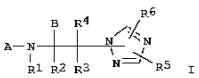
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 120 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1127349 CAPLUS
DOCUMENT NUMBER: 142:74574
TITLE: Preparation of 1,2,4-triazolylethylamines as modulators of the glucocorticoid receptor
INVENTOR(S): Robinson, Leslie; Rueter, Jaimie K.; Moree, Wilna J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111015	A1	20041223	WO 2004-US18487	20040611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040266831	A1	20041230	US 2004-865443	20040610
US 7459474	B2	20081202		

PRIORITY APPLN. INFO.: US 2003-477545P P 20030611

OTHER SOURCE(S): CASREACT 142:74574; MARPAT 142:74574
GI



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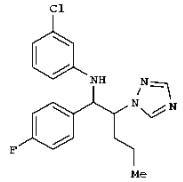
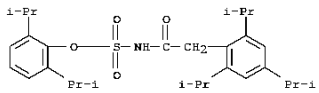


II

L35 ANSWER 120 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB Title compds. I [A, B = cycloalkyl, aryl, heteroaryl; R1 = H, acyl, carboxy, etc.; R2-4 = H, alkyl, heteroalkyl, etc.; R5-6 = H, F, Cl, Br, etc.] are prepared General synthetic procedures are provided for the synthesis of 19 examples, e.g., II. Example compds. are tested in a glucocorticoid receptor binding assay in the range of 0.1 nM to 40 μM [no data]. I are glucocorticoid receptor modulators and are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes, inflammatory and immune disorders.

IT 166518-60-1, Avasimibe
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination pharmaceutical; preparation of 1,2,4-triazolylethylamines as modulators of glucocorticoid receptor)

RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)

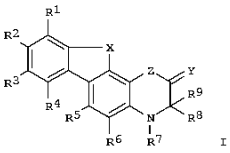


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 121 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1124594 CAPLUS
DOCUMENT NUMBER: 142:79882
TITLE: Non-steroidal compound modulators of the glucocorticoid receptor and therapeutic uses for glucocorticoid receptor agonist or antagonist dependent diseases
INVENTOR(S): Hadida-Ruah, Sara Sabine; He, Xiaohui; Nagasawa, Johnny Yasuo
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110385	A2	20041223	WO 2004-US18677	20040611
WO 2004110385	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040266758	A1	20041230	US 2004-865444	20040610
US 7235662	B2	20070626		
PRIORITY APPLN. INFO.:			US 2003-477574P P	20030611

OTHER SOURCE(S): MARPAT 142:79882
GI



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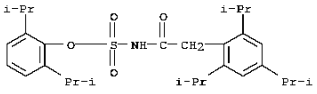
L35 ANSWER 121 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB The present invention relates to new nonsteroidal compds. which are glucocorticoid receptor (GR) modulators (that is agonists and antagonists) and thus are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes and inflammatory or immune associated diseases, and to a method for using such compds. to treat these and related diseases. Specifically, the novel nonsteroidal compds. have the structure as formula (I), wherein R1 through R6 are independently (i) hydrogen, F, Cl, Br, I, NO2, CN, or OR10, etc, (ii) C1-6-alkyl, C3-8-cycloalkyl, or C2-6-alkenyl, etc; R7 is hydrogen, C1-6-alkyl, or C3-8-cycloalkyl, etc; R8 and R9 are independently hydrogen, C1-6-alkyl, or C3-8-cycloalkyl, etc; Y is O, S, or NR14; Z is O, S, S(O), S(O)2, or NR15; and X is OCR16R17, SCR16R17, S(O)CR16R17, etc.
IT 166518-60-1, Avasimibe
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nonsteroidal compound modulators of glucocorticoid receptor and therapeutic uses for glucocorticoid receptor agonist or antagonist-dependent diseases)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)



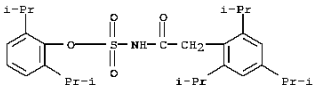
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 122 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1124587 CAPLUS
DOCUMENT NUMBER: 142:69188
TITLE: Combination therapy for the treatment of diabetes
INVENTOR(S): Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg, Leonardus H. T.; Kanatani, Akio
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110375	A2	20041223	WO 2004-US17291	20040602
WO 2004110375	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1635832	A2	20060322	EP 2004-753999	20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070099884	A1	20070503	US 2005-559206	20051202
PRIORITY APPLN. INFO.:			US 2003-476388P P	20030606
			WO 2004-US17291 W	20040602

OTHER SOURCE(S): MARPAT 142:69188
AB The present invention relates to compns. comprising an anti-obesity agent and an anti-diabetic agent useful for the treatment of diabetes, diabetes associated with obesity and diabetes-related disorders. The present invention further relates to methods of treating or preventing obesity, and obesity-related disorders, in a subject in need thereof by administering a composition of the present invention. The present invention further provides for pharmaceutical compns., medicaments, and kits useful in carrying out these methods.
IT 166518-60-1, Avasimibe
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)
RN 166518-60-1 CAPLUS
CN Sulfamic acid, N-[2-[2,4,6-tris(1-methylethyl)phenyl]acetyl]-, 2,6-bis(1-methylethyl)phenyl ester (CA INDEX NAME)

L35 ANSWER 122 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 123 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1015853 CAPLUS
DOCUMENT NUMBER: 142:1359
TITLE: Identification and synthesis of androgen receptor modulators and therapeutic uses thereof
INVENTOR(S): Meissner, Robert S.; Perkins, James J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100874	A2	20041125	WO 2004-US13787	20040503
WO 2004100874	A3	20060126		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004238238	A1	20041125	AU 2004-238238	20040503
AU 2004238238	B2	20090716		
CA 2524409	A1	20041125	CA 2004-2524409	20040503
EP 1622567	A2	20060208	EP 2004-751257	20040503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1784236	A	20060607	CN 2004-80012253	20040503
JP 2006528686	T	20061221	JP 2006-532555	20040503
US 20060241107	A1	20061026	US 2005-551975	20051005
US 7351698	B2	20080401		
IN 2005DN05253	A	20071102	IN 2005-DN5253	20051116
US 20080119503	A1	20080522	US 2008-9113	20080116

PRIORITY APPLN. INFO.: US 2003-468579P P 20030507
WO 2004-US13787 W 20040503
US 2005-551975 A3 20051005

OTHER SOURCE(S): MARPAT 142:1359
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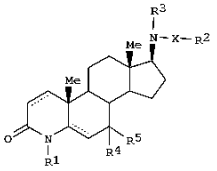
L35 ANSWER 123 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

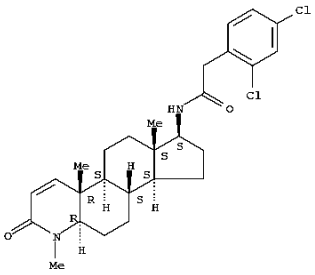
AB Compds. of structural formula (I) as herein defined are disclosed as useful in a method for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of agonizing the androgen receptor in a patient, and in particular the method wherein the androgen receptor is antagonized in the prostate of a male patient or in the uterus of a female patient and agonized in bone and/or muscle tissue. Method for the synthesis of those compds., as well as techniques for the screening of androgen receptor modulation capacity of those compds. are exemplified. These compds. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including: osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, post-menopausal symptoms in women, female sexual dysfunction, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, arthritis and joint repair, alone or in combination with other active agents. In addition, these compds. are useful as pharmaceutical composition ingredients alone and in combination with other active agents.

IT 796884-78-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(identification and synthesis of androgen receptor modulators and therapeutic uses thereof)

RN 796884-78-1 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]- (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 123 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
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L35 ANSWER 124 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:980998 CAPLUS
DOCUMENT NUMBER: 141:379942
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040209878	A1	20041021	US 2004-776988	20040211
US 20040209878	A1	20041021	US 2004-776988	20040211

PRIORITY APPLN. INFO.: US 2002-408027P P 20020904
US 2002-421959P P 20021029
US 2003-654546 A2 20030903
US 2004-776988 A 20040211

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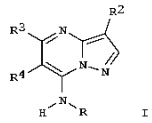
L35 ANSWER 124 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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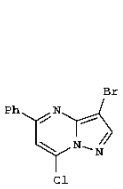

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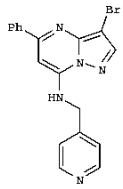


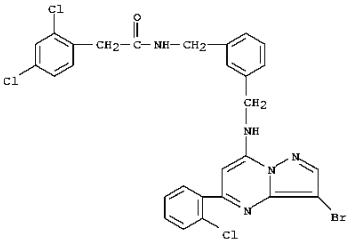
III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 677784-98-4P 677786-11-7P 677786-78-6P
677787-62-1P 677790-94-2P 677791-84-3P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677784-98-4 CAPLUS
CN Benzeneacetamide, N-[[3-[[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]phenyl]methyl]-2,4-dichloro- (CA INDEX NAME)

L35 ANSWER 124 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 677786-11-7 CAPLUS
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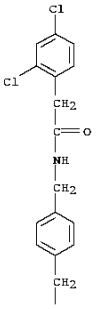

L35 ANSWER 124 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

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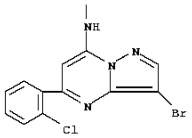

RN 677786-78-6 CAPLUS
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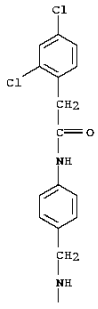
PAGE 1-A



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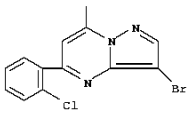

L35 ANSWER 124 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 677787-62-1 CAPLUS
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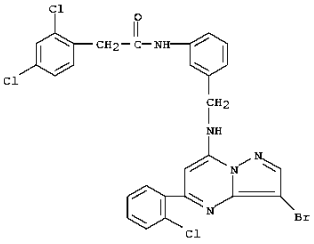

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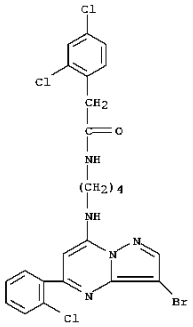
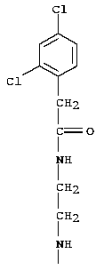


RN 677791-84-3 CAPLUS
CN Benzeneacetamide, N-[2-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-2,4-dichloro- (CA INDEX NAME)

L35 ANSWER 124 OF 229 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A



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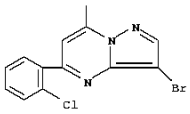

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L3       29872 S L1 FULL

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L12         16 S L4 AND (BULLOUS)
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